

Jan Delaval

144736

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name Jennifer Kim Examiner # 77469 Date: 2/9/05
An Lnt 1611 Phone Number 314 20628 Serial Number 09/816826
Mail Box and Bldg Room Location Rem 4B02 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

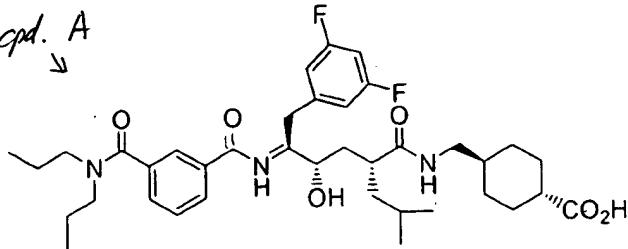
Title of Invention Methods to treat alzheimer's disease

Inventors (please provide full names): Han et al.

Earliest Priority Filing Date: 3/23/2000

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

- 1) Please search claim 95 based on the cpd XII and the diseases including Alzheimer's, cognitive dysfunction, Down's syndrome, Hereditary cerebral Hemorrhage, Brain damage + mechanisms involving beta-amyloid deposit.
- 2) Please display hit structures of claim 95.
- 3) Please search claim 104 based on the structures of cpds in claim 104. ; display = Registry #.
- 4) Please search → cpd. A
which is highlighted
Structure of claim 104.



THX,
JW.



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 144736

TO: Jennifer Kim
Location: 4b02 / 4b18
Wednesday, February 16, 2005
Art Unit: 1617
Phone: 272-0628
Serial Number: 09 / 816876

From: Jan Delaval
Location: Biotech-Chem Library
Rem 1a51
Phone: 272-2504
jan.delaval@uspto.gov

Search Notes

3/23/2005

[Handwritten signature]

104

105. (New) The method according to claim 100, wherein the compound is

N-[(1S, 2S, 4R)-1-(3,5-Difluorobenzyl)-4-(syn, syn)-(3,5-dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophthalamide,

362479-94-5

6-[6-(3,5-Difluorophenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxyhexanoylamino]-hexanoic acid,

362479-95-6

5-[6-(3,5-Difluorophenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxyhexanoylamino]-pentanoic acid,

362480-11-3

4-[6-(3,5-Difluorophenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxyhexanoylamino]-butyric acid,

362480-12-4

3-[6-(3,5-Difluorophenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxyhexanoylamino]-propionic acid,

362480-13-5

8-[6-(3,5-Difluorophenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxyhexanoylamino]-octanoic acid,

362480-14-6

8-[6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoylbenzoylamino)-2-(R)-ethyl-4-(S)-hydroxy-hexanoylamino]-octanoic acid methyl ester,

362479-96-7

N-(4-(R)-Butylcarbamoyl-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-hexyl)-N,N-dipropyl-isophthalamide,

362480-15-7

N-(1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-isobutylcarbamoyl-hexyl)-N,N-dipropyl-isophthalamide,

362480-16-8

N-[4-(R)-Benzylcarbamoyl-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-hexyl]-N,N-dipropyl-isophthalamide,

362480-17-9

N-[4-(R)-(Cyclohexylmethyl-carbamoyl)-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-hexyl]-N,N-dipropyl-isophthalamide,

362480-18-0

N-[(1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-(piperidine-1-carbonyl)-hexyl]-N,N-dipropyl-isophthalamide,

362480-19-1

N-[(1-(S)-(3,5-Difluoro-benzyl)-4-(R)-(2-dimethylamino-ethylcarbamoyl)-2-(S)-hydroxy-hexyl]-N,N-dipropyl-isophthalamide,

362480-20-4

N-[4-(R)-(Butyl-methyl-carbamoyl)-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-hexyl]-N,N-dipropyl-isophthalamide,

362480-21-5

N-[(1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-(3-hydroxy-propylcarbamoyl)-hexyl]-N,N-dipropyl-isophthalamide,

362480-22-6

4-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-2-(R)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid methyl ester,

362480-23-7

N-[(1-(S)-(3,5-Difluoro-benzyl)-4-(R)-(3-dimethylamino-propylcarbamoyl)-2-(S)-hydroxy-hexyl]-N,N-dipropyl-isophthalamide,

362480-24-8

4-(anti)-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-2-(R)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362479-97-8

4-(anti)-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-4-(S)-hydroxy-2-(R)-methyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362480-40-0

4-(anti)-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-4-(S)-hydroxy-2-(R)-propyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362479-99-0

4-(anti)-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-4-(S)-hydroxyl-2-(R)-isobutyl-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362480-25-9

4-(anti)-([6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362480-26-0

4-(anti)-[(2-(R)-Benzyl-6-(3,5-difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-benzoylamino)-4-(S)-hydroxy-hexanoylamino)-methyl)-cyclohexanecarboxylic acid,

362479-98-9

4-(anti)-[(6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-5-methyl-benzoylamino)-2-(R)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid,

362480-27-1

4-(anti)-[(6-(3,5-Difluoro-phenyl)-5-(S)-(3-dipropylcarbamoyl-5-methyl-benzoylamino)-2-(R)-ethyl-4-(S)-hydroxy-hexanoylamino]-methyl)-cyclohexanecarboxylic acid methyl ester,

362480-28-2

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-(2-morpholin-4-yl-ethylcarbamoyl)-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-29-3

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-isobutylcarbamoyl-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,
N-[4-(R)-(2-Diethylamino-ethylcarbamoyl)-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-30-6

362480-31-7

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-[(tetrahydro-furan-2-ylmethyl)-carbamoyl]-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-32-8

N-[4-(R)-(Adamantan-2-ylcarbamoyl)-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-33-9

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-methyl-5-morpholin-4-yl-5-oxo-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-34-0

N-[4-(R)-Benzylcarbamoyl-1-(S)-(3,5-difluoro-benzyl)-2-(S)-hydroxy-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-35-1

N-[1-(S)-(3,5-Difluoro-benzyl)-4-(R)-(4-fluoro-benzylcarbamoyl)-2-(S)-hydroxy-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-36-2

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-phenethylcarbamoyl-pentyl]-5-methyl-N,N-dipropyl-isophthalamide,

362480-37-3

N-[1-(S)-(3,5-Difluoro-benzyl)-4-(R)-[(furan-2-ylmethyl)-carbamoyl]-2-(S)-hydroxy-pentyl]-5-methyl-N,N-dipropyl-isophthalamide, or

362480-38-4

N-[1-(S)-(3,5-Difluoro-benzyl)-2-(S)-hydroxy-4-(R)-(prop-2-ynylcarbamoyl)-pentyl]-5-methyl-N,N-dipropyl-isophthalamide.

362480-39-5

=> fil reg
FILE 'REGISTRY' ENTERED AT 14:57:27 ON 15 FEB 2005
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STRUCTURE FILE UPDATES: 14 FEB 2005 HIGHEST RN 831169-46-1
DICTIONARY FILE UPDATES: 14 FEB 2005 HIGHEST RN 831169-46-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

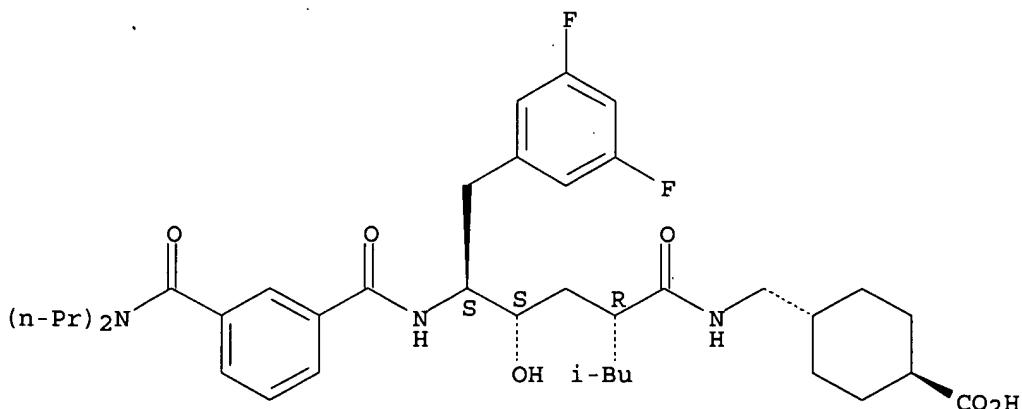
Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d 113 ide can

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 362480-25-9 REGISTRY
CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-(2-methylpropyl)-1-
oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H53 F2 N3 O6
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
(Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

=> d his

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 SET COST OFF

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 E HOM R/AU

L2 13 S E7
 E MAMO S/AU

L3 10 S E3-E5
 E TUNG J/AU

L4 69 S E3-E8,E18-E20
 E GAILUNAS A/AU

L5 13 S E4,E5
 E JOHN V/AU

L6 211 S E3-E13,E18,E19,E21
 E VARGHESE/AU
 E VARGHESE J/AU

L7 118 S E3-E6,E12,E14
 E FANG L/AU

L8 149 S E3,E12,E24-E28
 E ELAN/PA,CS
 E ELAM/PA,CS

L9 427 S E22-E207
 SEL RN L1

FILE 'REGISTRY' ENTERED AT 14:30:31 ON 15 FEB 2005

L10 105 S E1-E105

L11 10 S L10 AND (46.150.18 AND 46.150.1)/RID AND 3/NR

L12 2 S L11 AND C38H53F2N3O6

L13 1 S 362480-25-9
 E C38H53F2N3O6/MF

L14 STR

L15 0 S L14

L16 STR L14

L17 0 S L16

L18 STR L16

L19 0 S L18

L20 STR L18

L21 0 S L20

L22 STR L20

L23 3 S L22

L24 1871 S L22 FUL
 SAV L24 JKIM816/A

L25 679 S L16 FUL SUB=L24
 SAV L25 JKIM816A/A

L26 20 S L10 AND L25

L27 19 S L26 NOT L13

L28 85 S L10 NOT L26

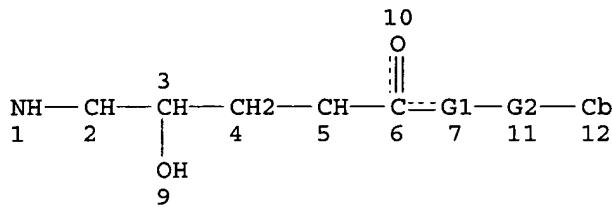
L29 74 S L28 NOT SQL/FA

L30 63 S L29 AND (N AND O)/ELS

L31 36 S L30 AND HYDROXY
 L32 15 S L31 NOT 3 5 DIFLUORO
 L33 21 S L31 NOT L32
 L34 40 S L27, L33
 L35 36 S L34 AND F/ELS
 L36 4 S L34 NOT L35
 L37 36 S L35 AND F>=2
 SAV TEMP L10 JKIM816B/A
 SAV L37 JKIM816C/A

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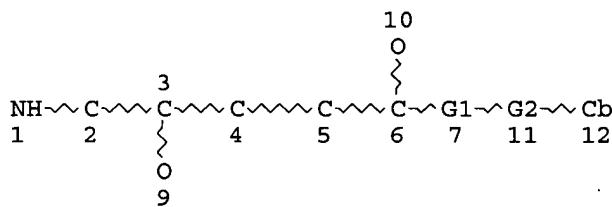
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VAR G1=O/N
 REP G2=(0-3) CH2
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
 L22 STR



VAR G1=O/N
 REP G2=(0-1) AK
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
 L24 1871 SEA FILE=REGISTRY SSS FUL L22
 L25 679 SEA FILE=REGISTRY SUB=L24 SSS FUL L16

100.0% PROCESSED 1871 ITERATIONS
 SEARCH TIME: 00.00.01

679 ANSWERS

=> => d his

(FILE 'HOME' ENTERED AT 08:42:44 ON 16 FEB 2005)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 08:43:19 ON 16 FEB 2005
ACT JKIM816C/A

L1 (105) SEA FILE=REGISTRY ABB=ON PLU=ON (1002-57-9/BI OR 1197-18-8/BI
L2 (1) SEA FILE=REGISTRY ABB=ON PLU=ON 362480-25-9
L3 STR
L4 STR
L5 (1871) SEA FILE=REGISTRY SSS FUL L4
L6 (679) SEA FILE=REGISTRY SUB=L5 SSS FUL L3
L7 (20) SEA FILE=REGISTRY ABB=ON PLU=ON L1 AND L6
L8 (19) SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L2
L9 (85) SEA FILE=REGISTRY ABB=ON PLU=ON L1 NOT L7
L10 (74) SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT SQL/FA
L11 (63) SEA FILE=REGISTRY ABB=ON PLU=ON L10 AND (N AND O)/ELS
L12 (36) SEA FILE=REGISTRY ABB=ON PLU=ON L11 AND HYDROXY
L13 (15) SEA FILE=REGISTRY ABB=ON PLU=ON L12 NOT 3 5 DIFLUORO
L14 (21) SEA FILE=REGISTRY ABB=ON PLU=ON L12 NOT L13
L15 (40) SEA FILE=REGISTRY ABB=ON PLU=ON (L8 OR L14)
L16 (36) SEA FILE=REGISTRY ABB=ON PLU=ON L15 AND F/ELS
L17 (36 SEA FILE=REGISTRY ABB=ON PLU=ON L16 AND F>=2

L18 35 S L17 NOT C31H40F2N2O5

FILE 'HCAPLUS' ENTERED AT 08:44:36 ON 16 FEB 2005

L19 4 S L18
L20 3 S L19 AND (ELAN?/PA,CS OR (HOM R? OR MAMO S? OR TUNG J? OR GAIL
L21 1 S L19 NOT L20
L22 2 S L19 AND (PY<=2000 OR PRY<=2000 OR AY<=2000)
L23 4 S L19-L22

FILE 'REGISTRY' ENTERED AT 08:47:10 ON 16 FEB 2005

L24 1 S 362480-25-9

FILE 'HCAPLUS' ENTERED AT 08:47:13 ON 16 FEB 2005

L25 3 S L24
L26 4 S L23,L25

FILE 'USPATFULL' ENTERED AT 08:47:20 ON 16 FEB 2005

L27 4 S L18 OR L24
L28 4 S L27 AND (ELAN?/PA OR (HOM R? OR MAMO S? OR TUNG J? OR GAILUNA

=> fil reg

FILE 'REGISTRY' ENTERED AT 08:48:01 ON 16 FEB 2005
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STRUCTURE FILE UPDATES: 15 FEB 2005 HIGHEST RN 831913-30-5
DICTIONARY FILE UPDATES: 15 FEB 2005 HIGHEST RN 831913-30-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

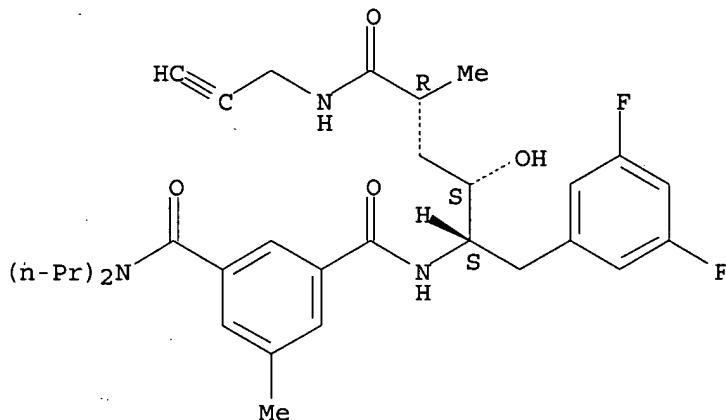
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d 118 ide can tot

L18 ANSWER 1 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-39-5 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C31 H39 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

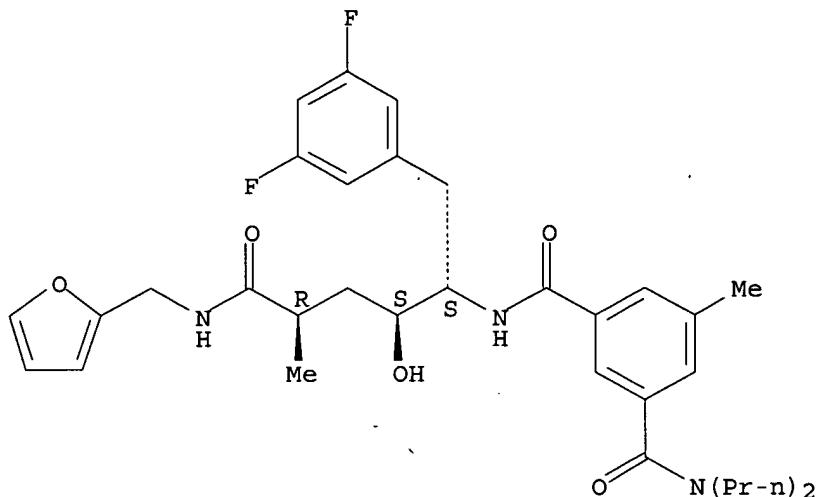
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 2 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-38-4 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C33 H41 F2 N3 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

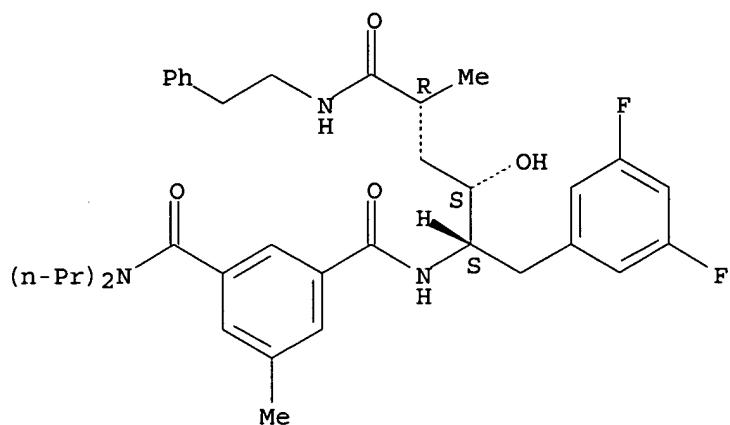
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 3 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-37-3 REGISTRY
 CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C36 H45 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAPplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 4 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-36-2 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C35 H42 F3 N3 O4

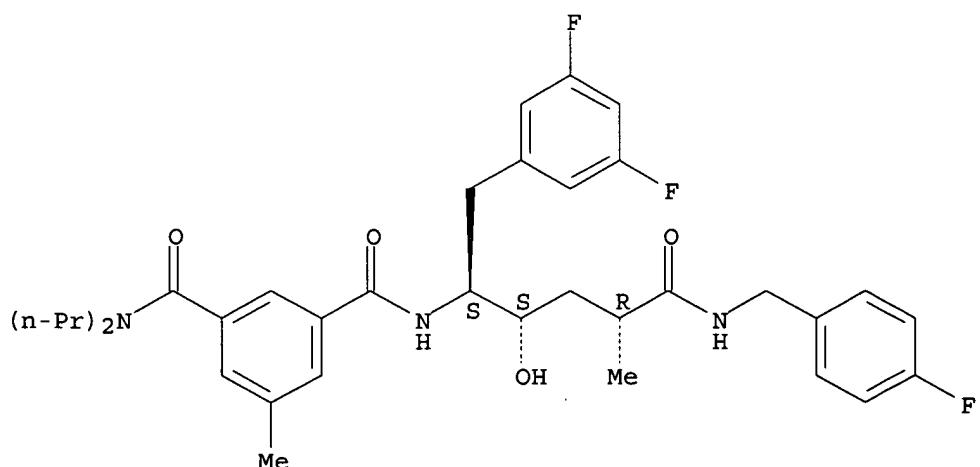
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAPplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

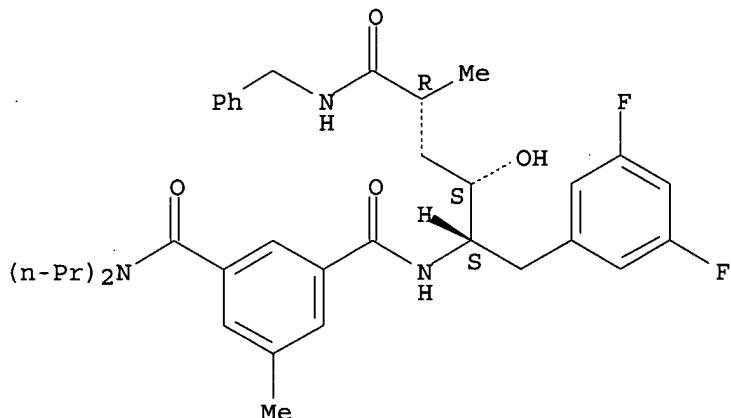
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 5 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-35-1 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C35 H43 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

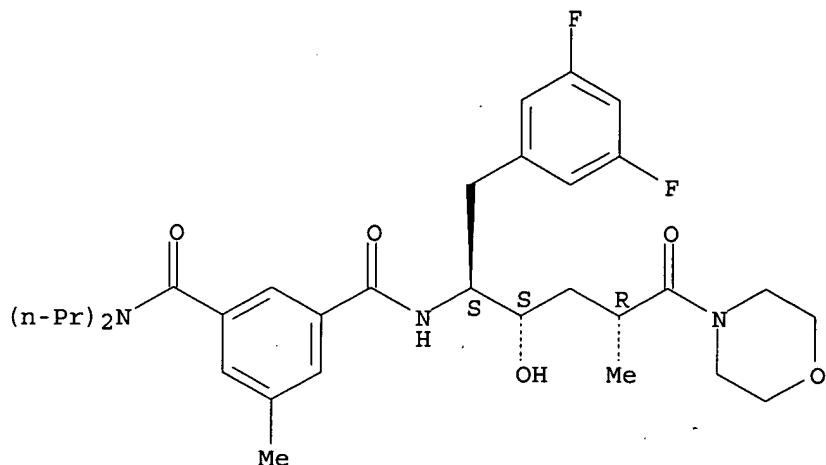
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 6 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-34-0 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H43 F2 N3 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 7 OF 35 · REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-33-9 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C38 H51 F2 N3 O4

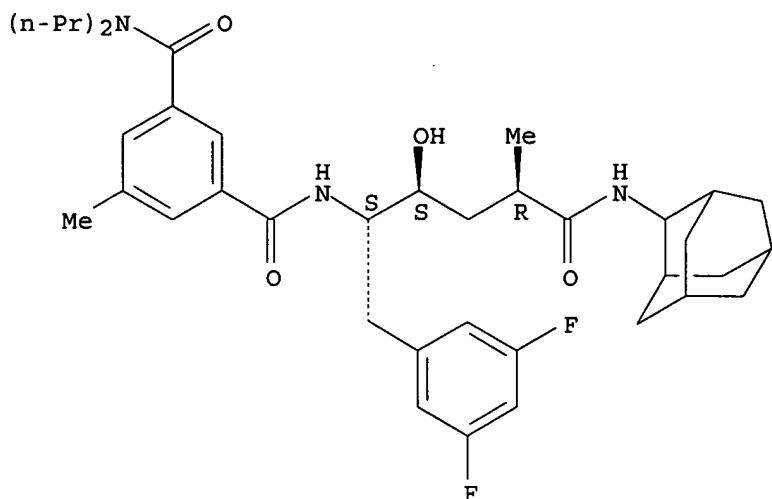
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

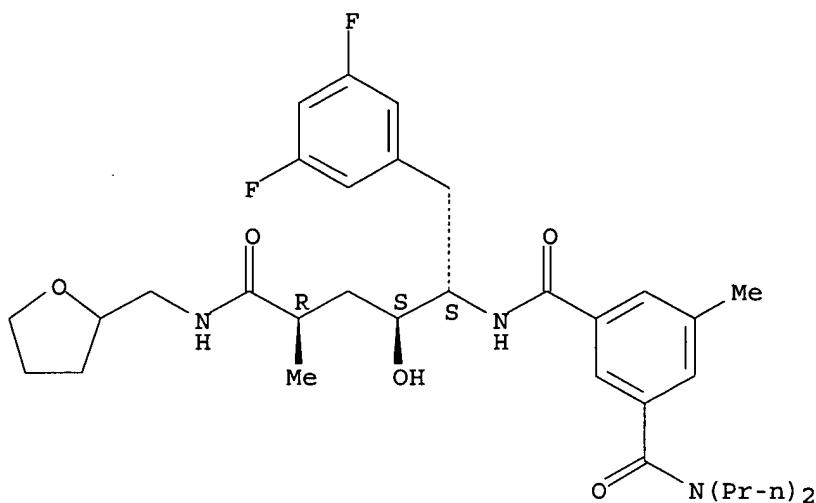
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 8 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-32-8 REGISTRY
 CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C33 H45 F2 N3 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

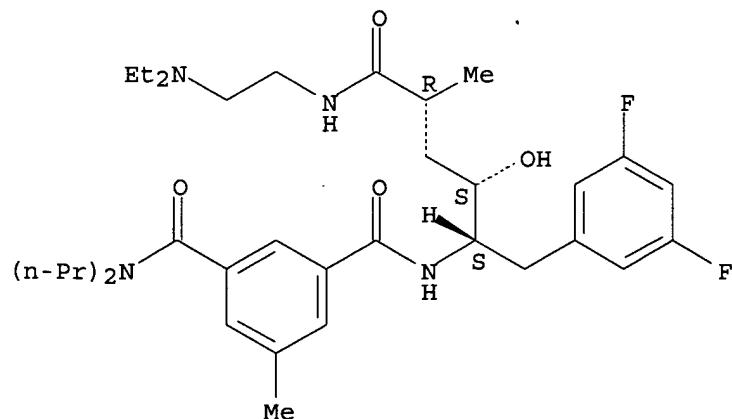
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 9 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-31-7 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-5-[(2-
 (diethylamino)ethyl)amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-
 methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C34 H50 F2 N4 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAPplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
 (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

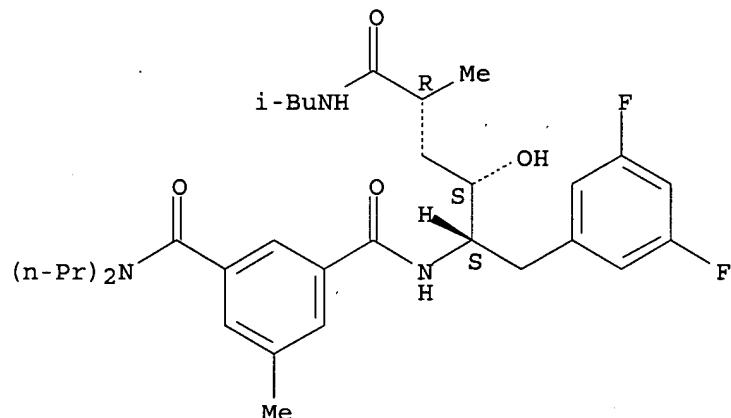
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 10 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-30-6 REGISTRY
 CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H45 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

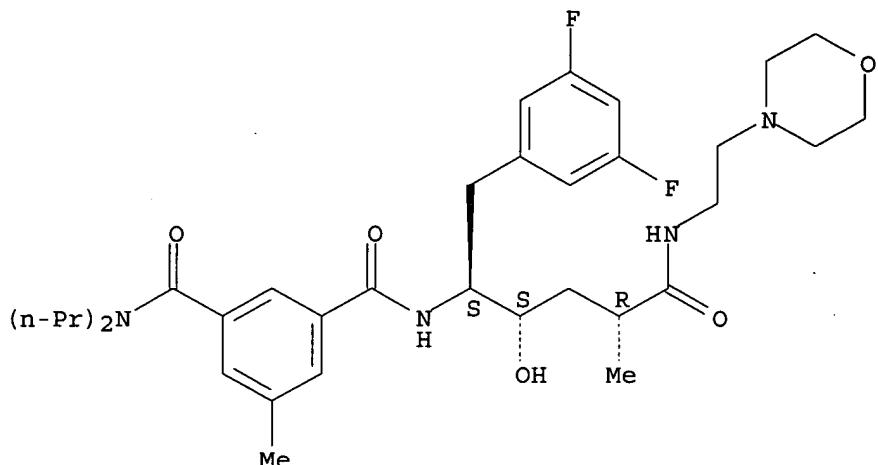
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 11 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-29-3 REGISTRY
 CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C34 H48 F2 N4 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 12 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-28-2 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C38 H53 F2 N3 O6

SR CA

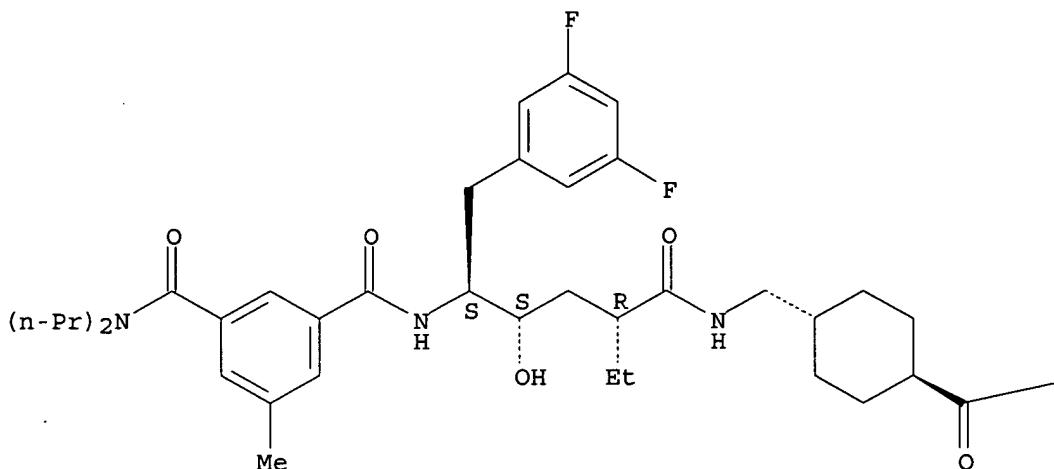
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 13 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-27-1 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C37 H51 F2 N3 O6

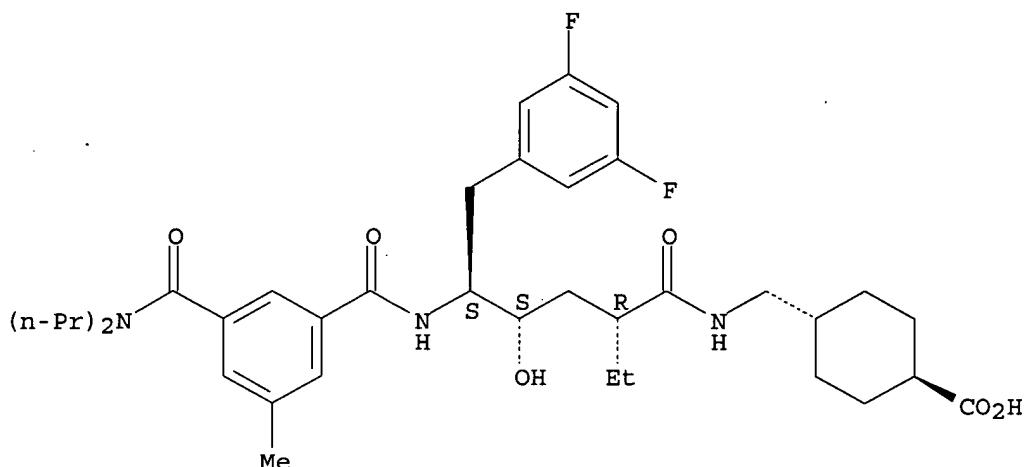
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT CA CAPplus document type: Patent

RL P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 14 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-26-0 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H45 F2 N3 O6

SR CA

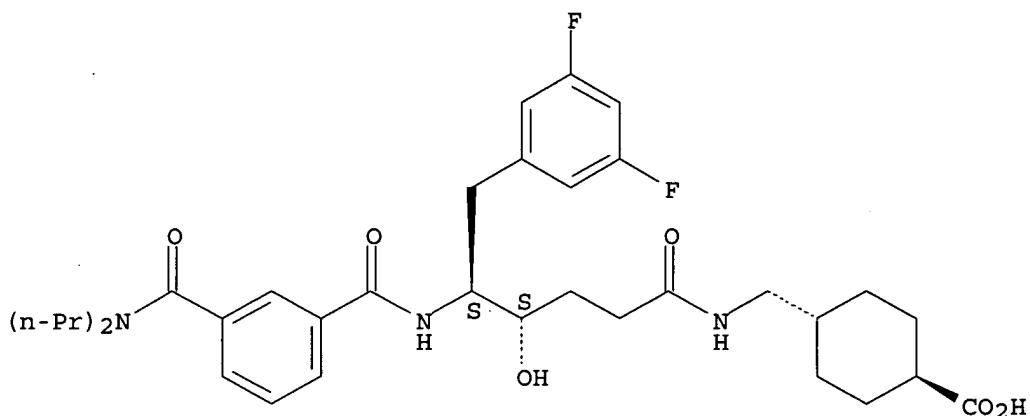
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAPplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 15 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-24-8 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H48 F2 N4 O4

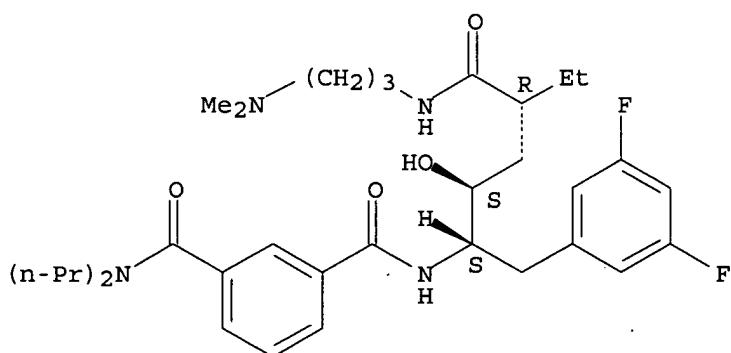
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
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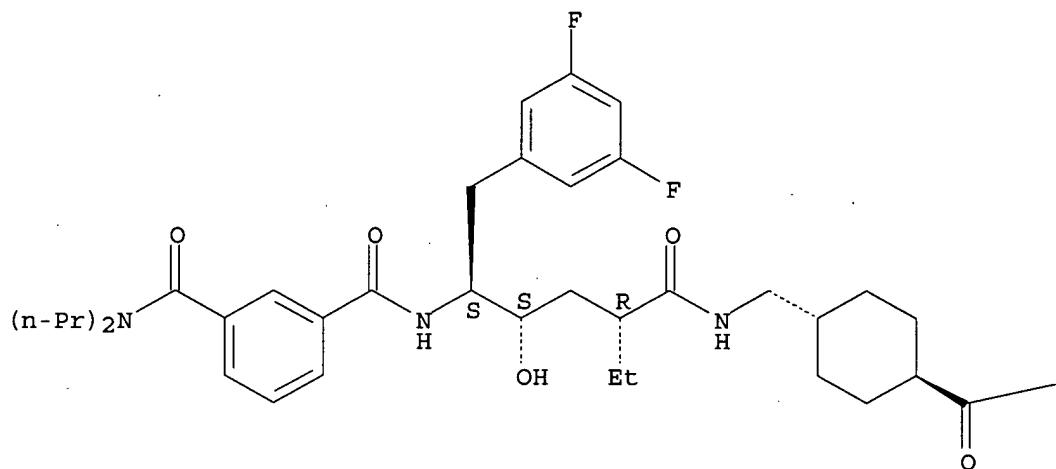
REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 16 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-23-7 REGISTRY
 CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C37 H51 F2 N3 O6
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 17 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-22-6 REGISTRY

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonyl]hexyl -N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C31 H43 F2 N3 O5

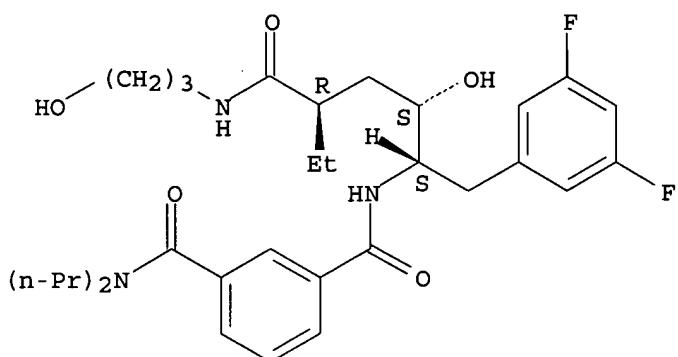
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 18 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-21-5 REGISTRY

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl -N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H47 F2 N3 O4

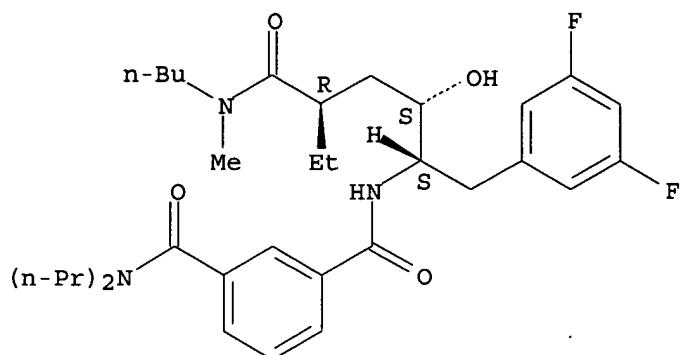
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LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 19 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-20-4 REGISTRY

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H46 F2 N4 O4

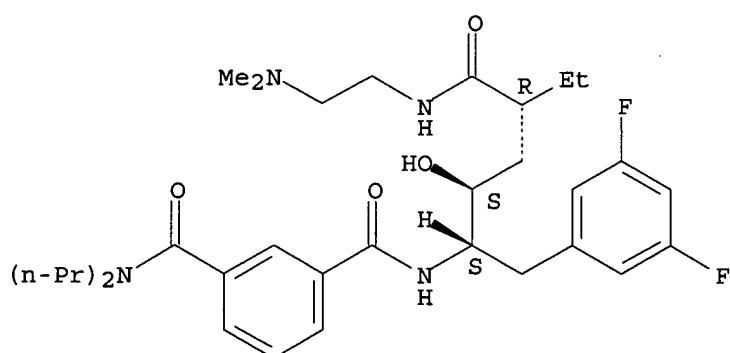
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAPplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

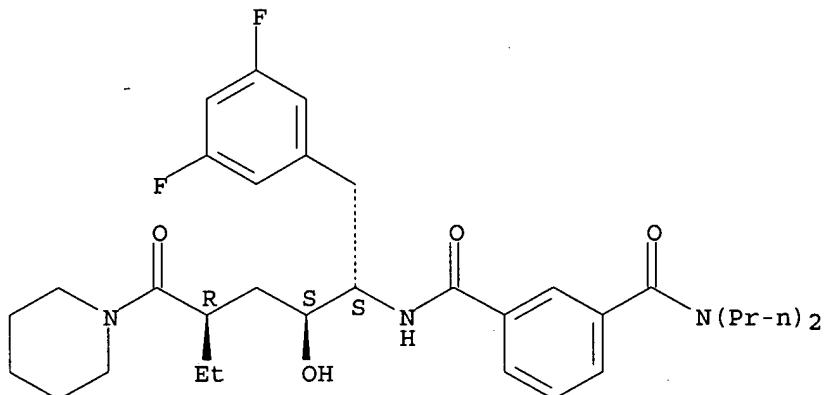
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 20 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-19-1 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C33 H45 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

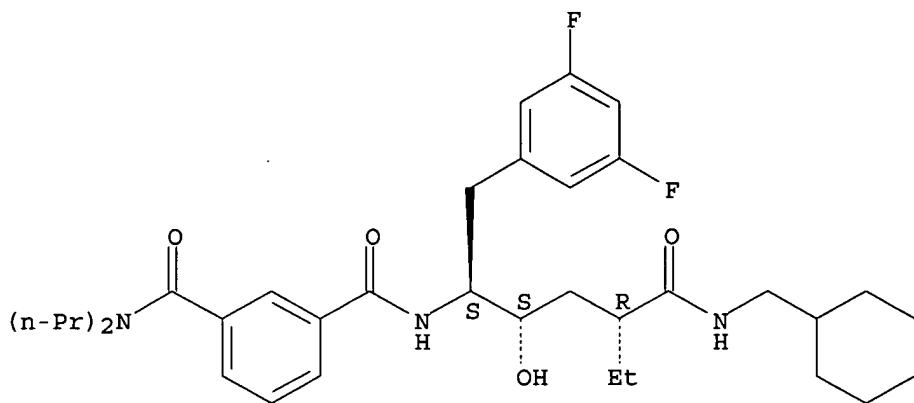
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 21 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-18-0 REGISTRY
 CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[[[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C35 H49 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

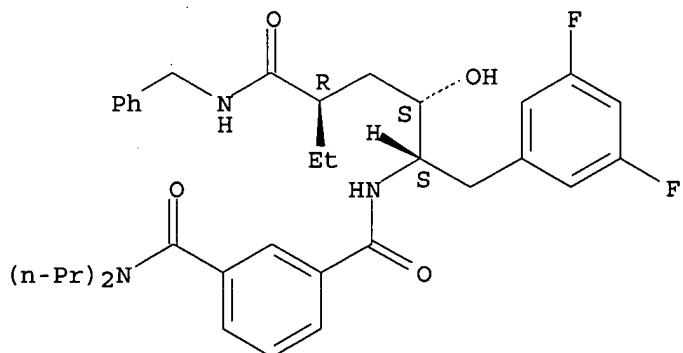
2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 22 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 362480-17-9 REGISTRY
 CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[[[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C35 H43 F2 N3 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Journal; Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 23 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-16-8 REGISTRY

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H45 F2 N3 O4

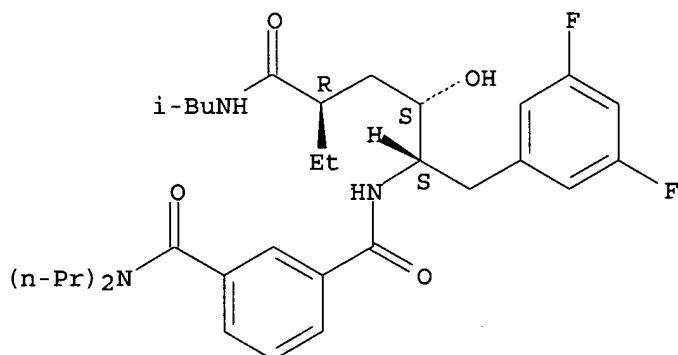
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 24 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-15-7 REGISTRY

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H45 F2 N3 O4

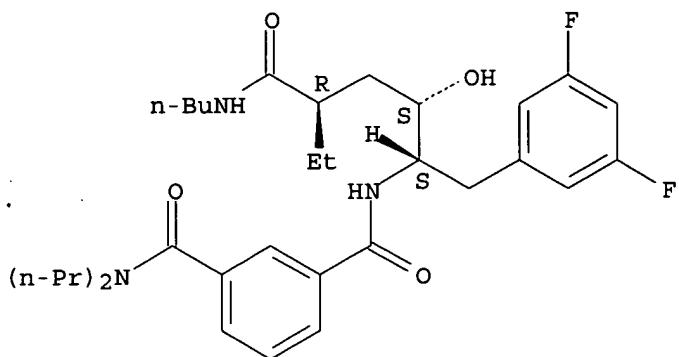
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:107007

REFERENCE 2: 135:273220

L18 ANSWER 25 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-14-6 REGISTRY

CN Octanoic acid, 8-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H51 F2 N3 O6

SR CA

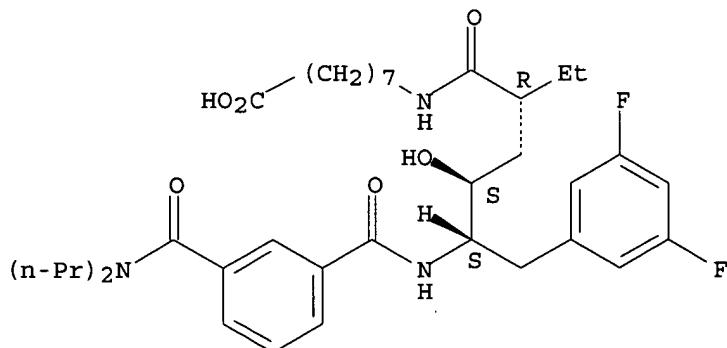
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 26 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-13-5 REGISTRY

CN β -Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl] - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C31 H41 F2 N3 O6

SR CA

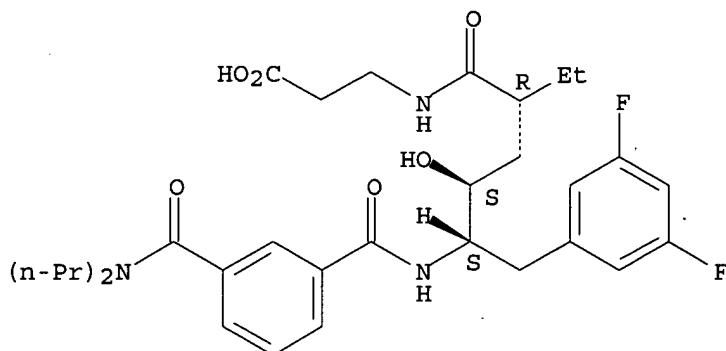
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 27 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-12-4 REGISTRY

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino] - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H43 F2 N3 O6

SR CA

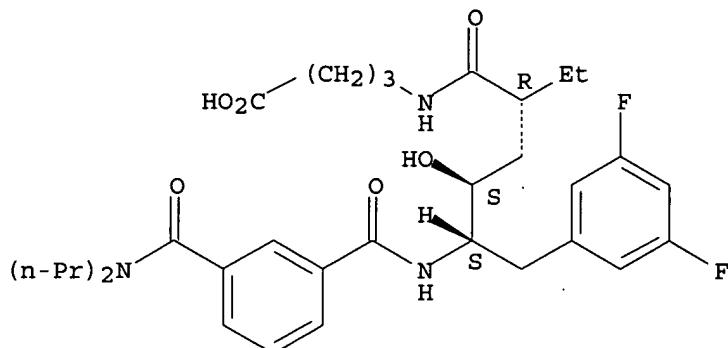
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 28 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-11-3 REGISTRY

CN Pentanoic acid, 5-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H45 F2 N3 O6

SR CA

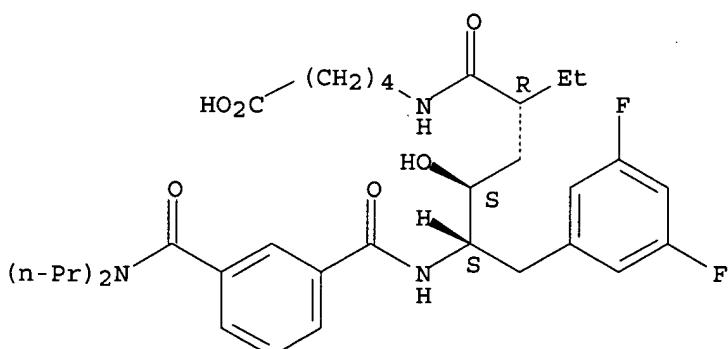
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 29 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362480-00-0 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C35 H47 F2 N3 O6

SR CA

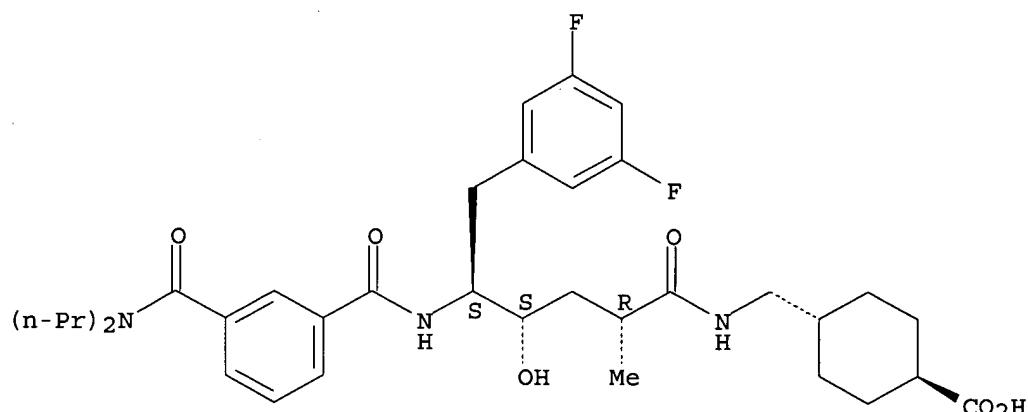
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 30 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-99-0 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-

[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C37 H51 F2 N3 O6

SR CA

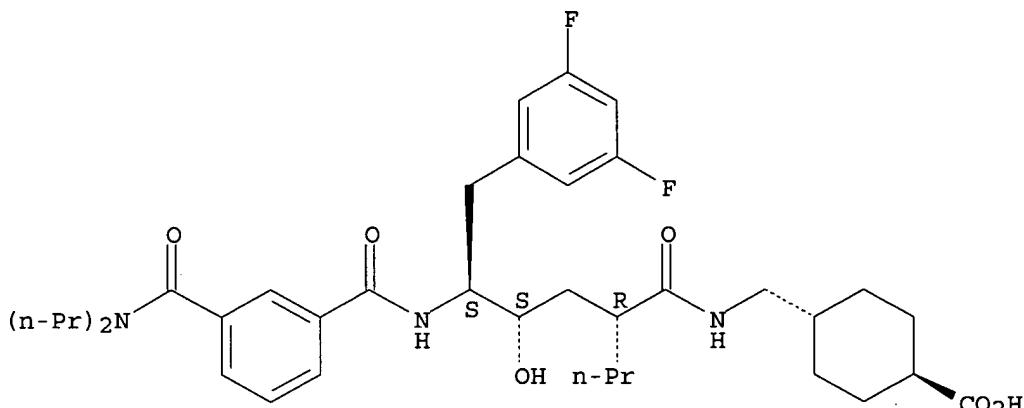
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 31 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-98-9 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C41 H51 F2 N3 O6

SR CA

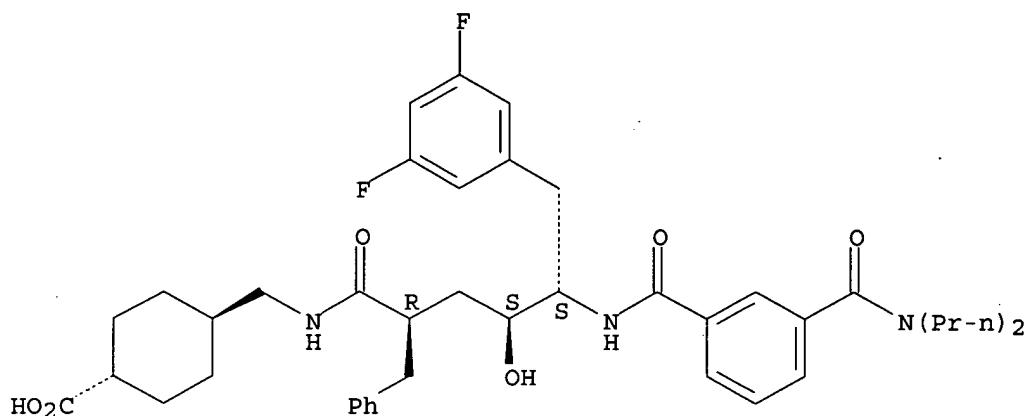
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 32 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-97-8 REGISTRY

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H49 F2 N3 O6

SR CA

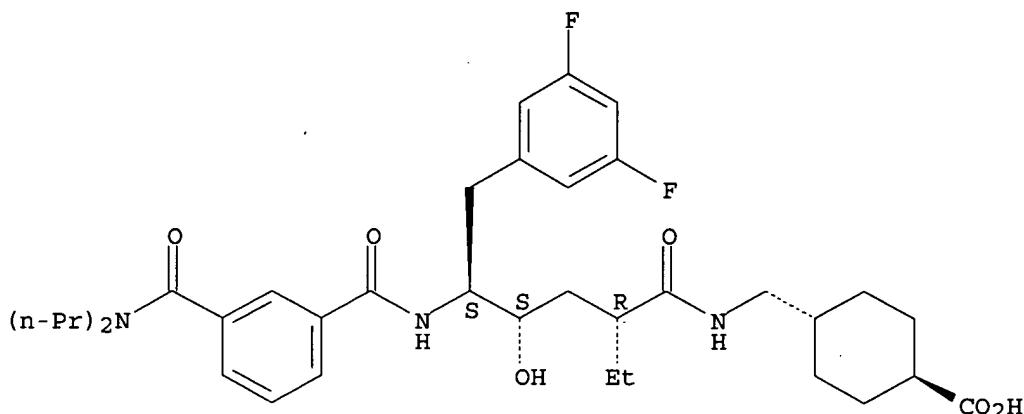
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

L18 ANSWER 33 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-96-7 REGISTRY

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C37 H53 F2 N3 O6

SR CA

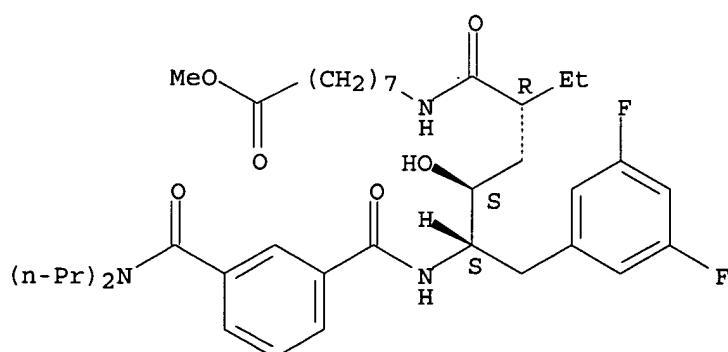
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 34 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-95-6 REGISTRY

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H47 F2 N3 O6

SR CA

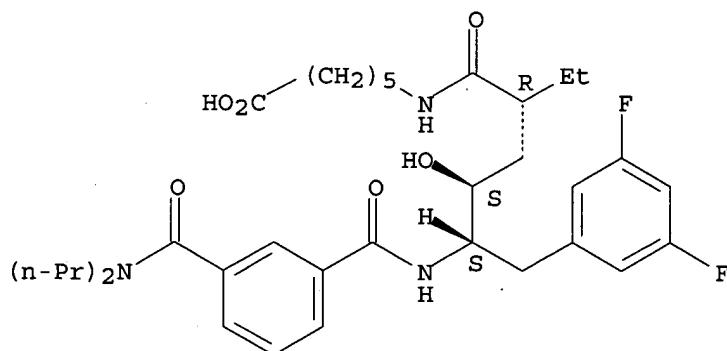
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:146499

REFERENCE 2: 138:107007

REFERENCE 3: 135:273220

L18 ANSWER 35 OF 35 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362479-94-5 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1 α ,3 α ,5 α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C36 H51 F2 N3 O6

SR CA

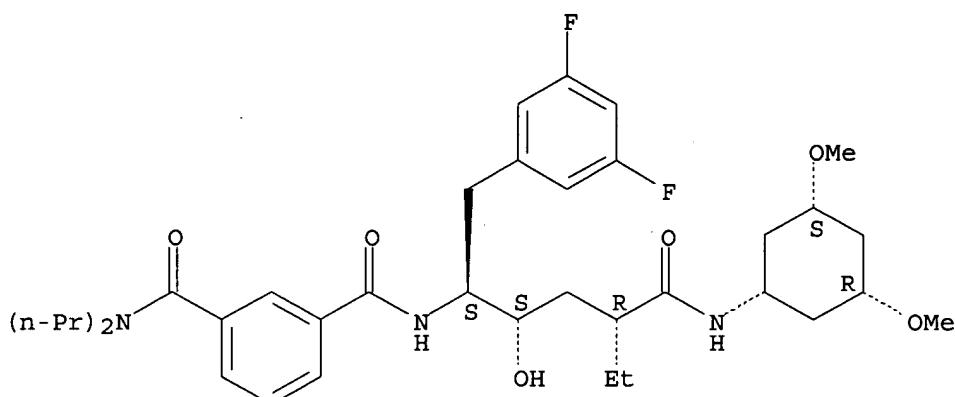
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:374417

REFERENCE 2: 140:146499

REFERENCE 3: 138:107007

REFERENCE 4: 135:273220

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 08:48:15 ON 16 FEB 2005

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FILE COVERS 1907 - 16 Feb 2005 VOL 142 ISS 8

FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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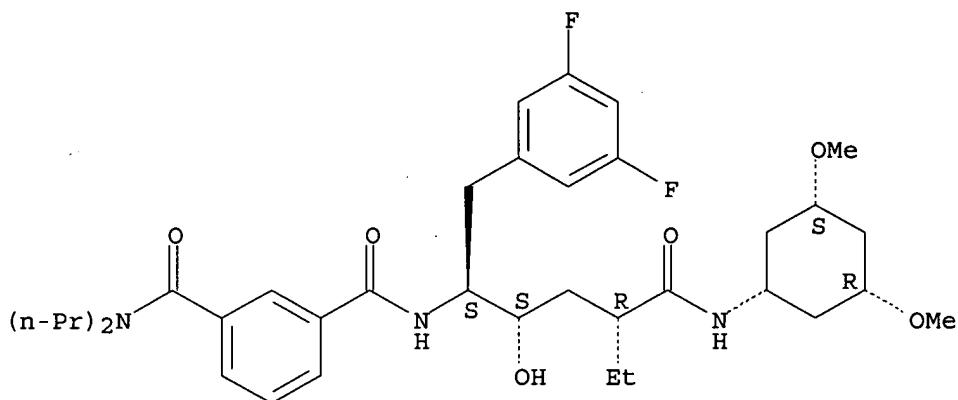
L26 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:729833 HCAPLUS
 DN 141:374417
 ED Entered STN: 08 Sep 2004
 TI Modeling the binding affinities of β -secretase inhibitors:
 application to subsite specificity
 AU Rajamani, Ramkumar; Reynolds, Charles H.
 CS Johnson & Johnson Pharmaceutical Research and Development, Spring House,
 PA, 19477-0776, USA
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(19), 4843-4846
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 CC 1-3 (Pharmacology)
 Section cross-reference(s): 7
 AB A new linear binding affinity model has been developed for hydroxyethylene
 based inhibitors of β -secretase (BACE). This model is an improvement
 over a previously published model, and has been applied to a series of
 analogs not included in the training set. The linear model has been used
 to study subsite specificity for the P2 through P2' positions, and to
 evaluate a small number of C-terminal analogs. The predicted rankings are in
 good agreement with experiment and support using this model for structure-based
 design of BACE inhibitors.
 ST beta secretase inhibitor mol modeling
 IT Binding energy
 Molecular modeling
 (modeling binding affinities of β -secretase inhibitors)
 IT 158736-49-3, β -Secretase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (modeling binding affinities of β -secretase inhibitors)
 IT 314266-76-7, OM99-2 362479-94-5 362479-97-8
 362480-11-3 362480-13-5 362480-17-9
 364635-79-0 364635-80-3 364635-81-4 364635-82-5 364635-83-6
 364635-84-7 364635-85-8 364635-86-9 364635-90-5 440326-00-1
 452898-62-3, OM00-3
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (modeling binding affinities of β -secretase inhibitors)
 RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 RE
 (1) Gosh, A; J Med Chem 2001, V44, P2865
 (2) Hom, R; J Med Chem 2004, V47, P158 HCAPLUS
 (3) Hong, L; Biochemistry 2002, V41, P10963 HCAPLUS
 (4) Hong, L; Science 2000, V290, P150 HCAPLUS
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 (9) Roggo, A; Curr Top Med Chem 2002, V2, P359
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 (11) Selkoe, D; Physiol Rev 2001, V81, P741 HCAPLUS
 (12) Shuto, D; Bioorg Med Chem Lett 2003, V13, P4273 HCAPLUS
 (13) Sinha, S; Proc Natl Acad Sci U S A 1999, V96, P11049 HCAPLUS
 (14) Toung, B; J Med Chem 2003, V46, P2074 HCAPLUS
 (15) Tung, J; J Med Chem 2002, V45, P259 HCAPLUS
 (16) Turner, R; Biochemistry 2001, V40, P10002
 (17) Varghese, J; J Med Chem 2003, V46, P1
 (18) Vassar, R; Neuron 2000, V27, P419 HCAPLUS
 IT 362479-94-5 362479-97-8 362480-11-3
 362480-13-5 362480-17-9
 RL: PAC (Pharmacological activity); BIOL (Biological study)

(modeling binding affinities of β -secretase inhibitors)

RN 362479-94-5 HCAPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1 α ,3 α ,5 α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

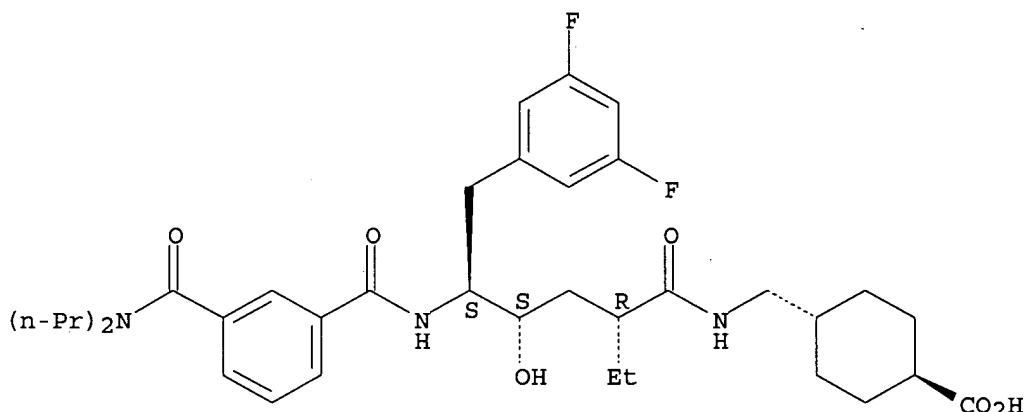
Absolute stereochemistry.



RN 362479-97-8 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

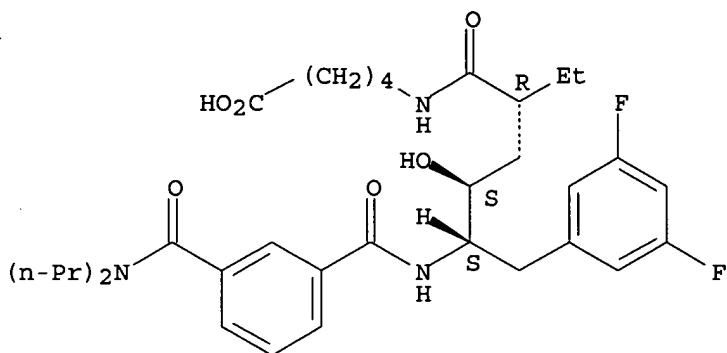
Absolute stereochemistry.



RN 362480-11-3 HCAPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

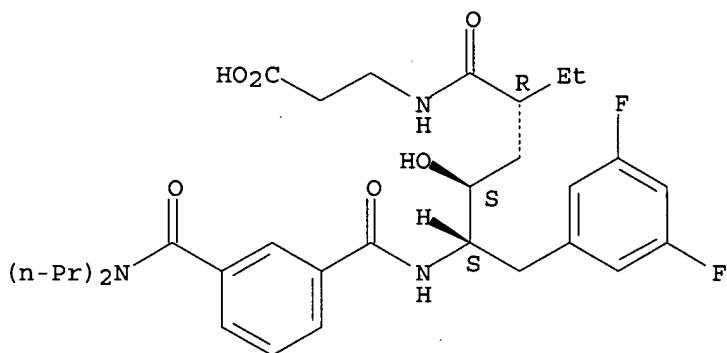
Absolute stereochemistry.



RN 362480-13-5 HCAPLUS

CN β -Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

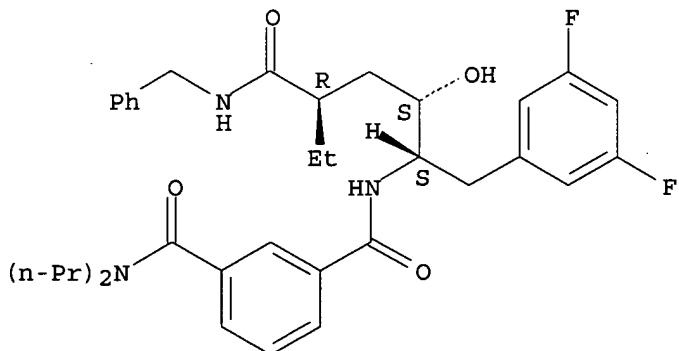
Absolute stereochemistry.



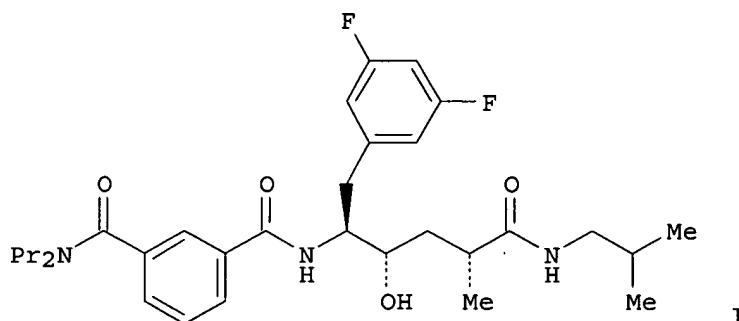
RN 362480-17-9 HCAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



DN 140:146499
 ED Entered STN: 10 Dec 2003
 TI Design and Synthesis of Hydroxyethylene-Based Peptidomimetic Inhibitors of Human β -Secretase
 AU Hom, Roy K.; Gailunas, Andrea F.; Mamo, Shumeye; Fang, Larry Y.; Tung, Jay S.; Walker, Donald E.; Davis, David; Thorsett, Eugene D.; Jewett, Nancy E.; Moon, Joseph B.; John, Varghese
 CS Elan, South San Francisco, CA, 94080, USA
 SO Journal of Medicinal Chemistry (2004), 47(1), 158-164
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7
 GI



AB The hydroxyethylene (HE) transition state isostere was developed as a scaffold to provide potent, small mol. inhibitors of human β -secretase (BACE). The previous work on the statine series proved critical to the discovery of HE structure-activity relationships. In this work, peptidomimetic I with the N-terminal isophthalamide proved to be the most potent HE inhibitor ($IC_{50} = 30$ nM) toward BACE. Unlike the statine series, the authors identified HE inhibitors without carboxylic acids on the C terminus, leading to enhanced cell penetration and making them attractive candidates for further drug development in Alzheimer's disease.
 ST hydroxyethylene isostere peptidomimetic prepn inhibitor beta secretase
 IT Structure-activity relationship
 (enzyme-inhibiting; preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β -secretase)
 IT Human
 Peptidomimetics
 (preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β -secretase)
 IT Alzheimer's disease
 (preparation of hydroxyethylene-based peptidomimetics as inhibitors of human β -secretase and as potential drug candidates)
 IT 158736-49-3, β -Secretase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation and biol. activity of hydroxyethylene-based peptidomimetics as inhibitors of human β -secretase)
 IT 362479-93-4P 362479-94-5P 362479-95-6P
 362479-96-7P 362479-97-8P 362479-98-9P
 362479-99-0P 362480-00-0P 362480-11-3P
 362480-12-4P 362480-13-5P 362480-14-6P
 362480-17-9P 362480-25-9P 362480-26-0P

651054-94-3P 651054-95-4P 651054-96-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)(preparation and biol. activity of hydroxyethylene-based peptidomimetics as
inhibitors of human β -secretase)

IT 485389-88-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and biol. activity of hydroxyethylene-based peptidomimetics as
inhibitors of human β -secretase)

IT 485389-90-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)(preparation and biol. activity of hydroxyethylene-based peptidomimetics as
inhibitors of human β -secretase)

IT 56-12-2, reactions 60-32-2 100-46-9, Benzyl amine, reactions

107-95-9, β -Alanine 660-88-8 1002-57-9 1197-18-8 59080-49-8

126926-35-0, N,N-Dipropylisophthalamic acid 337531-15-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation hydroxyethylene-based peptidomimetics)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Askin, D; J Org Chem 1992, V57, P2771 HCPLUS
- (2) Basha, A; Tetrahedron Lett 1977, P4171 HCPLUS
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- (17) Selkoe, D; Nature 1999, V399(Suppl 6738), PA23
- (18) Sinha, S; Nature 1999, V402, P537 HCPLUS
- (19) Sinha, S; Proc Natl Acad Sci U S A 1999, V96, P11049 HCPLUS
- (20) Tung, J; J Med Chem 2002, V45, P259 HCPLUS
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- (22) Yan, R; Nature 1999, V402, P533 HCPLUS

IT 362479-94-5P 362479-95-6P 362479-96-7P

362479-97-8P 362479-98-9P 362479-99-0P

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362480-13-5P 362480-14-6P 362480-17-9P

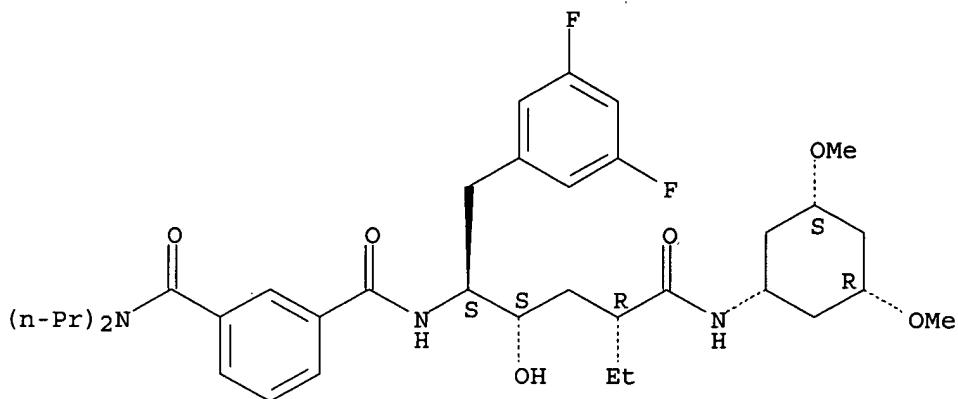
362480-25-9P 362480-26-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)(preparation and biol. activity of hydroxyethylene-based peptidomimetics as
inhibitors of human β -secretase)

RN 362479-94-5 HCPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-
[[[(1 α ,3 α ,5 α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-
hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

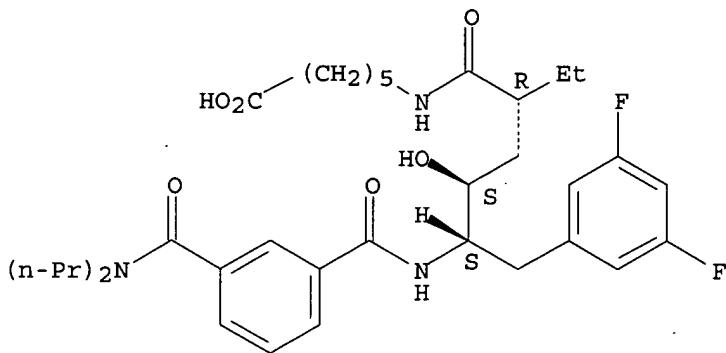
Absolute stereochemistry.



RN 362479-95-6 HCAPLUS

CN Hexanoic acid, 6-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

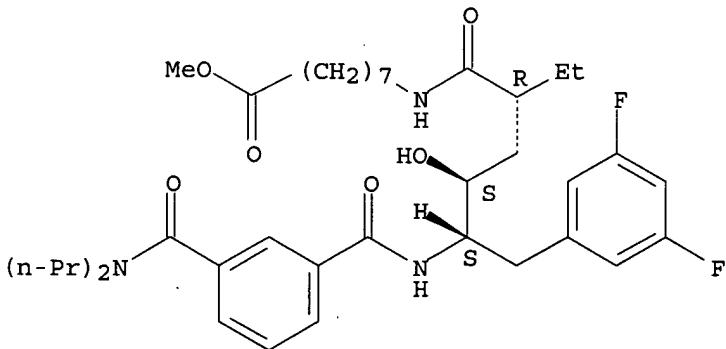
Absolute stereochemistry.



RN 362479-96-7 HCAPLUS

CN Octanoic acid, 8-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

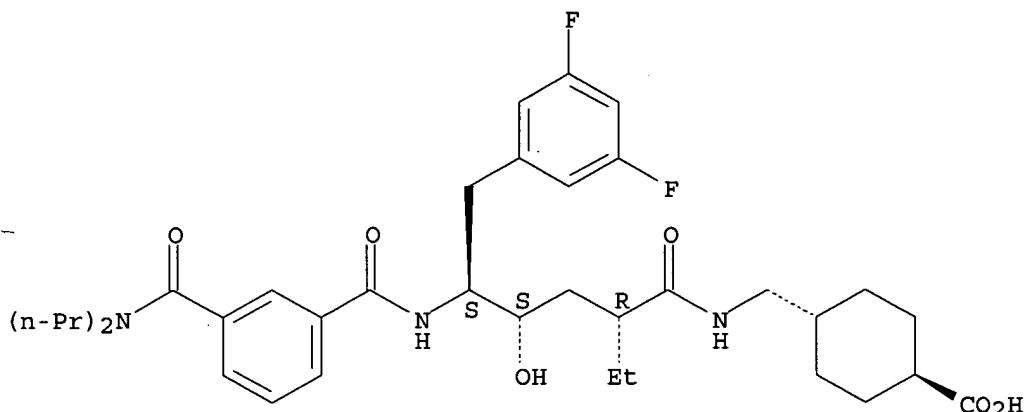


RN 362479-97-8 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-

[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino)methyl]-, trans- (9CI) (CA INDEX NAME)

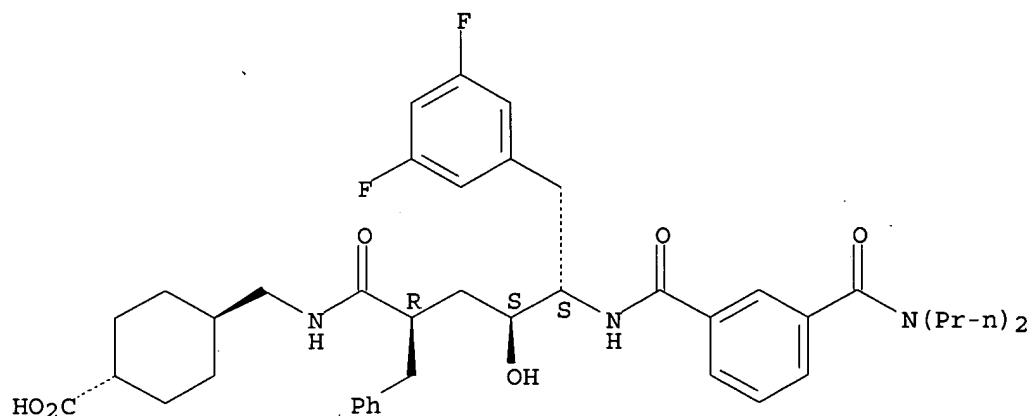
Absolute stereochemistry.



RN 362479-98-9 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino)methyl]-, trans- (9CI) (CA INDEX NAME)

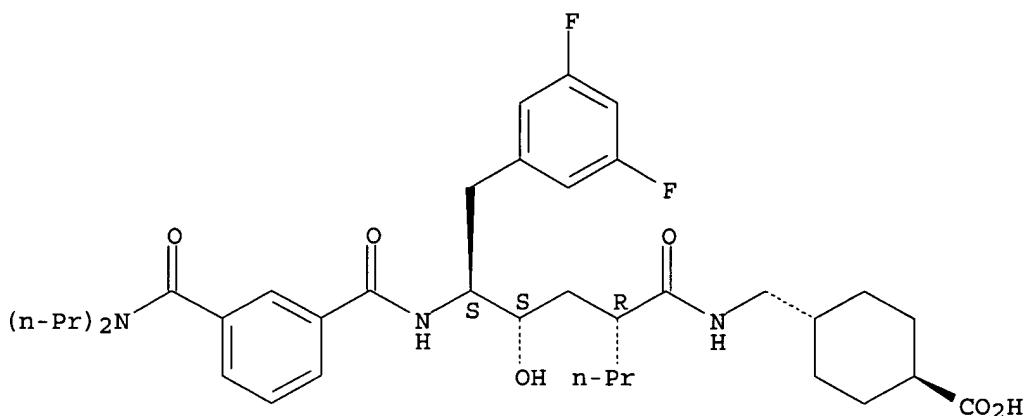
Absolute stereochemistry.



RN 362479-99-0 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino)methyl]-, trans- (9CI) (CA INDEX NAME)

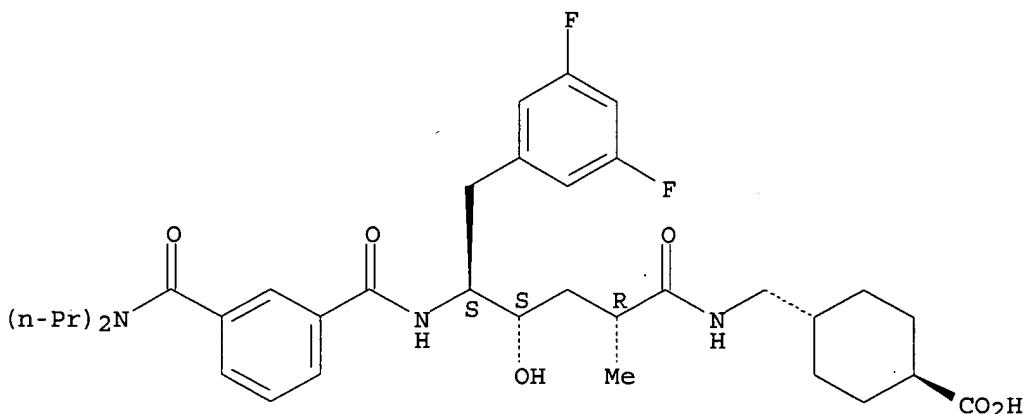
Absolute stereochemistry.



RN 362480-00-0 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

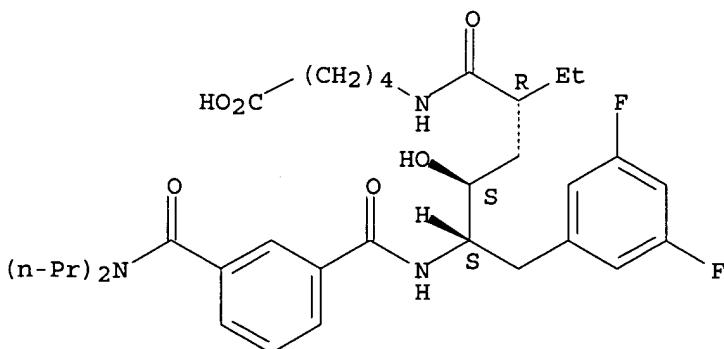
Absolute stereochemistry.



RN 362480-11-3 HCPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

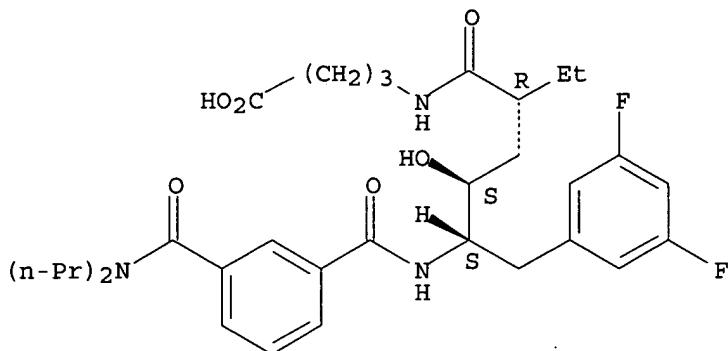
Absolute stereochemistry.



RN 362480-12-4 HCAPLUS

CN Butanoic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

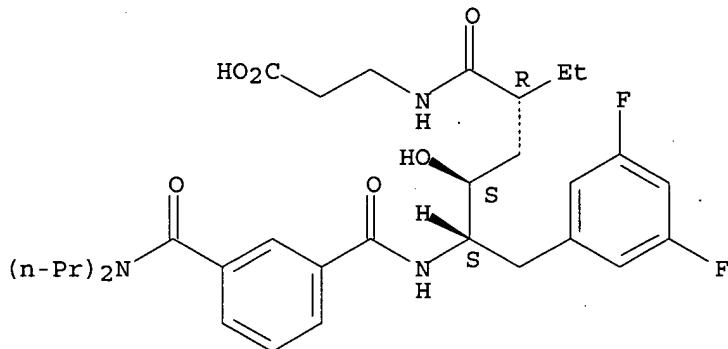
Absolute stereochemistry.



RN 362480-13-5 HCAPLUS

CN β -Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

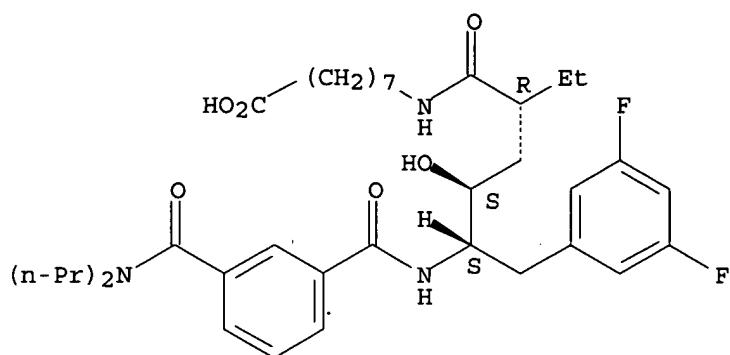
Absolute stereochemistry.



RN 362480-14-6 HCAPLUS

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

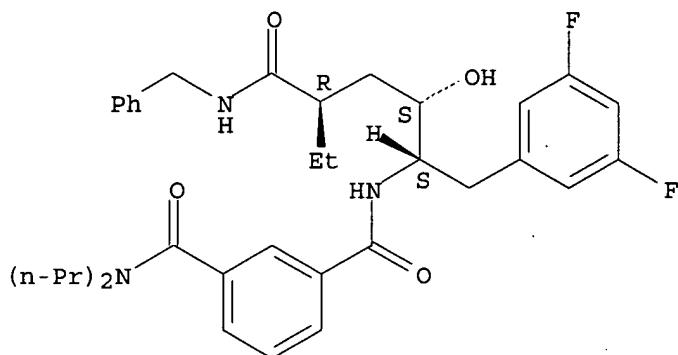
Absolute stereochemistry.



RN 362480-17-9 HCAPLUS

1,3-Benzodinedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]carbonylhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

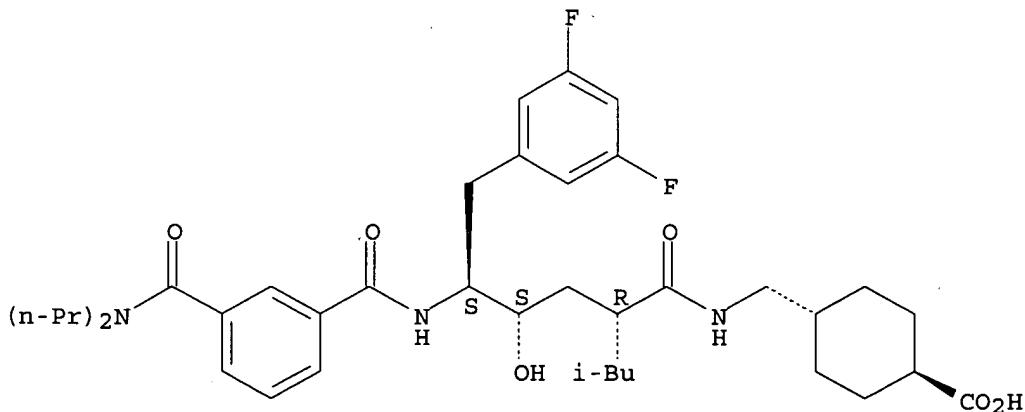
Absolute stereochemistry.



RN 362480-25-9 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

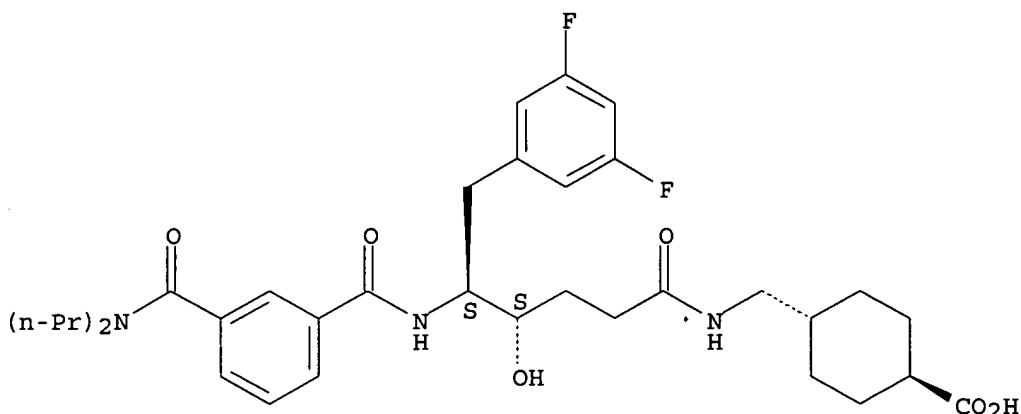
Absolute stereochemistry.



RN 362480-26-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:43054 HCAPLUS
 DN 138:107007
 ED Entered STN: 17 Jan 2003
 TI Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating Alzheimer's disease
 IN Hom, Roy; Mamo, Shumeye; Tung, Jay;
 Gailunas, Andrea; John, Varghese; Fang, Lawrence *Wenf*
 PA USA
 SO U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
 CODEN: USXXCO
 DT Patent
 LA English
 IC ICM C07D333-52
 ICS C07C229-00; C07D215-12; C07D213-53; C07D209-14
 NCL 544335000; 546176000; 546329000; 548503000; 558418000; 549049000;
 560038000
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003013881	A1	20030116	US 2001-960634	20010921 <--
	US 2002019403	A1	20020214	US 2001-816876	20010323 <--
	US 2002022623	A1	20020221	US 2001-815960	20010323 <--
	US 6737420	B2	20040518		
	US 2004214846	A1	20041028	US 2004-847819	20040518 <--
PRAI	US 2000-191528P	P	20000323	<--	
	US 2001-815960	A2	20010323		
	US 2001-816876	A2	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003013881	ICM	C07D333-52
	ICS	C07C229-00; C07D215-12; C07D213-53; C07D209-14
	NCL	544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000
US 2003013881	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C;

US 2002019403	ECLA	C07D307/52; C07K005/02C; C07K007/02 C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02	<--
US 2002022623	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02	<--
US 2004214846	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02	<--

OS MARPAT 138:107007

AB The invention is directed toward substituted hydroxyethylene compds. having the fragment -NHCHR1CH(OH)CH2CHR2CO- [R1 = alkyl, alkylthioalkyl, alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclalkyl, or heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in treating Alzheimer's disease and similar diseases. In an example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophthalamide was prepared by solution-based methodol.

ST peptide aminohydroxypentanoic acid prep treatment Alzheimers; hydroxypentanoic acid amino prep treatment Alzheimers

IT Amyloidosis

(Dutch-Type; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease

(amyloid angiopathy; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Hemorrhage

(cerebral, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Mental disorder

(cognitive; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease

(cortical basal degeneration; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Mental disorder

(dementia; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Cognition

(disorder; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Brain, disease

(hemorrhage, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Alzheimer's disease

Down's syndrome

Human

Parkinson's disease

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Paralysis

(pseudobulbar; preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(β -; preparation of amino(hydroxy)pentanoic acid derivs. for treating

Alzheimer's disease)

IT 158736-49-3, β Secretase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

IT 362479-96-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

IT 362480-11-3P 362480-12-4P 362480-13-5P
 362480-14-6P 362480-15-7P 362480-16-8P
 362480-17-9P 362480-18-0P 362480-19-1P
 362480-20-4P 362480-21-5P 362480-22-6P
 362480-23-7P 362480-24-8P 362480-25-9P
 362480-26-0P 362480-27-1P 362480-28-2P
 362480-29-3P 362480-30-6P 362480-31-7P
 362480-32-8P 362480-33-9P 362480-34-0P
 362480-35-1P 362480-36-2P 362480-37-3P
 362480-38-4P 362480-39-5P 485807-13-4P 485807-14-5P
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 485807-30-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

IT 60-32-2, 6 Aminohexanoic acid 78-84-2, Isobutyraldehyde 79-03-8,
 Propionyl chloride 79-30-1, Isobutyryl chloride 90-82-4, +
 Pseudoephedrine 116-11-0, 2 Methoxy 1 propene 638-29-9, Pentanoyl
 chloride 645-45-4, 3 Phenylpropionyl chloride 701-54-2 1002-57-9, 8
 Aminoctanoic acid 1197-18-8 1826-67-1, Vinylmagnesium bromide
 6341-54-4 18469-52-8 68683-72-7 74733-38-3 83646-27-9
 126456-43-7 126926-35-0, n n Dipropylisophthalamic acid 205445-52-9
 337531-15-4 362480-40-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

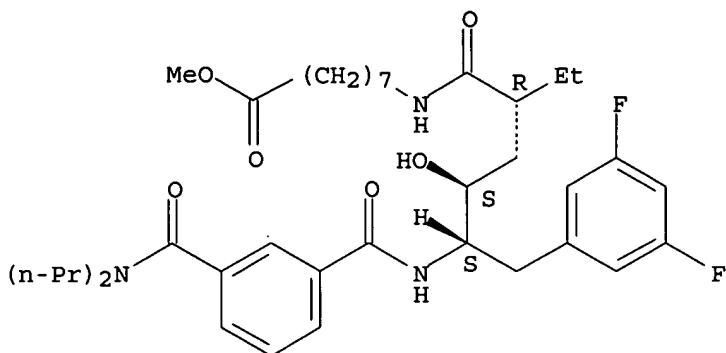
IT 362479-88-7P 362479-89-8P 362479-90-1P 362479-91-2P 362479-92-3P
 362479-93-4P 362479-94-5P 362479-95-6P
 362479-97-8P 362479-98-9P 362479-99-0P
 362480-00-0P 362480-01-1P 362480-02-2P 362480-03-3P
 362480-04-4P 362480-05-5P 362480-09-9P 362480-10-2P 485389-88-6P
 485389-89-7P 485389-90-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

IT 362479-96-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating
 Alzheimer's disease)

RN 362479-96-7 HCPLUS

CN Octanoic acid, 8-[[2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-
 oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT	362480-11-3P	362480-12-4P	362480-13-5P
	362480-14-6P	362480-15-7P	362480-16-8P
	362480-17-9P	362480-18-0P	362480-19-1P
	362480-20-4P	362480-21-5P	362480-22-6P
	362480-23-7P	362480-24-8P	362480-25-9P
	362480-26-0P	362480-27-1P	362480-28-2P
	362480-29-3P	362480-30-6P	362480-31-7P
	362480-32-8P	362480-33-9P	362480-34-0P
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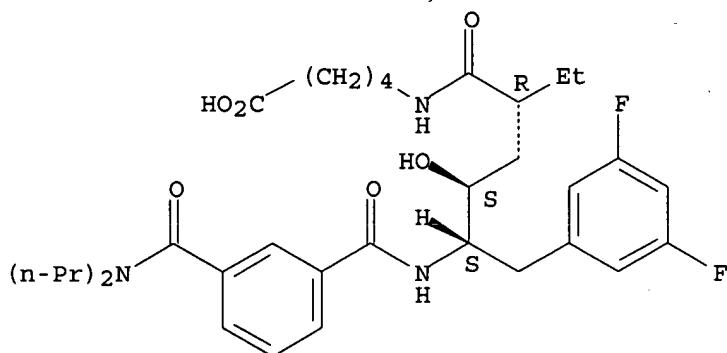
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362480-11-3 HCAPLUS

CN Pentanoic acid, 5-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

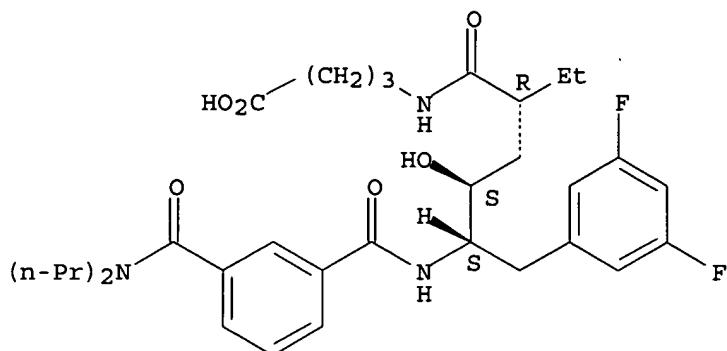
Absolute stereochemistry.



RN 362480-12-4 HCPLUS

CN Butanoic acid, 4-[[[2R,4S,5S]-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

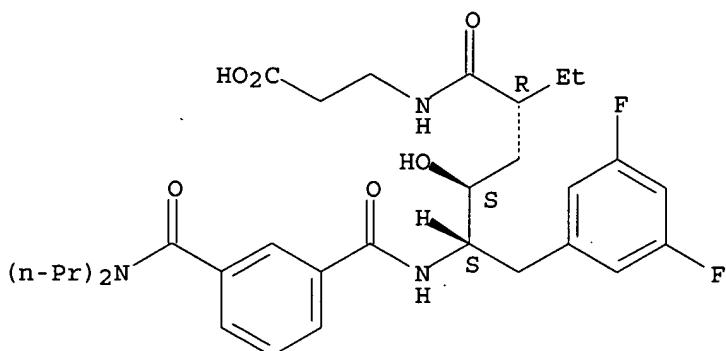
Absolute stereochemistry.



RN 362480-13-5 HCAPLUS

CN β -Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]- (9CI) (CA INDEX NAME)

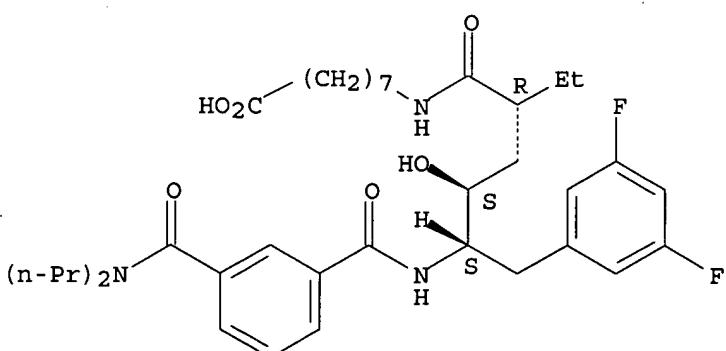
Absolute stereochemistry.



RN 362480-14-6 HCAPLUS

CN Octanoic acid, 8-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

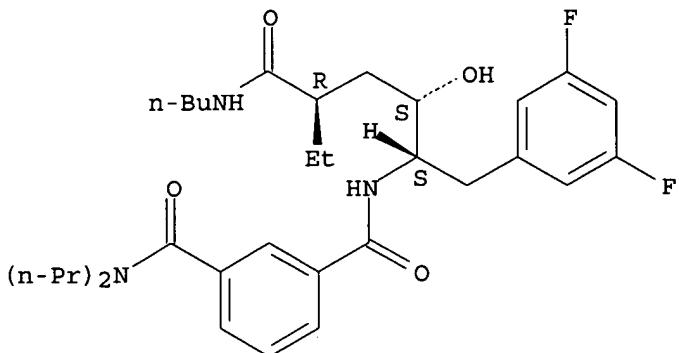


RN 362480-15-7 HCAPLUS

CN 1,3-Benzeneddicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX)

NAME)

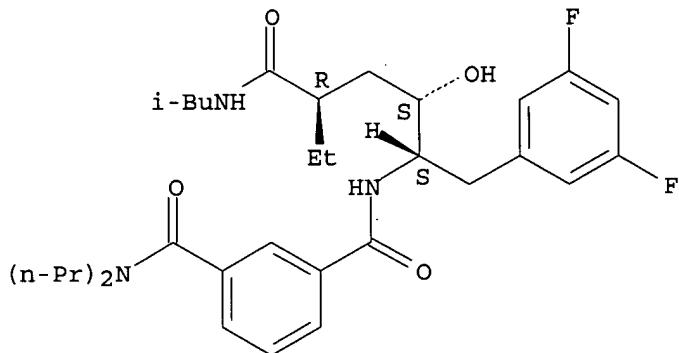
Absolute stereochemistry.



RN 362480-16-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N' - [(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(2-methylpropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

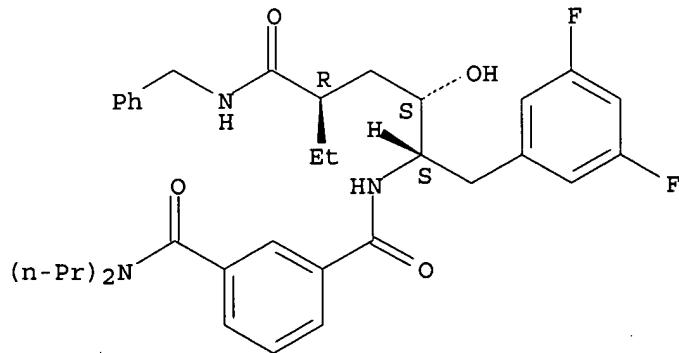
Absolute stereochemistry.



RN 362480-17-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

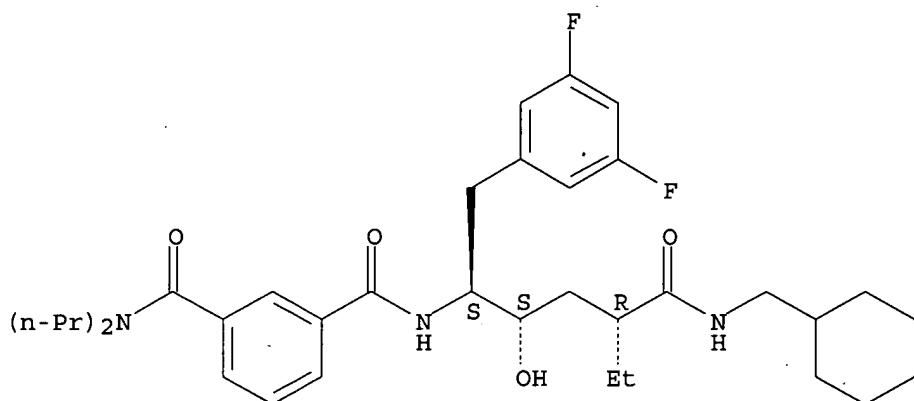
Absolute stereochemistry.



RN 362480-18-0 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(cyclohexylmethyl)amino]carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

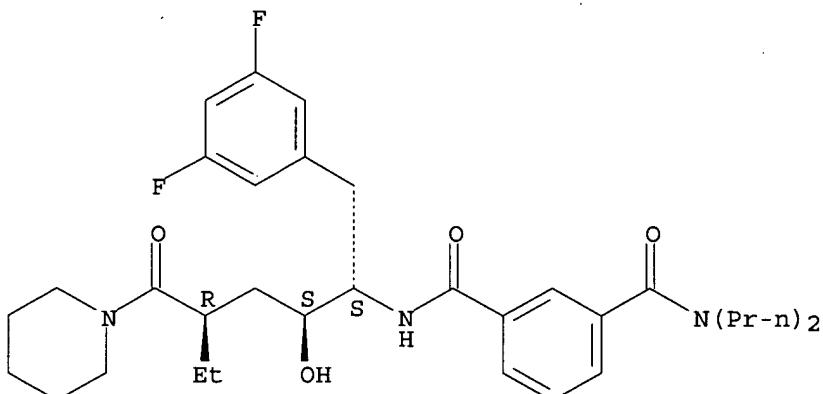
Absolute stereochemistry.



RN 362480-19-1 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

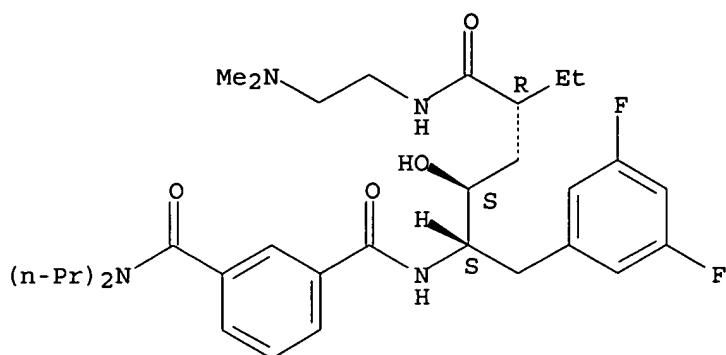
Absolute stereochemistry.



RN 362480-20-4 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

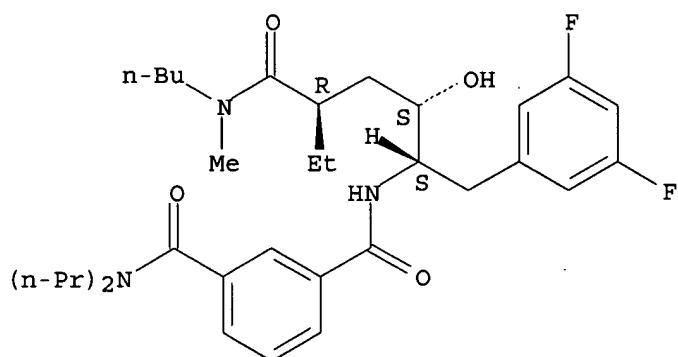
Absolute stereochemistry.



RN 362480-21-5 HCAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

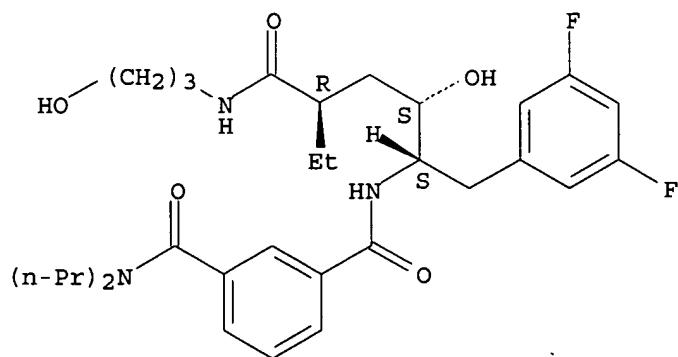
Absolute stereochemistry.



RN 362480-22-6 HCAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonyl]hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



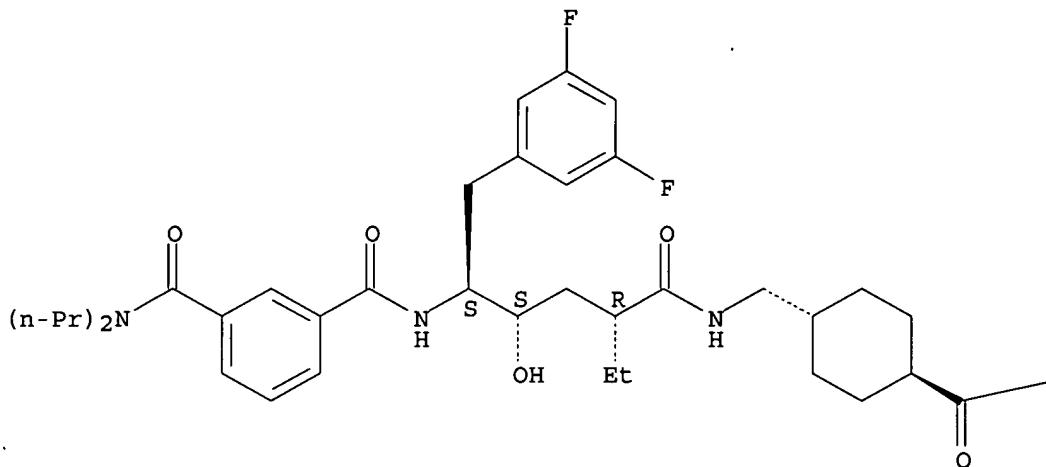
RN 362480-23-7 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-

oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



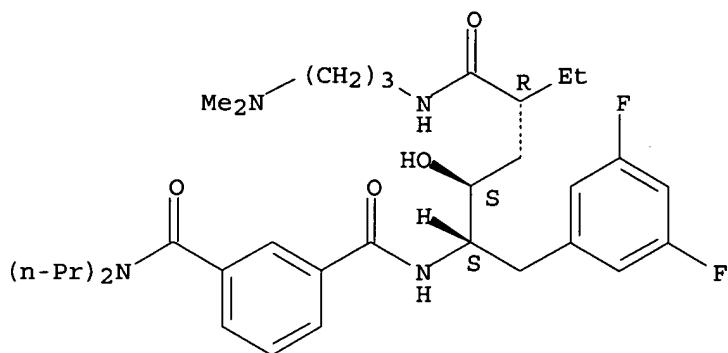
PAGE 1-B

—OMe

RN 362480-24-8 HCPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

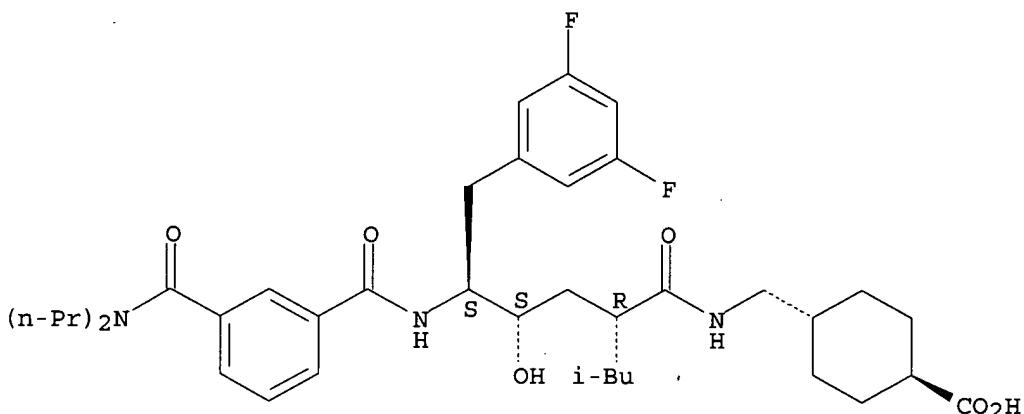
Absolute stereochemistry.



RN 362480-25-9 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

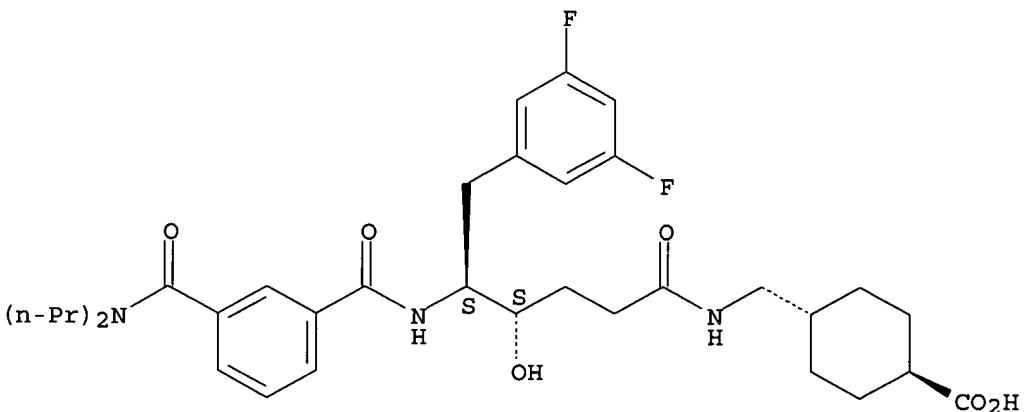
Absolute stereochemistry.



RN 362480-26-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

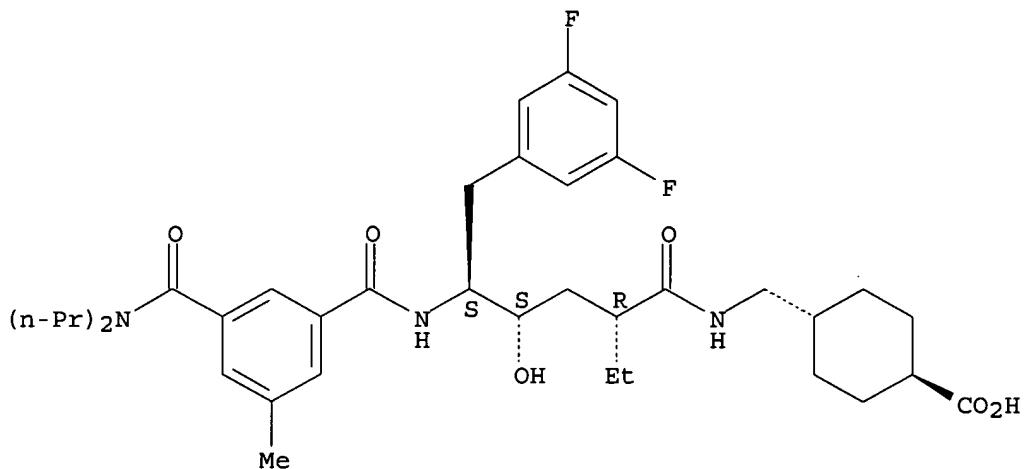
Absolute stereochemistry.



RN 362480-27-1 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

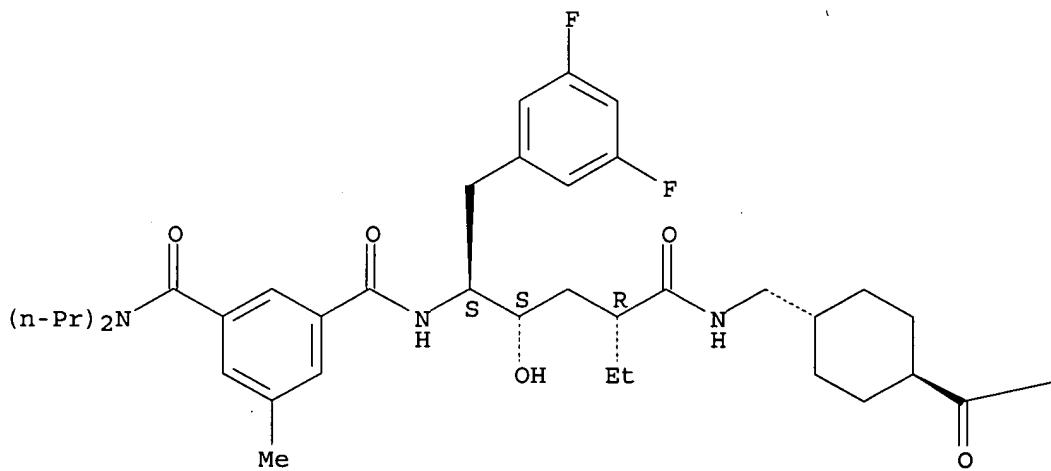


RN 362480-28-2 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



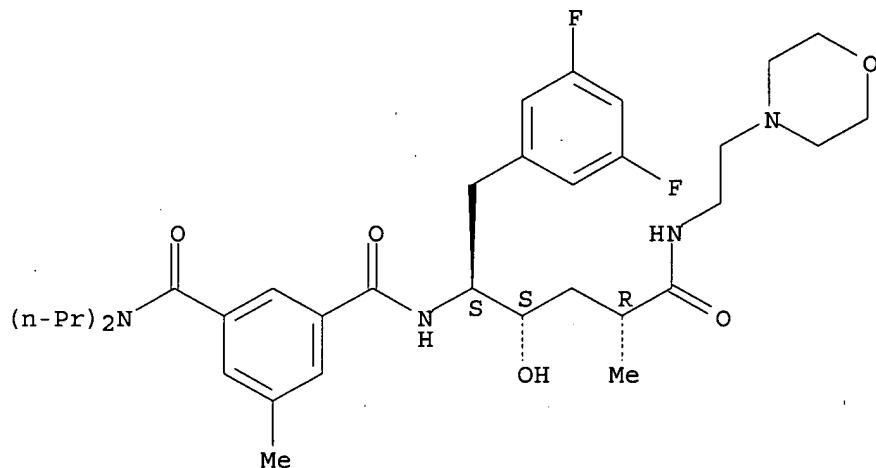
PAGE 1-B

—OMe

RN 362480-29-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

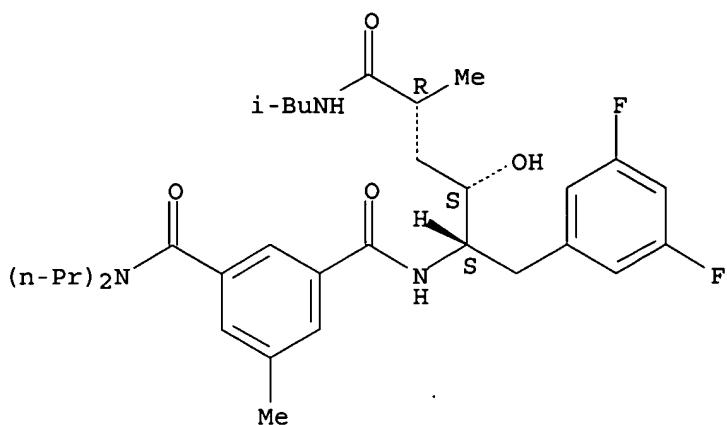
Absolute stereochemistry.



RN 362480-30-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

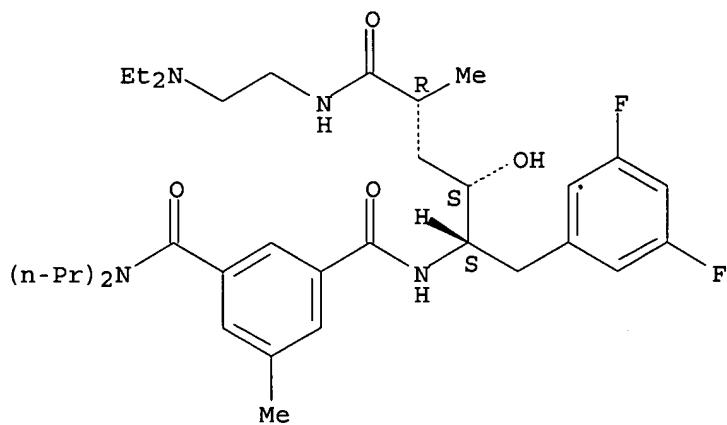
Absolute stereochemistry.



RN 362480-31-7 HCPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R) - 5 - [[2 - (diethylamino) ethyl] amino] - 1 - [(3,5-difluorophenyl) methyl] - 2 - hydroxy - 4 - methyl - 5 - oxopentyl] - 5 - methyl - N,N-dipropyl - (9CI) (CA INDEX NAME)

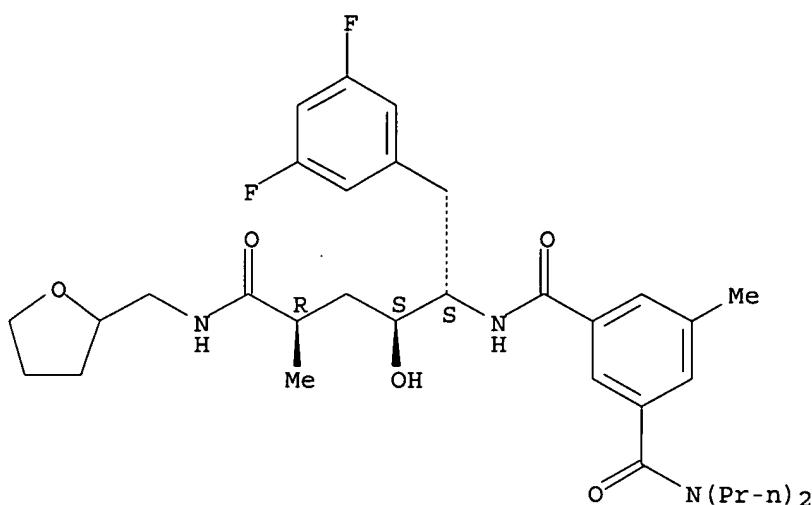
Absolute stereochemistry.



RN 362480-32-8 HCPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R) - 1 - [(3,5-difluorophenyl) methyl] - 2 - hydroxy - 4 - methyl - 5 - oxo - 5 - [(tetrahydro-2-furanyl) methyl] amino] pentyl] - 5 - methyl - N,N-dipropyl - (9CI) (CA INDEX NAME)

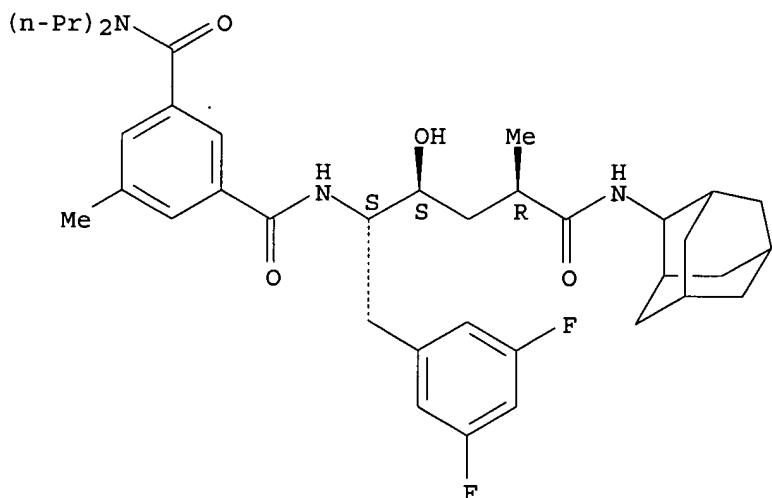
Absolute stereochemistry.



RN 362480-33-9 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

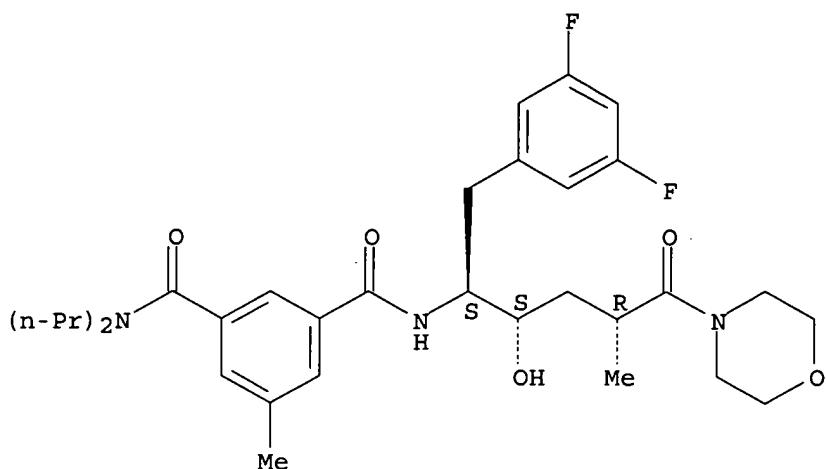
Absolute stereochemistry.



RN 362480-34-0 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

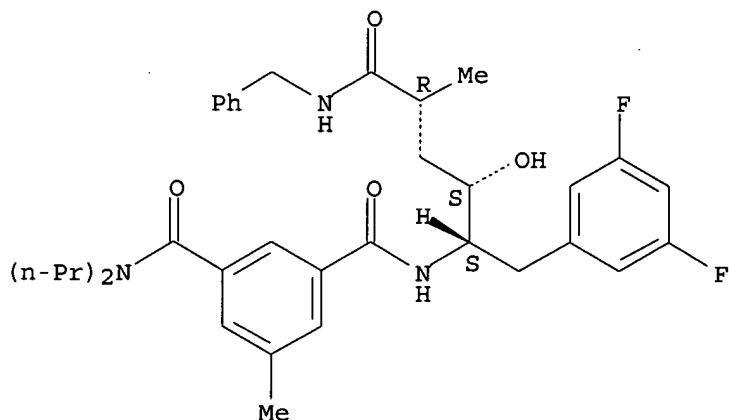
Absolute stereochemistry.



RN 362480-35-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

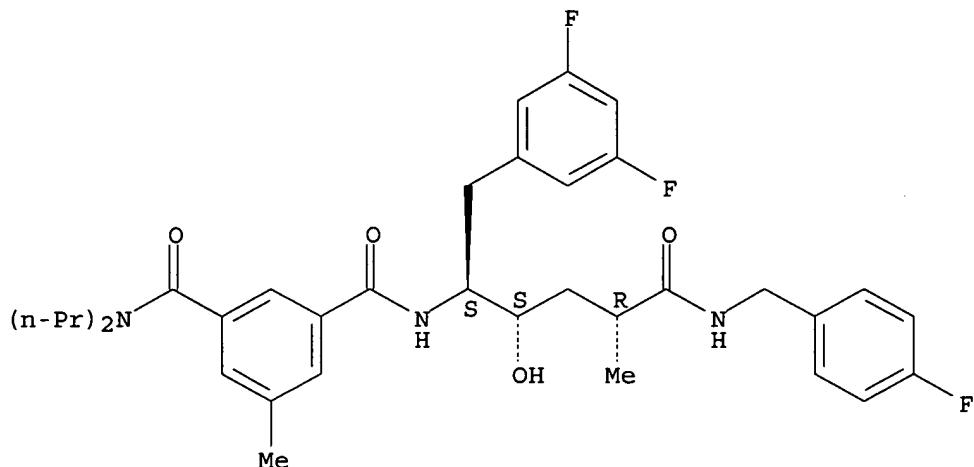
Absolute stereochemistry.



RN 362480-36-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

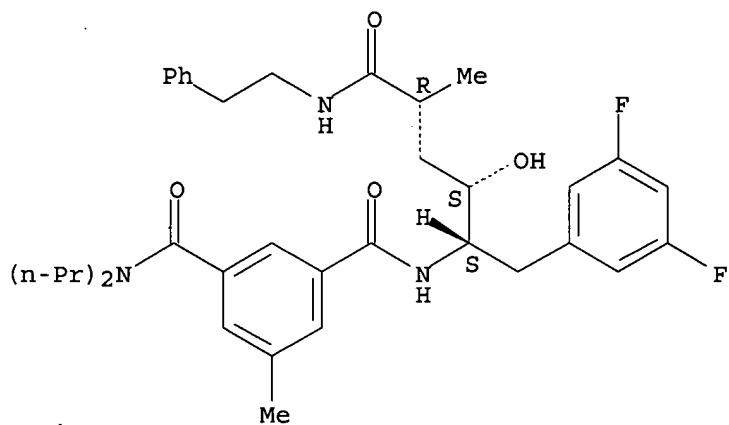
Absolute stereochemistry.



RN 362480-37-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

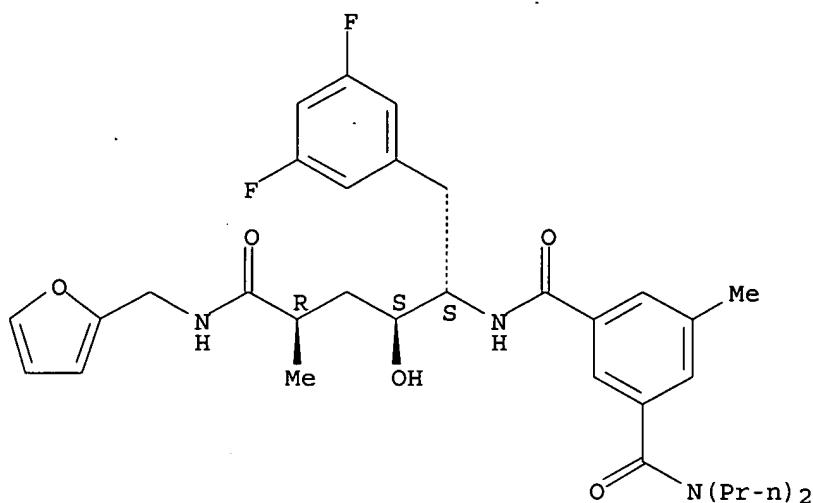
Absolute stereochemistry.



RN 362480-38-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

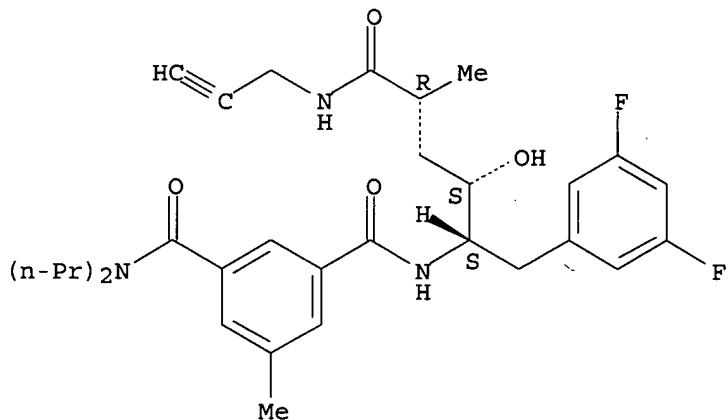
Absolute stereochemistry.



RN 362480-39-5 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(2-propynylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

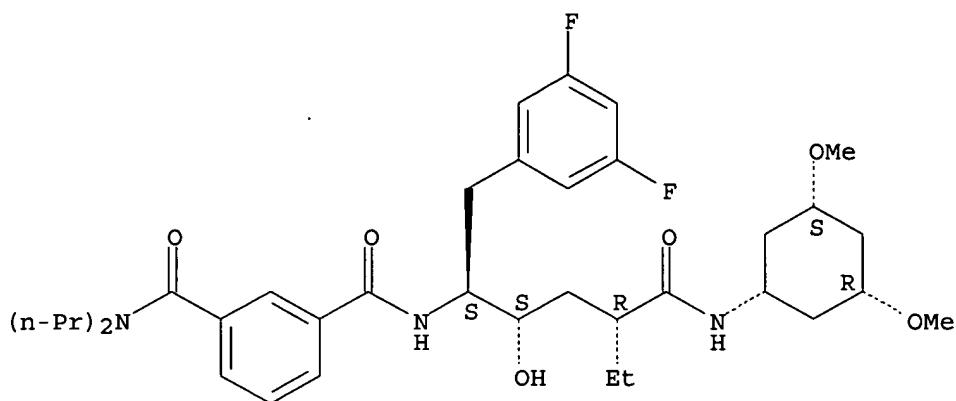
Absolute stereochemistry.

IT 362479-94-5P 362479-95-6P 362479-97-8P
362479-98-9P 362479-99-0P 362480-00-0PRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-94-5 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4- [[[(1 α ,3 α ,5 α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

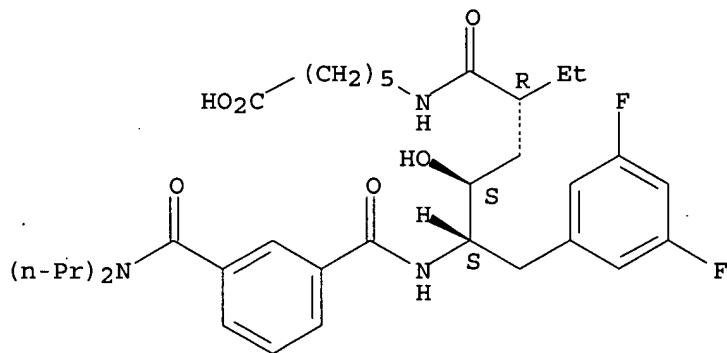
Absolute stereochemistry.



RN 362479-95-6 HCAPLUS

CN Hexanoic acid, 6-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

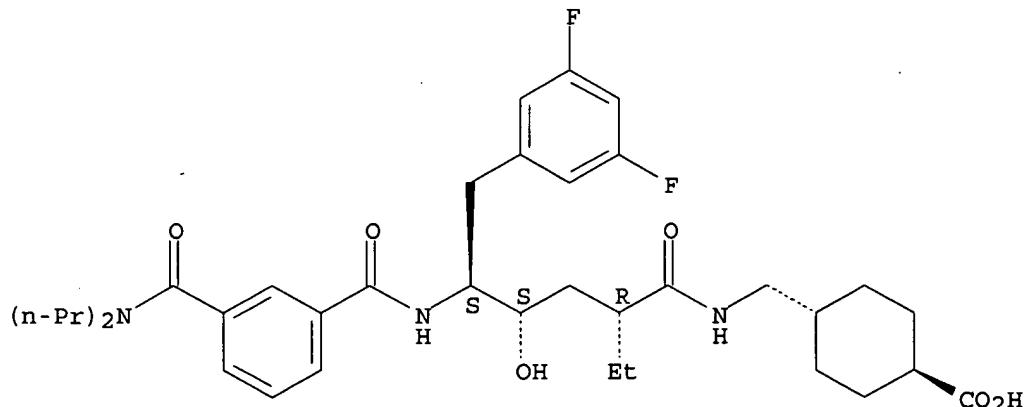
Absolute stereochemistry.



RN 362479-97-8 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

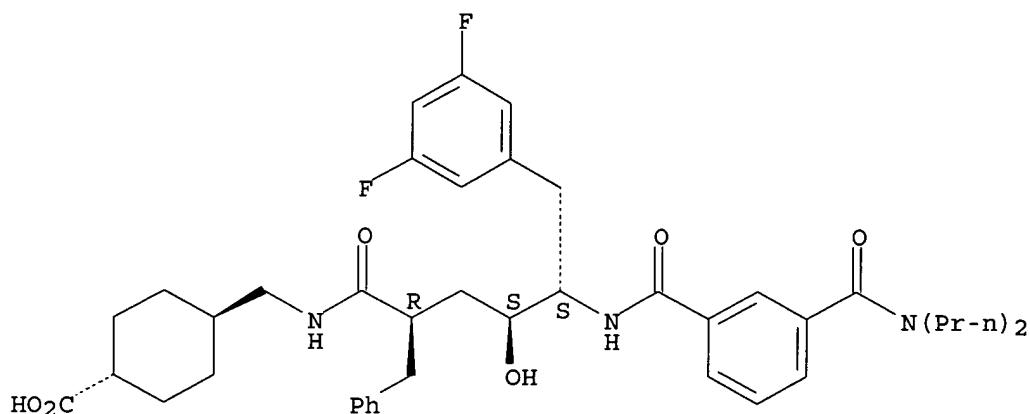
Absolute stereochemistry.



RN 362479-98-9 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

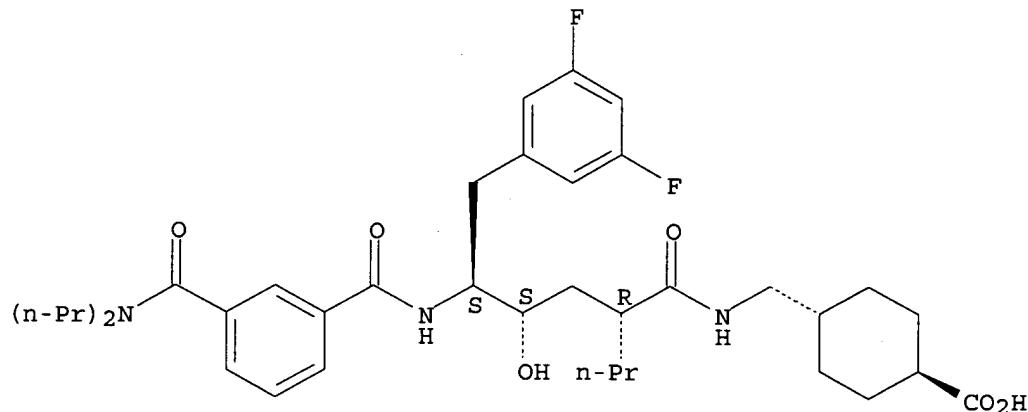
Absolute stereochemistry.



RN 362479-99-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

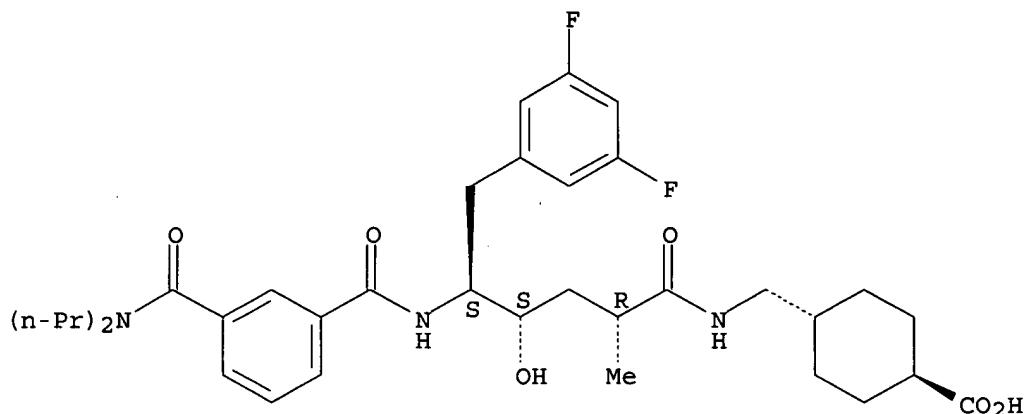
Absolute stereochemistry.



RN 362480-00-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:713293 HCAPLUS
 DN 135:273220
 ED Entered STN: 28 Sep 2001
 TI Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease
 IN Hom, Roy; Mamo, Shumeye; Tung, Jay;
 Gailunas, Andrea; John, Varghese; Fang, Larry
 PA Elan Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C235-00
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070672	A2	20010927	WO 2001-US9501	20010323 <--
	WO 2001070672	A3	20020321		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1265849	A2	20021218	EP 2001-926424	20010323 <--
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	JP 2003528071	T2	20030924	JP 2001-568884	20010323 <--
PRAI	US 2000-191528P	P	20000323	<--	
	WO 2001-US9501	W	20010323		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001070672	ICM	C07C235-00
OS	MARPAT 135:273220	
AB	Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl,	

cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl, arylalkyl, etc.; R4 = H, alkyl, etc.], were prepared as agents for the treatment of Alzheimer's disease. Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH₂CHMe₂)CH(OH)CH(CHMe₂)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prepared hydroxyethylenes were tested for β -secretase inhibiting activity.

ST peptide hydroxyethylene prepn Alzheimer disease treatment
 IT Anti-Alzheimer's agents
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
 IT 362480-10-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
 IT 362479-88-7P 362479-89-8P 362479-90-1P 362479-91-2P 362479-92-3P
 362479-93-4P 362479-94-5P 362479-95-6P
 362479-96-7P 362479-97-8P 362479-98-9P
 362479-99-0P 362480-00-0P 362480-11-3P
 362480-12-4P 362480-13-5P 362480-14-6P
 362480-15-7P 362480-16-8P 362480-17-9P
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 362480-33-9P 362480-34-0P 362480-35-1P
 362480-36-2P 362480-37-3P 362480-38-4P
 362480-39-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
 IT 158736-49-3, β -Secretase
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
 IT 60-32-2 79-03-8, Propanoyl chloride 96-81-1 141-75-3, Butanoyl chloride 638-29-9, Pentanoyl chloride 645-45-4, Benzenepropanoyl chloride 1002-57-9 1197-18-8 2488-15-5 6341-54-4 13734-34-4
 15761-38-3 18469-52-8 74733-38-3 126926-35-0 205445-52-9
 337531-15-4 362480-40-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
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 362480-06-6P 362480-07-7P 362480-08-8P 362480-09-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
 IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7
 RL: PRP (Properties)
 (unclaimed sequence; preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)
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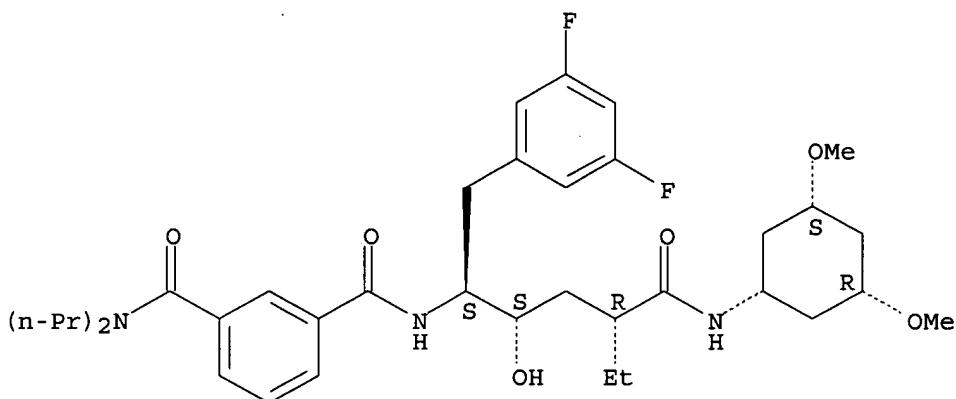
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 362480-37-3P 362480-38-4P 362480-39-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 HCAPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R) -1- [(3,5-difluorophenyl)methyl] -4- [[[(1 α ,3 α ,5 α) -3,5-dimethoxycyclohexyl] amino] carbonyl] -2- hydroxyhexyl] -N,N-dipropyl- (9CI) (CA INDEX NAME)

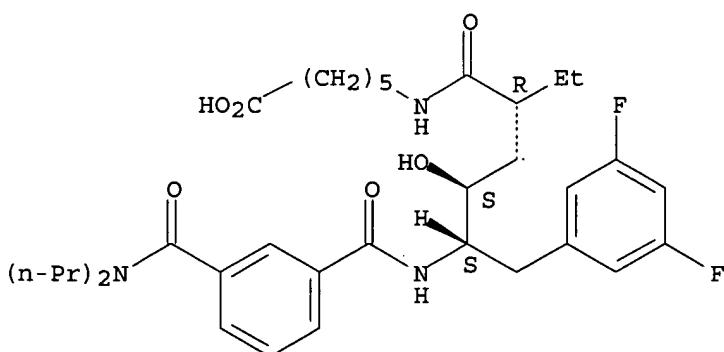
Absolute stereochemistry.



RN 362479-95-6 HCAPLUS

CN Hexanoic acid, 6- [[(2R,4S,5S) -6- (3,5-difluorophenyl) -5- [[3- [(dipropylamino) carbonyl] benzoyl] amino] -2-ethyl-4-hydroxy-1-oxohexyl] amino] - (9CI) (CA INDEX NAME)

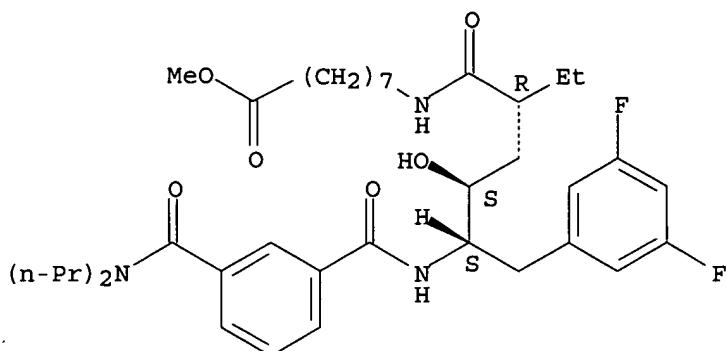
Absolute stereochemistry.



RN 362479-96-7 HCAPLUS

CN Octanoic acid, 8- [[(2R,4S,5S) -6- (3,5-difluorophenyl) -5- [[3- [(dipropylamino) carbonyl] benzoyl] amino] -2-ethyl-4-hydroxy-1-oxohexyl] amino] -, methyl ester (9CI) (CA INDEX NAME)

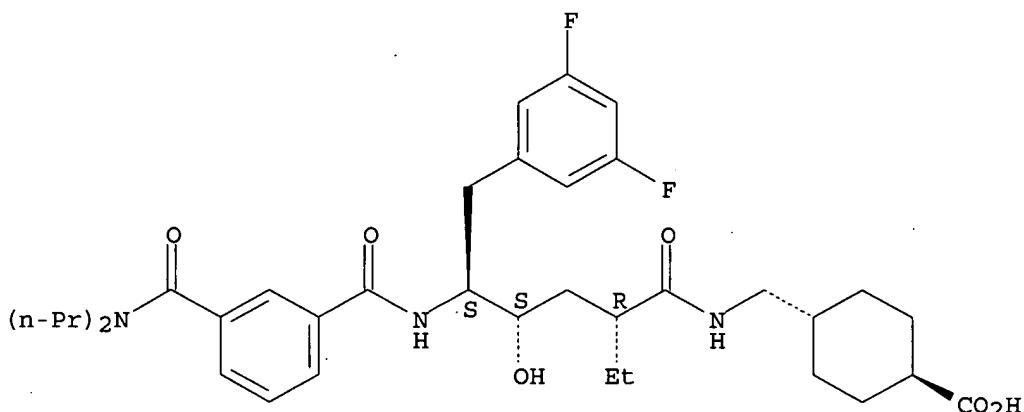
Absolute stereochemistry.



RN 362479-97-8 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexylamino]methyl]-, trans- (9CI) (CA INDEX NAME)

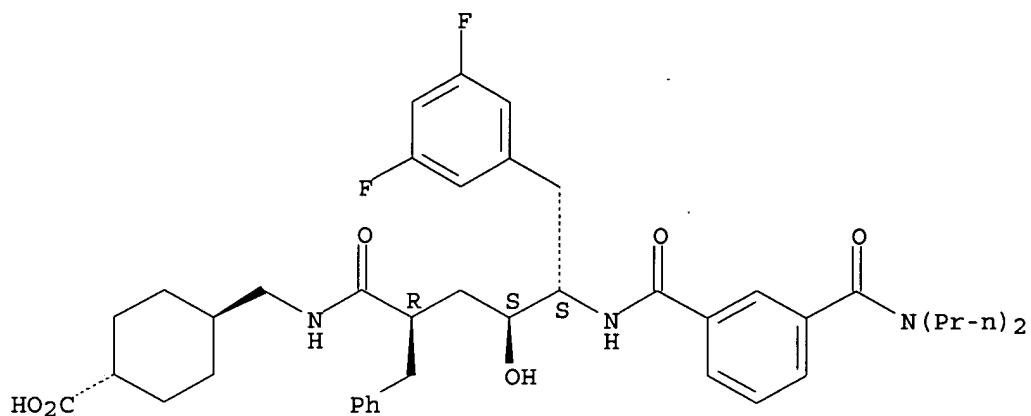
Absolute stereochemistry.



RN 362479-98-9 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-(phenylmethyl)hexylamino]methyl]-, trans- (9CI) (CA INDEX NAME)

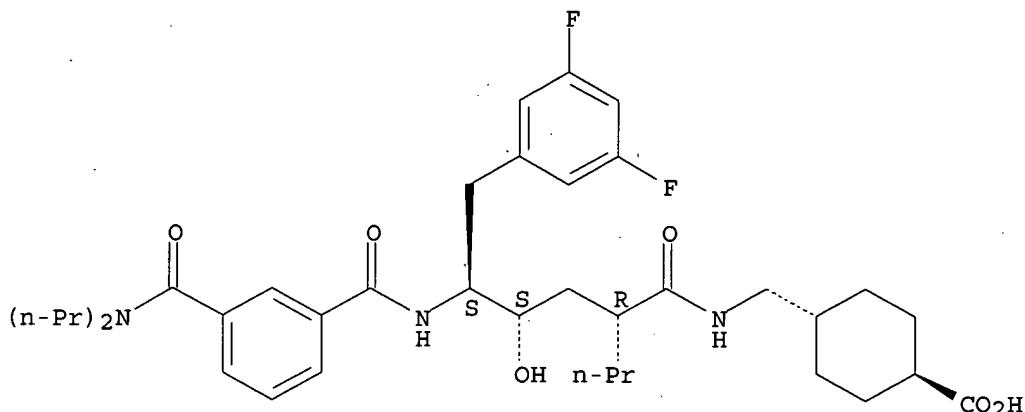
Absolute stereochemistry.



RN 362479-99-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-1-oxo-2-propylhexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

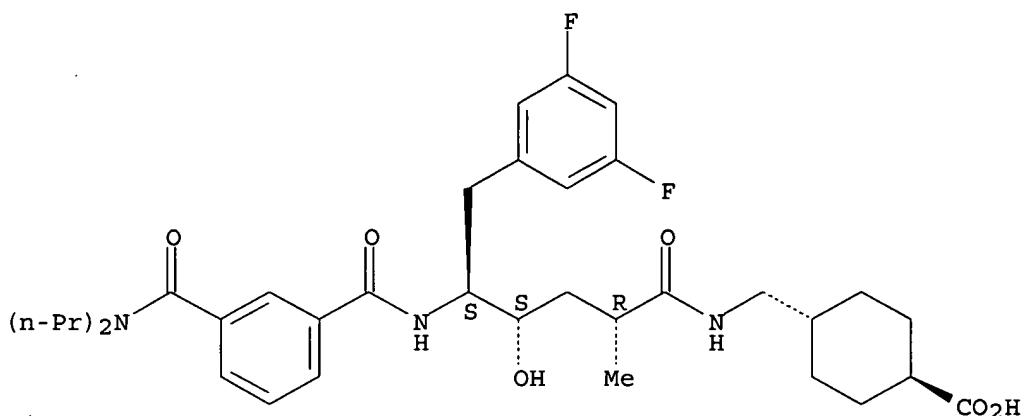
Absolute stereochemistry.



RN 362480-00-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl]amino]-4-hydroxy-2-methyl-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

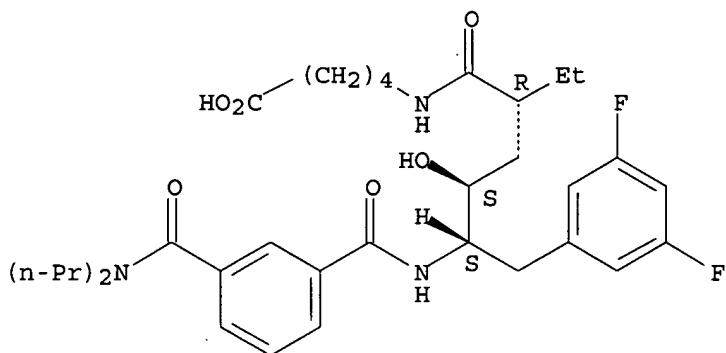
Absolute stereochemistry.



RN 362480-11-3 HCPLUS

CN Pentanoic acid, 5-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

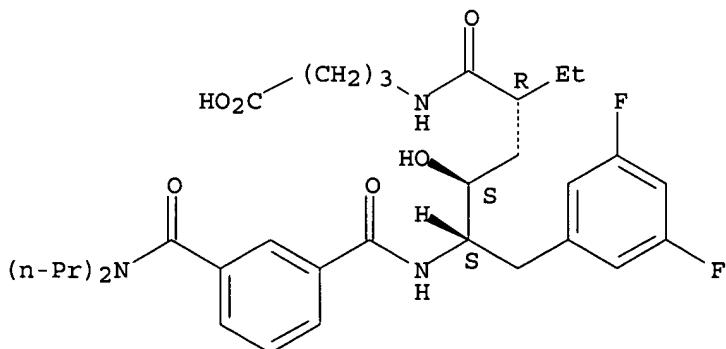
Absolute stereochemistry.



RN 362480-12-4 HCPLUS

CN Butanoic acid, 4-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]- (9CI) (CA INDEX NAME)

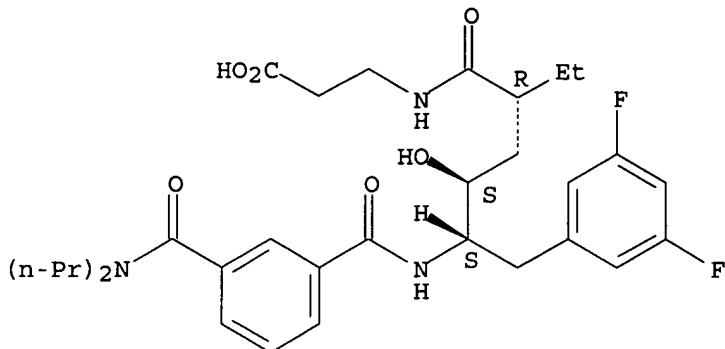
Absolute stereochemistry.



RN 362480-13-5 HCPLUS

CN β -Alanine, N-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl] - (9CI) (CA INDEX NAME)

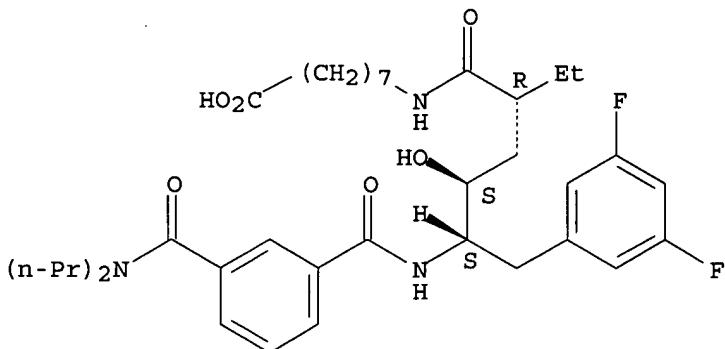
Absolute stereochemistry.



RN 362480-14-6 HCPLUS

CN Octanoic acid, 8-[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino] - (9CI) (CA INDEX NAME)

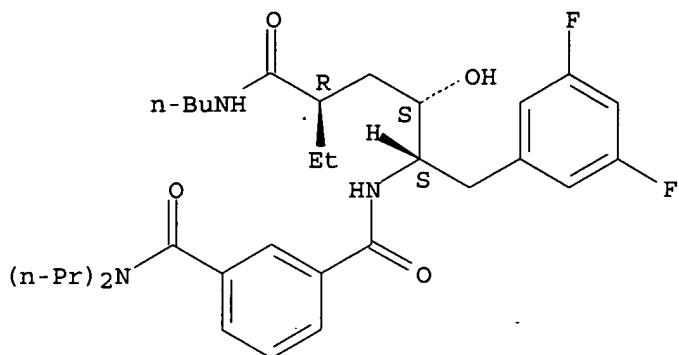
Absolute stereochemistry.



RN 362480-15-7 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl - (9CI) (CA INDEX NAME)

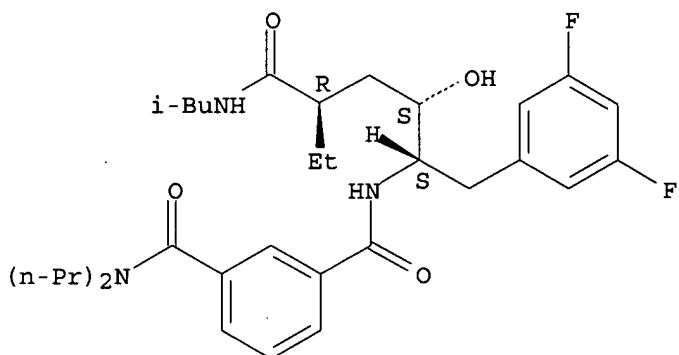
Absolute stereochemistry.



RN 362480-16-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(2-methylpropyl)amino]carbonylhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

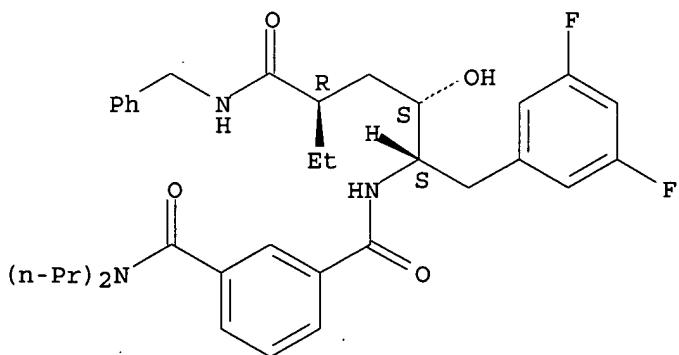
Absolute stereochemistry.



RN 362480-17-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(phenylmethyl)amino]carbonylhexyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

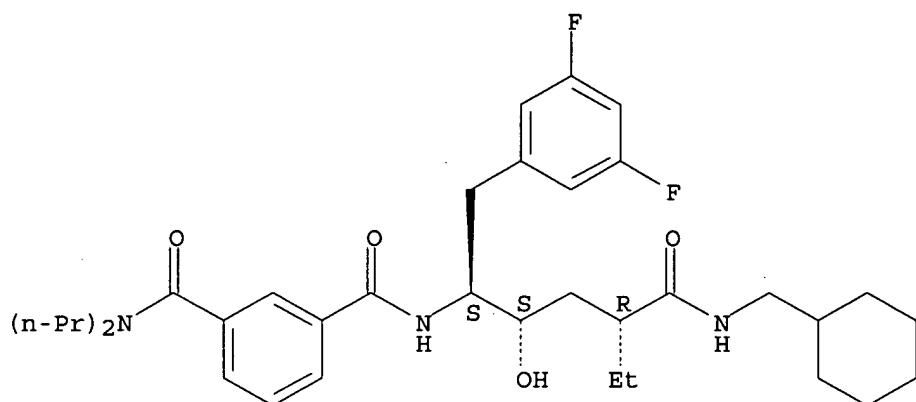


RN 362480-18-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(cyclohexylmethyl)amino]carbonyl-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl-N,N-dipropyl- (9CI)

(CA INDEX NAME)

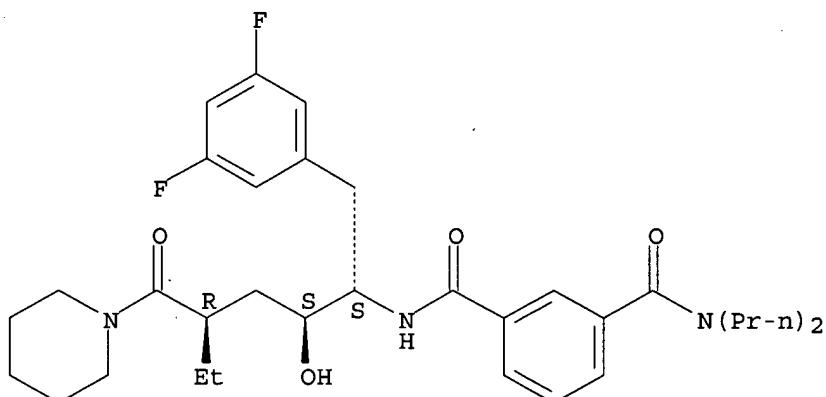
Absolute stereochemistry.



RN 362480-19-1 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-(1-piperidinylcarbonyl)hexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

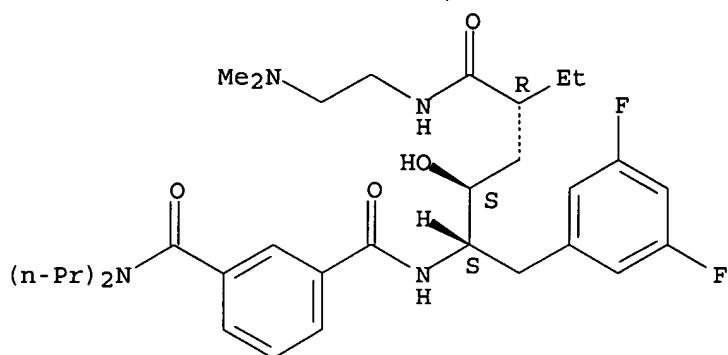
Absolute stereochemistry.



RN 362480-20-4 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

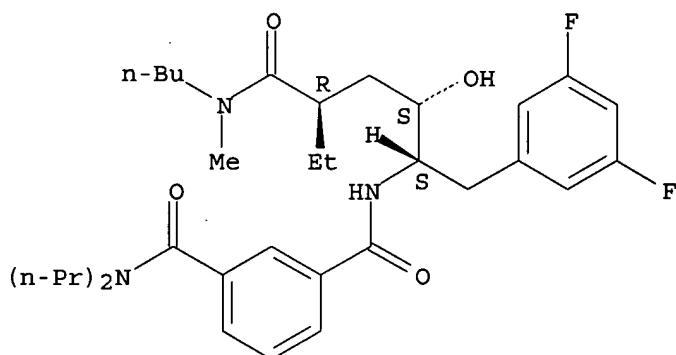
Absolute stereochemistry.



RN 362480-21-5 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-4-[(butylmethylamino)carbonyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

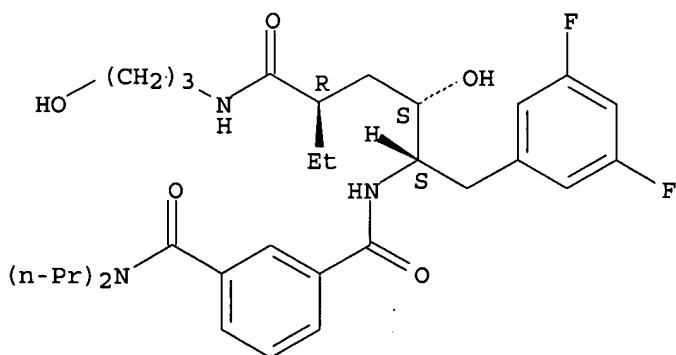
Absolute stereochemistry.



RN 362480-22-6 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-[(3-hydroxypropyl)amino]carbonylhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



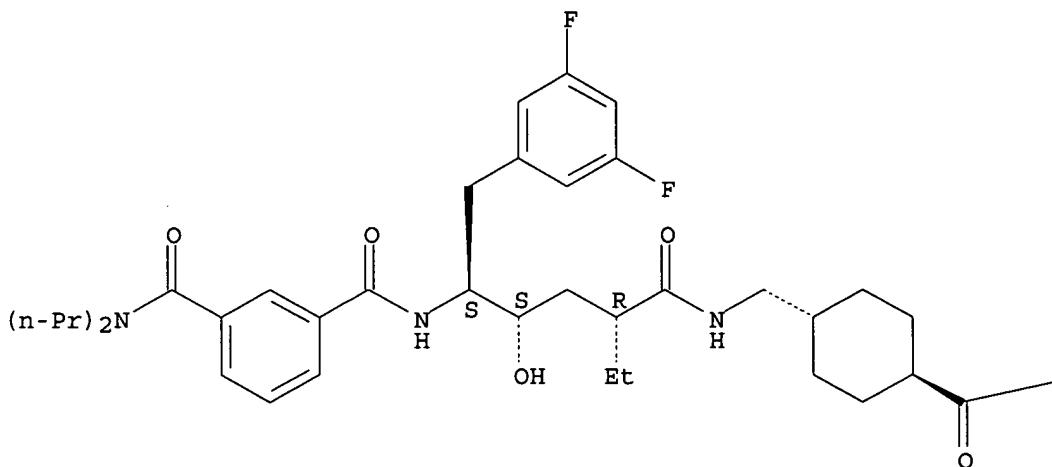
RN 362480-23-7 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-

oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



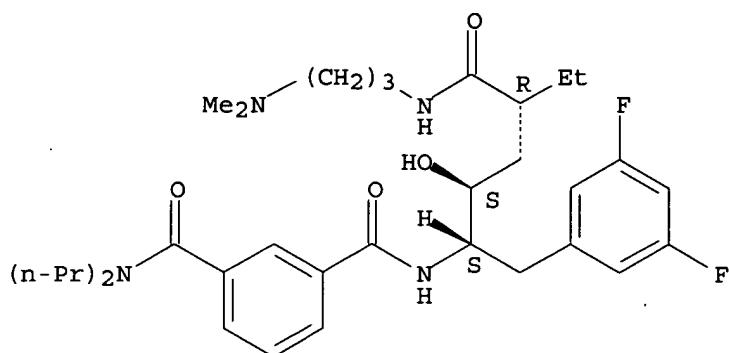
PAGE 1-B

—OMe

RN 362480-24-8 HCAPLUS

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[3-(dimethylamino)propyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

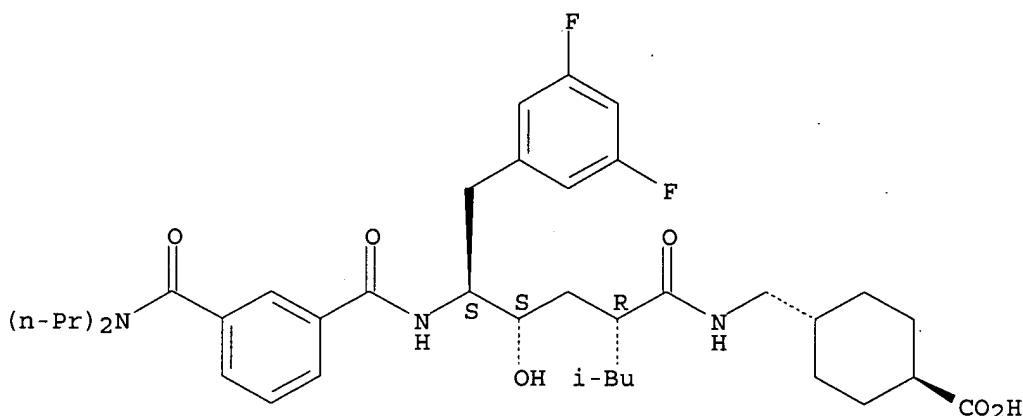
Absolute stereochemistry.



RN 362480-25-9 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-2-(2-methylpropyl)-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

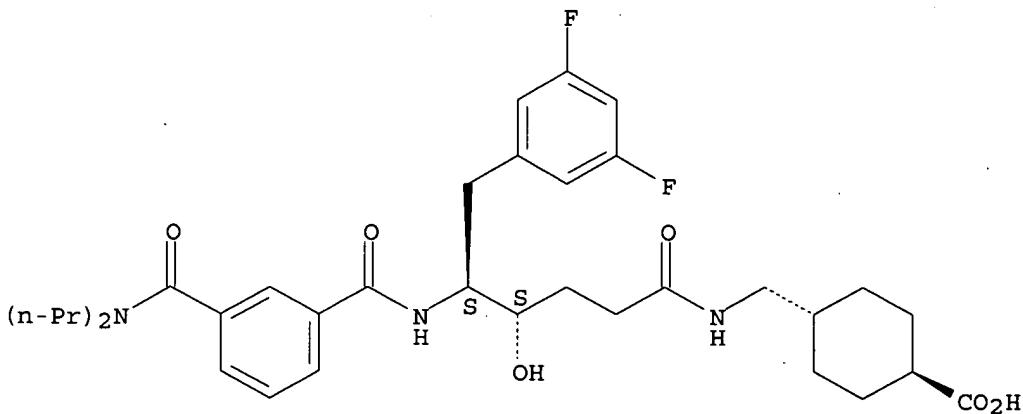
Absolute stereochemistry.



RN 362480-26-0 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]benzoyl)amino]-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

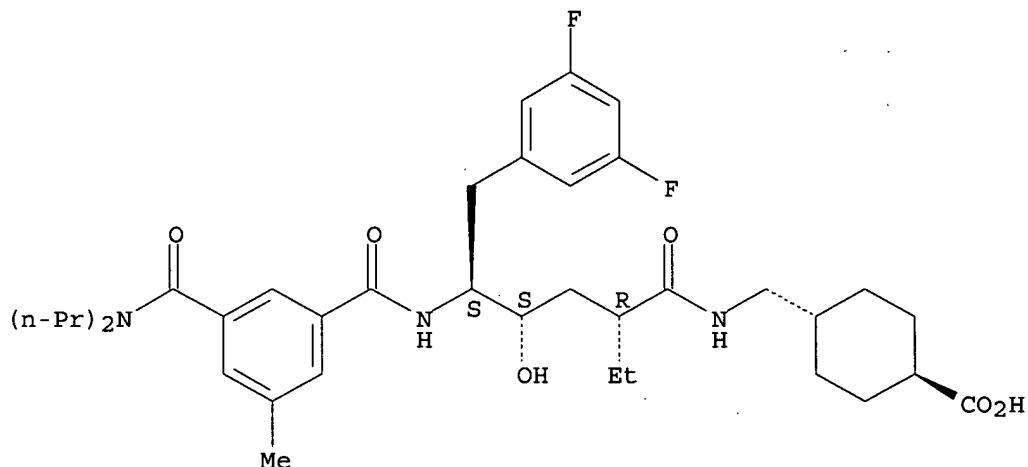
Absolute stereochemistry.



RN 362480-27-1 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

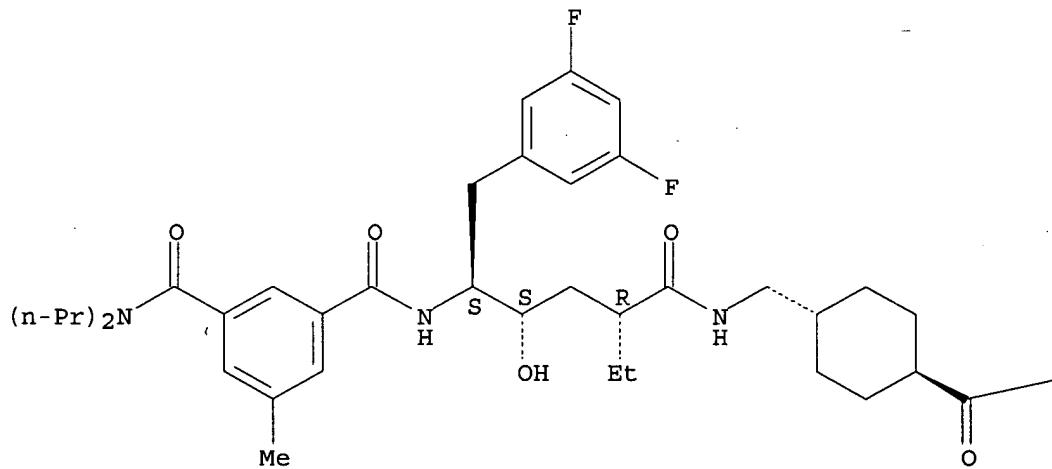


RN 362480-28-2 HCPLUS

CN Cyclohexanecarboxylic acid, 4-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



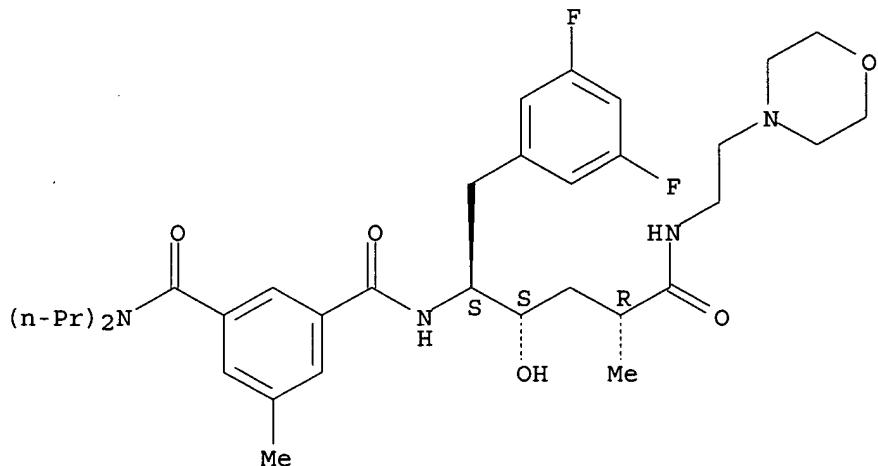
PAGE 1-B

—OMe

RN 362480-29-3 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

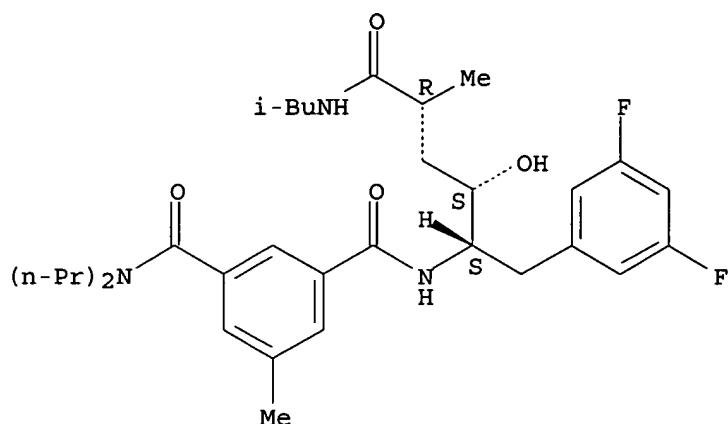
Absolute stereochemistry.



RN 362480-30-6 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-methylpropyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

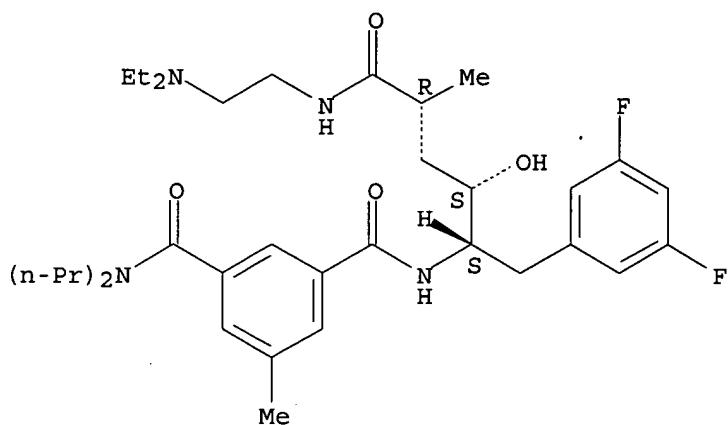
Absolute stereochemistry.



RN 362480-31-7 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-5-[(2-(diethylamino)ethyl)amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

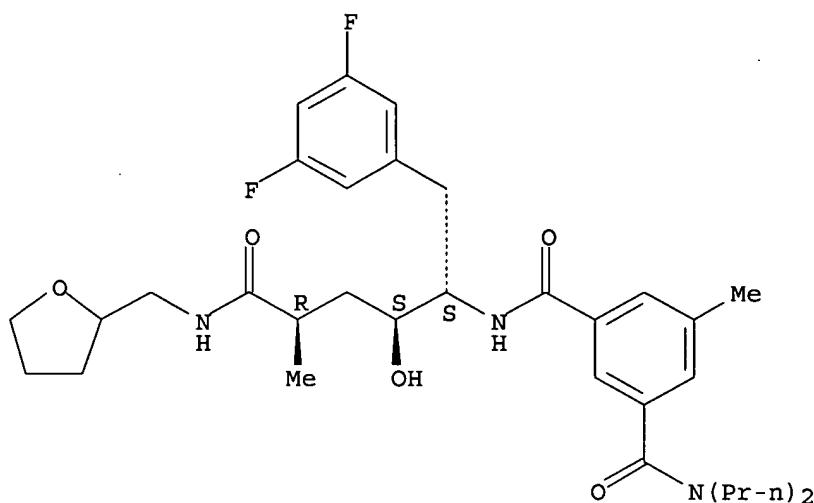
Absolute stereochemistry.



RN 362480-32-8 HCPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

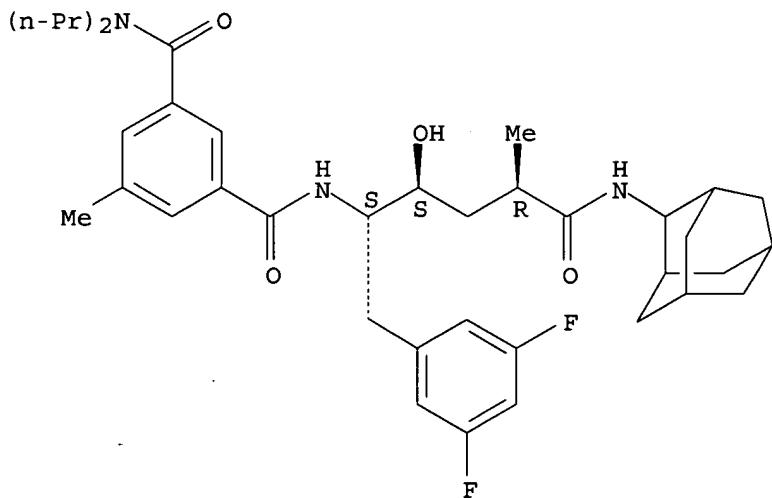
Absolute stereochemistry.



RN 362480-33-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-(tricyclo[3.3.1.13,7]dec-2-ylamino)pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

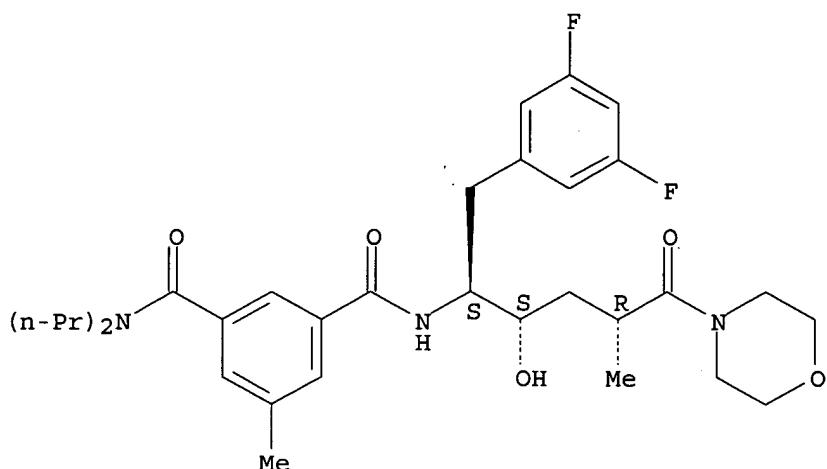
Absolute stereochemistry.



RN 362480-34-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-(4-morpholinyl)-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

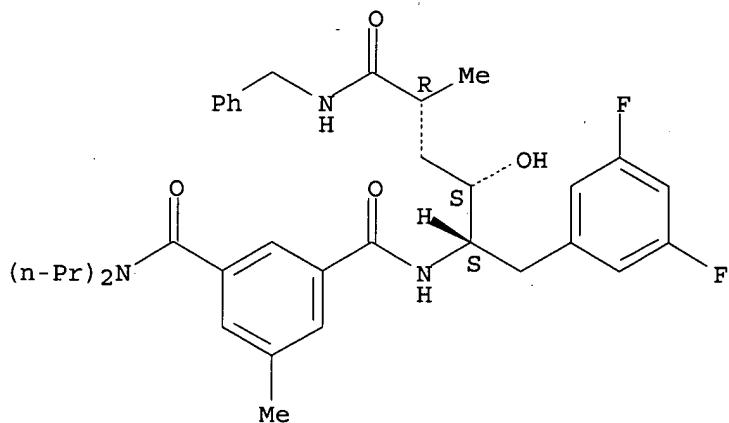
Absolute stereochemistry.



RN 362480-35-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(phenylmethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

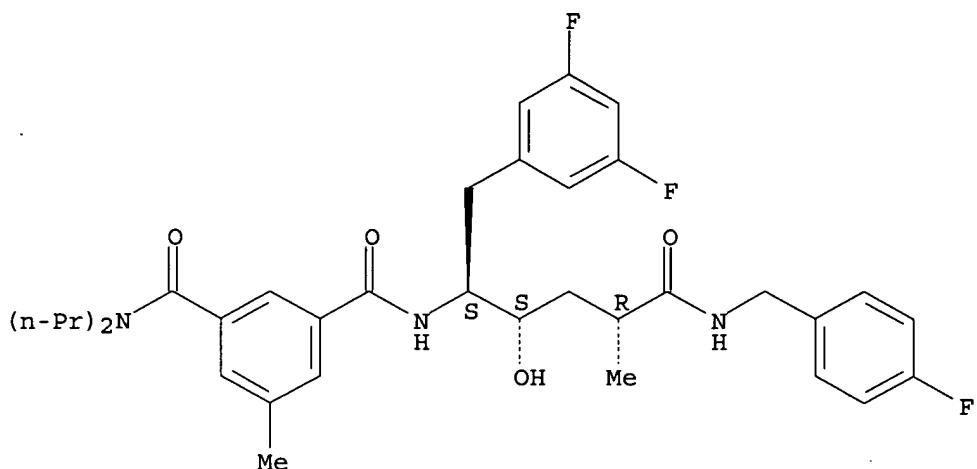
Absolute stereochemistry.



RN 362480-36-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(4-fluorophenyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

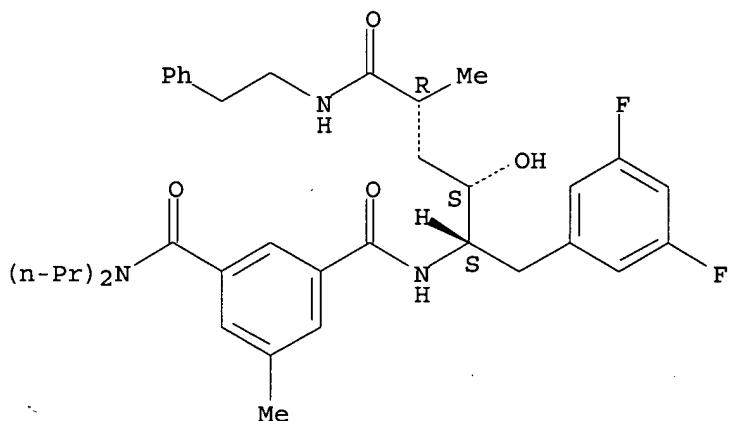
Absolute stereochemistry.



RN 362480-37-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-phenylethyl)amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

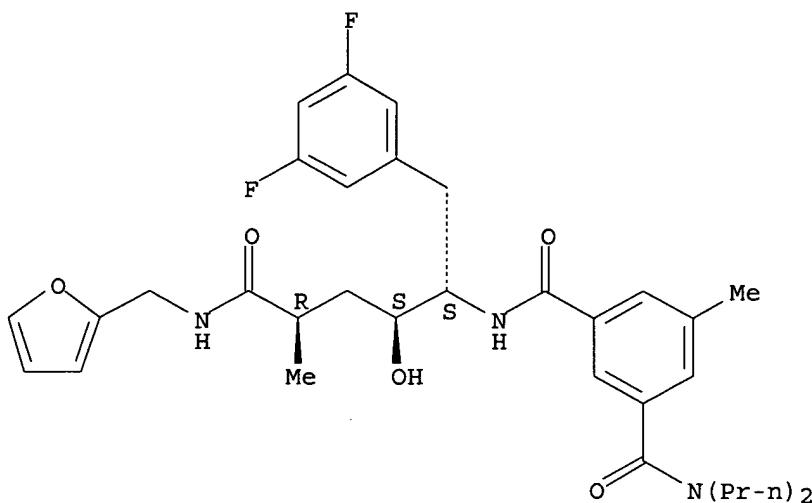
Absolute stereochemistry.



RN 362480-38-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

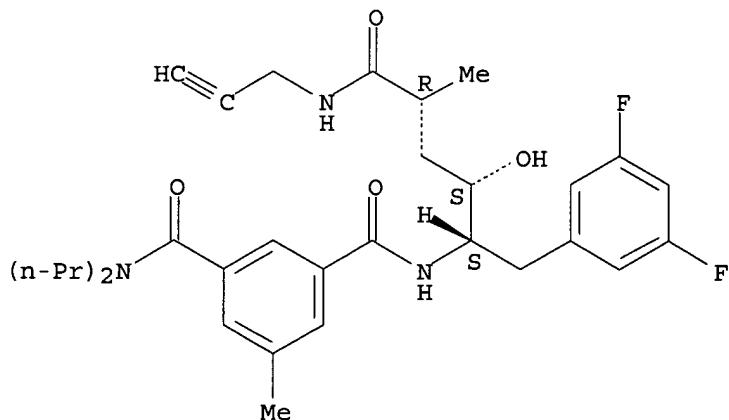
Absolute stereochemistry.



RN 362480-39-5 HCAPLUS

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R) - 1 - [(3,5-difluorophenyl)methyl] - 2 - hydroxy-4-methyl-5-oxo-5 - (2-propynylamino)pentyl] - 5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil uspatful

FILE 'USPATFULL' ENTERED AT 08:48:42 ON 16 FEB 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Feb 2005 (20050215/PD)

FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

HIGHEST GRANTED PATENT NUMBER: US6857132

HIGHEST APPLICATION PUBLICATION NUMBER: US2005034203

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2004

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2004

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>>> applications. USPAT2 contains full text of the latest US <<<

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 128 bib abs hitrn fhitstr tot

L28 ANSWER 1 OF 4 USPATFULL on STN
 AN 2004:274344 USPATFULL
 TI Compounds to treat Alzheimer's disease
 IN Hom, Roy, San Francisco, CA, UNITED STATES
 Mamo, Shumeye S., Oakland, CA, UNITED STATES
 Tung, Jay, Belmont, CA, UNITED STATES
 Gailunas, Andrea, San Francisco, CA, UNITED STATES
 John, Varghese, San Francisco, CA, UNITED STATES
 Fang, Lawrence Y., Foster City, CA, UNITED STATES
 PA Elan Pharmaceuticals, Inc. (U.S. corporation)
 PI US 2004214846 A1 20041028
 AI US 2004-847819 A1 20040518 (10)
 RLI Continuation of Ser. No. US 2001-815960, filed on 23 Mar 2001, GRANTED,
 Pat. No. US 6737420
 PRAI US 2000-191528P 20000323 (60) *invention*
 DT Utility
 FS APPLICATION
 LREP McDONNELL BOEHNEN HULBERT & BERGHOFF LLP, 300 S. WACKER DRIVE, 32ND
 FLOOR, CHICAGO, IL, 60606
 CLMN Number of Claims: 141
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 7288
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 362479-94-5P 362479-95-6P 362479-96-7P
 362479-97-8P 362479-98-9P 362479-99-0P
 362480-00-0P 362480-11-3P 362480-12-4P
 362480-13-5P 362480-14-6P 362480-15-7P
 362480-16-8P 362480-17-9P 362480-18-0P
 362480-19-1P 362480-20-4P 362480-21-5P
 362480-22-6P 362480-23-7P 362480-24-8P
 362480-25-9P 362480-26-0P 362480-27-1P
 362480-28-2P 362480-29-3P 362480-30-6P
 362480-31-7P 362480-32-8P 362480-33-9P
 362480-34-0P 362480-35-1P 362480-36-2P

362480-37-3P 362480-38-4P 362480-39-5P

(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

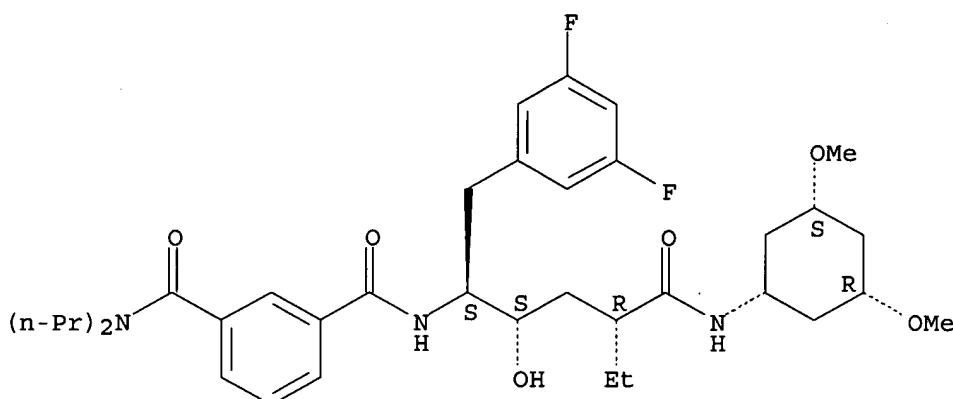
IT 362479-94-5P

(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-[[[(1a,3a,5a)-3,5-dimethoxycyclohexyl]amino]carbonyl]-2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 2 OF 4 USPATFULL on STN

AN 2003:18124 USPATFULL

TI Compounds to treat Alzheimer's disease

IN Hom, Roy, San Francisco, CA, UNITED STATES

Mamo, Shumeye, Oakland, CA, UNITED STATES

Tung, Jay, Belmont, CA, UNITED STATES

Gailunas, Andrea, Burlingame, CA, UNITED STATES

John, Varghese, San Francisco, CA, UNITED STATES

Fang, Lawrence, Foster City, CA, UNITED STATES

PI US 2003013881 A1 20030116

AI US 2001-960634 A1 20010921 (9)

RLI Continuation-in-part of Ser. No. US 2001-815960, filed on 23 Mar 2001, PENDING Continuation-in-part of Ser. No. US 2001-816876, filed on 23 Mar 2001, PENDING

PRAI US 2000-191528P 20000323 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903

CLMN Number of Claims: 187

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6363

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene compounds of formulas (XII) (XIII), and (XIV) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 362479-96-7P

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT 362480-11-3P 362480-12-4P 362480-13-5P

362480-14-6P 362480-15-7P 362480-16-8P
 362480-17-9P 362480-18-0P 362480-19-1P
 362480-20-4P 362480-21-5P 362480-22-6P
 362480-23-7P 362480-24-8P 362480-25-9P
 362480-26-0P 362480-27-1P 362480-28-2P
 362480-29-3P 362480-30-6P 362480-31-7P
 362480-32-8P 362480-33-9P 362480-34-0P
 362480-35-1P 362480-36-2P 362480-37-3P
 362480-38-4P 362480-39-5P

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

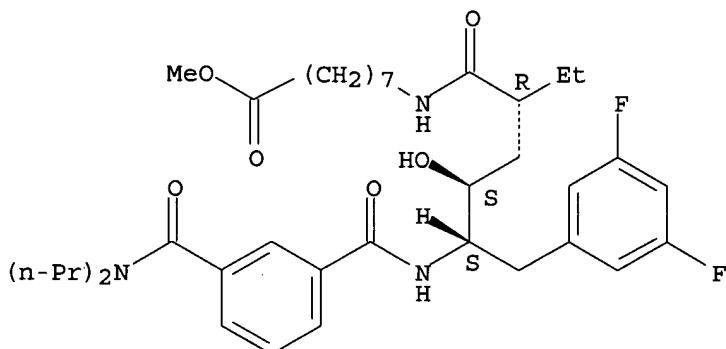
IT 362479-94-5P 362479-95-6P 362479-97-8P
 362479-98-9P 362479-99-0P 362480-00-0P
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

IT 362479-96-7P
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362479-96-7 USPATFULL

CN Octanoic acid, 8-[[[(2R,4S,5S)-6-(3,5-difluorophenyl)-5-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-ethyl-4-hydroxy-1-oxohexyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 3 OF 4 USPATFULL on STN

AN 2002:37903 USPATFULL

TI Compounds to treat alzheimer's disease

IN Hom, Roy, San Francisco, CA, UNITED STATES

Mamo, Shumeye, Oakland, CA, UNITED STATES

Tung, Jay, Belmont, CA, UNITED STATES

Gailunas, Andrea, San Francisco, CA, UNITED STATES

John, Varghese, San Francisco, CA, UNITED STATES

Fang, Lawrence Y., Foster City, CA, UNITED STATES

PI US 2002022623 A1 20020221

US 6737420 B2 20040518

AI US 2001-815960 A1 20010323 (9)

PRAI US 2000-191528P 20000323 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD P.C., P.O. Box 2903, Minneapolis, MN, 55402-0903

CLMN Number of Claims: 141

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 7182

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene

T. W. Smith

compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

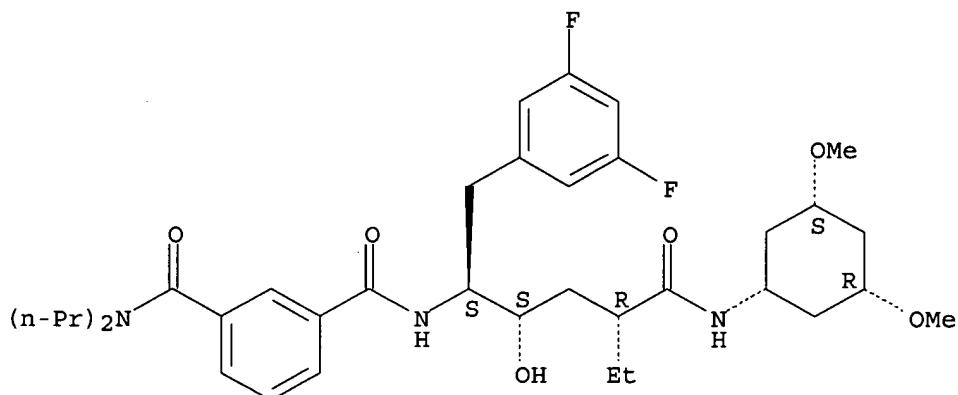
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 362480-37-3P 362480-38-4P 362480-39-5P
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical
 use in the treatment of Alzheimer's disease)

IT 362479-94-5P
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical
 use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzene dicarboxamide, N'-(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-4-
 [[[[(1 α ,3 α ,5 α)-3,5-dimethoxycyclohexyl]amino]carbonyl]-
 2-hydroxyhexyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 4 OF 4 USPATFULL on STN

AN 2002:32581 USPATFULL

TI Methods to treat alzheimer's disease

IN Hom, Roy, San Francisco, CA, UNITED STATES

Mamo, Shumeye S., Oakland, CA, UNITED STATES

Tung, Jay, Belmont, CA, UNITED STATES

Gailunas, Andrea, San Francisco, CA, UNITED STATES

John, Varghese, San Francisco, CA, UNITED STATES

Fang, Lawrence Y., Foster City, CA, UNITED STATES

PI US 2002019403 A1 20020214

AI US 2001-816876 A1 20010323 (9)

PRAI US 2000-191528P 20000323 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903

CLMN Number of Claims: 63

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8655

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed toward substituted hydroxyethylene compounds of formula (XII) ##STR1##

useful in treating Alzheimer's disease and other similar diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 362479-94-5P 362479-95-6P 362479-96-7P

362479-97-8P 362479-98-9P 362479-99-0P

362480-00-0P 362480-11-3P 362480-12-4P

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362480-37-3P 362480-38-4P 362480-39-5P

(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

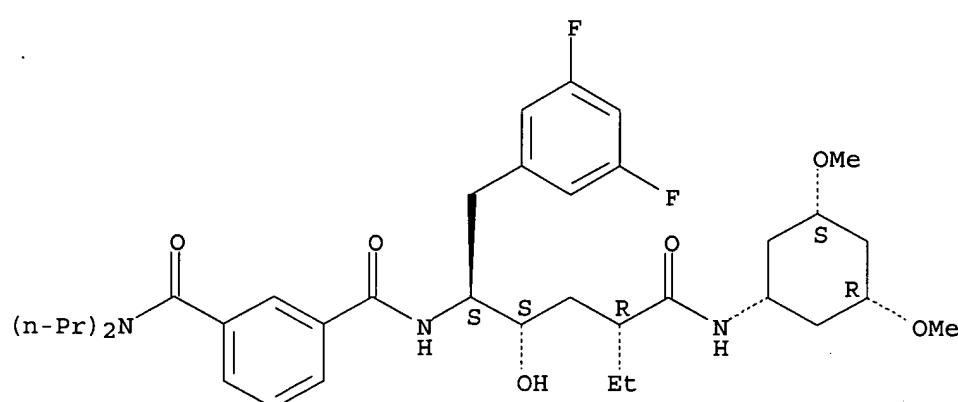
IT 362479-94-5P

(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362479-94-5 USPATFULL

CN 1,3-Benzene dicarboxamide, N' - [(1S,2S,4R) -1- [(3,5-difluorophenyl)methyl] -4- [[[(1 α ,3 α ,5 α) -3,5-dimethoxycyclohexyl] aminol carbonyl] -2-hydroxyhexyl] -N,N-dipropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> => d his 129-

(FILE 'REGISTRY' ENTERED AT 08:48:01 ON 16 FEB 2005)

FILE 'HCAPLUS' ENTERED AT 08:48:15 ON 16 FEB 2005

FILE 'USPATFULL' ENTERED AT 08:48:42 ON 16 FEB 2005

FILE 'REGISTRY' ENTERED AT 08:49:00 ON 16 FEB 2005
ACT JKIM816A/A

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 L32 679 SEA FILE=REGISTRY SUB=L31 SSS FUL L29

 L33 663 S L32 NOT L18,L24

FILE 'HCAPLUS' ENTERED AT 08:49:53 ON 16 FEB 2005

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 L35 82 S L34 AND (PD<=20000323 OR PRD<=20000323 OR AD<=20000323)
 L36 4 S L35 AND ?ALZHEIM?
 E ALZHEIMER/CT
 L37 17365 S E9-E15
 E E9+ALL
 L38 17379 S E10,E9+NT
 L39 69037 S E27+OLD,NT,PFT,RT OR E28+OLD,NT,PFT,RT OR E29+OLD,NT,PFT,RT O
 E CONGNITION/CT
 E COGNITION/CT
 L40 4953 S E3,E4
 E E3+ALL
 L41 779 S E4
 E DOWN/CT
 L42 2266 S E7
 E E7+ALL
 L43 125 S E17
 L44 1891 S E15/BI,CT
 L45 1911 S DOWN? SYNDROM?
 E HEREDITARY CEREBRAL HEMORRHAGE/CT
 E CEREBRAL HEMORRHAGE/CT
 E E3+ALL
 L46 1195 S E2
 E BRAIN DISEASE/CT
 E E4+ALL
 E E2+ALL
 L47 226 S E8,E9 (L) (HEREDITARY OR HEMORRH?)
 L48 1674 S E47
 L49 1489 S E7 (L) (HEREDITARY OR HEMORRH?)
 L50 2216 S BRAIN?/CT (L) (HEREDITARY OR HEMORRH?)
 L51 6864 S E59
 L52 6307 S E7 (L) (INJUR? OR DAMAG?)
 L53 866 S E8,E9 (L) (INJUR? OR DAMAG?)
 L54 13885 S BRAIN?/CT,CW (L) (INJUR? OR DAMAG?)
 E B-AMYLOID/CT
 E E3+ALL
 L55 5735 S E2
 E AMYLOID/CT
 L56 7031 S E3-E5
 E E3+ALL
 L57 88481 S E7,E6+NT
 L58 4 S L35 AND L37-L57
 L59 4 S L36,L58
 L60 2 S L34 AND ELAN?/PA,CS
 L61 3 S L34 AND (HOM R? OR MAMO S? OR TUNG J? OR GAILUNAS A? OR JOHN
 L62 5 S L59-L61
 SEL HIT RN

FILE 'REGISTRY' ENTERED AT 08:59:30 ON 16 FEB 2005

L63 13 S E1-E13

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 09:00:13 ON 16 FEB 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 16 Feb 2005 VOL 142 ISS 8
FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 162 all hitstr tot

L62 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991334 HCAPLUS
DN 140:41913
ED Entered STN: 21 Dec 2003
TI Methods of treating Alzheimer's disease using and method of preparing 8-amino- γ -hydroxy- ω -arylalkanoic acid amides
IN John, Varghese; Maillard, Michel
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-165
ICS A61P027-28
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 34

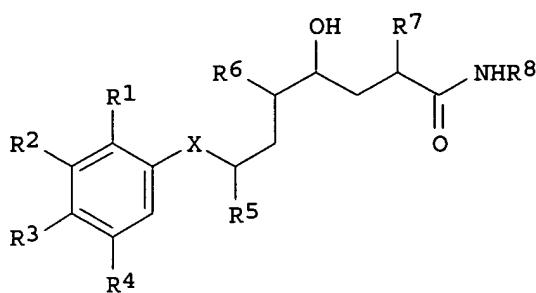
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103653	A1	20031218	WO 2003-US18517	20030611
	WO 2003103653	C1	20040429		
		W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
		RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		

PRAI US 2002-387880P P 20020611

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003103653	ICM	A61K031-165
	ICS	A61P027-28
OS	MARPAT	140:41913
GI		



AB Disclosed are methods for treating Alzheimer's disease (no data), and other diseases (no data), and/or inhibiting beta-secretase enzyme (no data), and/or inhibiting deposition of A beta peptide in a mammal (no data), using δ -amino- γ -hydroxy- ω -arylalkanoic acid amides (shown as I; variables defined below; e.g. 2(R,S)-methyl-4(S)-hydroxy-5(S)-amino-7(S)-isopropyl-8-(p-tert-butylphenyl)octanoic acid N-butylamide hydrochloride). For I: R1 = H, OH, alkoxy, cycloalkoxy, alkoxyalkoxy, free or amidated or esterified carboxy-alkoxy; R2 = H, alkyl, cycloalkyl, alkoxyalkyl, cycloalkoxyalkyl, OH, hydroxyalkoxy, heteroarylalkyl, etc.; R3 = halogenated alkyl, alkoxyalkyl, hydroxyalkyl, optionally S-oxidized alkylthioalkyl, etc.; R4 = H, alkyl, OH, alkoxy, cycloalkoxy; X = CH2; R5 = alkyl, cycloalkyl; R6 = unsubstituted or alkylated or alkanoylated amino; R7 = alkyl, alkenyl, cycloalkyl, aralkyl; R8 = alkyl, cycloalkyl, free or esterified or etherified hydroxyalkyl, free or esterified or amidated carboxyalkyl, etc. Although the methods of preparation are claimed and >180 example preps. are included, these examples comprise an English translation of a German patent (EP 678503; 1995; CA file accession number 1995:995373). Thus, 2(R,S)-methyl-4(S)-hydroxy-5(S)-amino-7(S)-isopropyl-8-(p-tert-butylphenyl)octanoic acid N-butylamide hydrochloride was prepared in several steps starting with 3-isovaleryl-4(R)-benzyloxazolidin-2-one and p-tert-butylbenzyl bromide.

ST aminohydroxyaralkanamide prepn anti Alzheimer's; beta secretase inhibitor aminohydroxyaralkanamide; A beta peptide deposition inhibitor aminohydroxyaralkanamide prepn; amide amino hydroxy aralkyl prepn anti Alzheimer's dementia

IT Brain, disease
(amyloid angiopathy; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cleavage inhibitors; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Mental disorder
(cognitive; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Parkinson's disease
(dementia associated with; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Mental disorder
(dementia; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Cognition

(disorder; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Amides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidates; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Amyloidosis

(hereditary, cerebral hemorrhage type, Dutch type; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Alzheimer's disease

Anti-Alzheimer's agents

Cognition enhancers

Down's syndrome

Human

(methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Paralysis

(pseudobulbar, dementia associated with; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study) (β -, production inhibitors; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT	173398-88-4P	173398-89-5P	173398-90-8P	173398-91-9P	173398-92-0P
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	173521-13-6P	173521-14-7P	173521-15-8P	173521-16-9P	173521-17-0P
	173521-18-1P	173521-19-2P	173521-20-5P	173521-21-6P	173521-22-7P
	173521-23-8P	173521-24-9P	173521-25-0P	173521-26-1P	173521-27-2P
	173521-28-3P	173521-29-4P	173521-30-7P	173521-31-8P	173521-32-9P
	173521-33-0P	173521-34-1P	173521-35-2P	173521-36-3P	173521-37-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods of treating Alzheimer's disease using and method of preparing
 δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT 74-89-5, Methanamine, reactions 96-32-2, Methyl bromoacetate 106-93-4,
 1,2-Dibromoethane 107-30-2, Chloromethyl methyl ether 108-00-9,
 2-Dimethylaminoethylamine 109-02-4 109-73-9, Butylamine, reactions
 109-85-3, 2-Methoxyethylamine 120-80-9, Catechol, reactions 123-00-2,
 4-(3-Aminopropyl)morpholine 124-40-3, reactions 141-43-5, reactions
 144-48-9, Iodoacetamide 144-90-1, 3-Amino-2-methylpropionic acid
 156-87-6, 3-Aminopropanol 353-83-3, 2,2,2-Trifluoroethyl iodide
 358-23-6, Trifluoromethanesulfonic anhydride 556-56-9, Allyl iodide
 574-98-1, N-(2-Bromoethyl)phthalimide 598-41-4 612-23-7, 2-Nitrobenzyl
 chloride 623-48-3, Ethyl iodoacetate 624-75-9, Iodoacetonitrile
 627-32-7, 3-Iodopropanol 628-17-1, 1-Iodopentane 831-61-8, Ethyl
 gallate 1001-53-2, N-Acetylenediamine 1117-71-1, Methyl
 4-bromo-2-butenoate 1700-31-8, 3-Benzylbenzyl bromide 2038-03-1,
 4-(2-Aminoethyl)morpholine 2567-29-5, p-Phenylbenzyl bromide
 2706-56-1, 2-(2-Aminoethyl)pyridine 3014-80-0 3132-64-7,
 Epibromohydrin 4045-24-3, 4-Methoxypiperidine 4049-39-2,
 4-Benzyl-3-hydroxybenzaldehyde 4244-84-2, β -Alanine ethyl ester
 hydrochloride 4296-15-5, 2-Methoxyethyl iodide 4383-06-6 4403-36-5
 4578-63-6 5332-73-0, 3-Methoxypropylamine 5437-45-6, Benzyl
 bromoacetate 5469-26-1, 1-Bromopinacolone 6065-32-3 6485-45-6,
 trans-2,6-Dimethylmorpholine 6485-55-8, cis-2,6-Dimethylmorpholine
 6727-73-7, 4-Iodobutyronitrile 6727-75-9 6959-47-3, 2-Picolyl chloride
 hydrochloride 6959-48-4, 3-Picolyl chloride hydrochloride 6974-12-5,
 1,4-Dibromo-2-butene 7768-28-7, 2-(2-Hydroxyphenyl)ethanol 10445-91-7,
 4-Picolyl chloride 10466-56-5 13031-62-4 13325-10-5,
 4-Amino-1-butanol 14273-88-2 18880-00-7, 4-tert-Butylbenzyl bromide
 21752-29-4 22059-22-9, Acetamide oxime 25016-01-7,
 5-Bromo- ω -anisaldehyde 27578-60-5, 1-Piperidineethanamine 28398-27-8
 30044-65-6 30715-50-5 31602-64-9, 1H-Tetrazole-5-ethanamine
 31640-94-5, 2-Picolyl chloride N-oxide 32754-99-7, 4-Aminobutyronitrile
 33208-99-0 34270-90-1 35666-81-0 36865-41-5, 3-Bromopropyl methyl
 ether 37942-01-1, 5-Bromo-2-methoxyphenol 39739-03-2 40546-33-6,
 1H-Imidazole-4-propanamine 51739-61-8 53056-86-3 53515-36-9,
 4-(2-Aminoethyl)thiomorpholine 55667-12-4, 3-Benzyl-4-methoxybenzyl
 bromide 56217-93-7, 1H-Tetrazole-5-propanamine 57471-69-9
 59193-77-0, Ethyl 3-amino-2,2-dimethylpropionate 61275-22-7 61542-10-7
 64017-81-8, 3-Aminopropionamide hydrochloride 65414-74-6, L-Serinamide
 hydrochloride 67706-63-2 72287-77-5 74410-26-7 75178-96-0
 85532-40-7 85532-42-9 89937-52-0 91893-70-8 93530-08-6
 94987-87-8 97965-80-5 99065-34-6 101925-47-7 104539-21-1
 123691-74-7 125218-79-3 129999-60-6 132393-07-8 139243-55-3
 145414-31-9 145589-03-3 155851-20-0 165528-81-4 168570-20-5
 172901-00-7 173336-34-0 173336-43-1 173336-57-7 173336-77-1
 173336-78-2 173336-79-3 173336-80-6 173336-82-8 173336-83-9
 173336-85-1 173336-86-2 173336-87-3 173336-88-4 173336-89-5
 173336-90-8 173336-91-9 173336-92-0 173336-93-1 173336-94-2
 173336-95-3 173336-96-4 173336-98-6 173336-99-7 173337-00-3
 173337-01-4 173337-02-5 173337-03-6 173337-04-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(methods of treating Alzheimer's disease using and method of preparing
 δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT 7417-18-7P 67843-72-5P 70436-03-2P 139517-71-8P 142035-70-9P
 172900-73-1P 172900-74-2P 172900-79-7P 172900-80-0P 172900-81-1P
 172900-83-3P 172900-84-4P 172900-86-6P 172900-87-7P 172900-88-8P
 172900-89-9P 172900-90-2P 172900-91-3P 172900-94-6P 172900-95-7P
 172900-97-9P 172900-98-0P 173007-32-4P 173007-33-5P 173153-98-5P
 173154-00-2P 173154-01-3P 173154-02-4P 173154-05-7P 173154-09-1P
 173154-10-4P 173154-12-6P 173154-13-7P 173154-14-8P 173154-16-0P
 173154-17-1P 173241-90-2P 173334-90-2P 173335-93-8P 173335-94-9P
 173335-95-0P 173335-96-1P 173335-97-2P 173335-98-3P 173335-99-4P
 173336-00-0P 173336-01-1P 173336-02-2P 173336-03-3P 173336-04-4P

173336-05-5P	173336-06-6P	173336-07-7P	173336-08-8P	173336-09-9P
173336-10-2P	173336-11-3P	173336-12-4P	173336-13-5P	173336-14-6P
173336-15-7P	173336-16-8P	173336-17-9P	173336-18-0P	173336-19-1P
173336-20-4P	173336-21-5P	173336-22-6P	173336-23-7P	173336-24-8P
173336-25-9P	173336-26-0P	173336-27-1P	173336-28-2P	173336-29-3P
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173336-41-9P	173336-42-0P	173336-44-2P	173336-45-3P	173336-46-4P
173336-47-5P	173336-48-6P	173336-49-7P	173336-50-0P	173336-51-1P
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173336-58-8P	173336-59-9P	173336-60-2P	173336-61-3P	173336-62-4P
173336-63-5P	173336-64-6P	173336-65-7P	173336-66-8P	173336-67-9P
173336-68-0P	173336-69-1P	173336-70-4P	173336-71-5P	173336-72-6P
173336-73-7P	173336-74-8P	173336-75-9P	173336-76-0P	173336-81-7P
173336-84-0P	173337-05-8P	173337-06-9P	173337-07-0P	173337-08-1P
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173337-49-0P	173337-50-3P	173337-51-4P	173337-52-5P	173337-53-6P
173337-54-7P	173337-55-8P	173337-56-9P	173337-57-0P	173337-58-1P
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173337-69-4P	173337-70-7P	173337-71-8P	173337-72-9P	173337-73-0P
173337-74-1P	173337-75-2P	173337-76-3P	173337-77-4P	173337-78-5P
173337-79-6P	173337-80-9P	173337-81-0P	173337-82-1P	173337-83-2P
173337-84-3P	173337-85-4P	173337-86-5P	173337-87-6P	173337-88-7P
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173337-94-5P	173337-95-6P	173337-96-7P	173337-97-8P	173337-98-9P
173337-99-0P	173338-00-6P	173338-01-7P	173338-02-8P	173338-03-9P
173338-04-0P	173338-05-1P	173338-06-2P	173338-07-3P	173338-08-4P
173338-09-5P	173338-10-8P	173338-11-9P	173338-12-0P	173338-13-1P
173338-14-2P	173338-15-3P	173338-16-4P	173338-17-5P	173338-18-6P
173338-19-7P	173338-20-0P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT	173338-21-1P	173338-22-2P	173338-23-3P	173338-24-4P
	173338-25-5P	173338-26-6P	173338-27-7P	173338-28-8P
	173338-29-9P	173338-30-2P	173338-31-3P	173338-32-4P
	173338-34-6P	173338-35-7P	173338-36-8P	173338-37-9P
	173338-39-1P	173338-40-4P	173338-41-5P	173338-42-6P
	173400-41-4P	173400-42-5P	173400-43-6P	173400-44-7P
	173400-46-9P	173400-47-0P	173400-48-1P	173400-49-2P
	173400-51-6P	173400-52-7P	173400-53-8P	173400-54-9P
	173400-56-1P	173400-57-2P	173400-58-3P	173400-59-4P
	173400-61-8P	173433-56-2P	173433-57-3P	173652-71-6P
				176983-39-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods of treating Alzheimer's disease using and method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT	172966-66-4P	172966-67-5P	172966-68-6P	172966-69-7P
	172966-71-1P	172966-72-2P	172966-73-3P	172966-74-4P
	172966-76-6P	172966-77-7P	172966-78-8P	172966-79-9P
	172966-81-3P	172966-82-4P	172966-83-5P	172966-84-6P
	172966-86-8P	172966-87-9P	172966-88-0P	172966-89-1P
	172966-91-5P	172966-92-6P	172966-93-7P	172966-94-8P
	172966-96-0P			172966-95-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (methods of treating Alzheimer's disease using and method of preparing
 δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8
 478799-42-7 478799-43-8 635708-54-2 635777-06-9

RL: PRP (Properties)
 (unclaimed sequence; methods of treating Alzheimer's disease using and
 method of preparing δ -amino- γ -hydroxy- ω -arylalkanoic
 acid amides)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Ala, T; WO 02100820 A 2002 HCPLUS
- (2) Ciba Geigy Ag; EP 0678514 A 1995 HCPLUS
- (3) Indolese, A; WO 0109083 A 2001 HCPLUS
- (4) Leung, D; JOURNAL OF MEDICINAL CHEMISTRY 2000, V43(3), P305 HCPLUS
- (5) Mealy, N; DRUGS OF THE FUTURE 2001, V26(12), P1139 HCPLUS
- (6) Rahuel, J; CHEMISTRY & BIOLOGY 2000, V7(7), P493 HCPLUS
- (7) Roggo, S; CURRENT TOPICS IN MEDICINAL CHEMISTRY 2002, V2(4), P359 HCPLUS
- (8) Speedel Pharma Ag; WO 0208172 A 2002 HCPLUS
- (9) Speedel Pharma Ag; EP 1215201 A 2002 HCPLUS
- (10) Stutz, S; WO 0202508 A 2002 HCPLUS
- (11) Yamaguchi, Y; US 5559111 A 1996 HCPLUS

IT 173335-29-0P 173335-68-7P 173400-15-2P

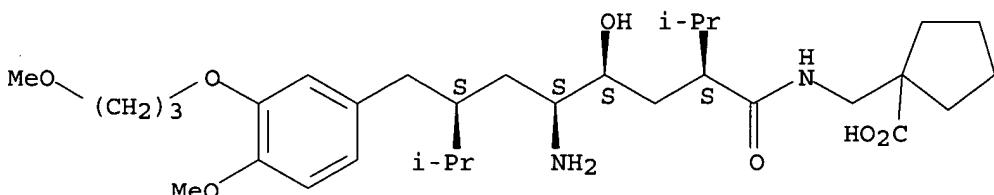
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(methods of treating Alzheimer's disease using and method of preparing
 δ -amino- γ -hydroxy- ω -arylalkanoic acid amides)

RN 173335-29-0 HCPLUS

CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-amino-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

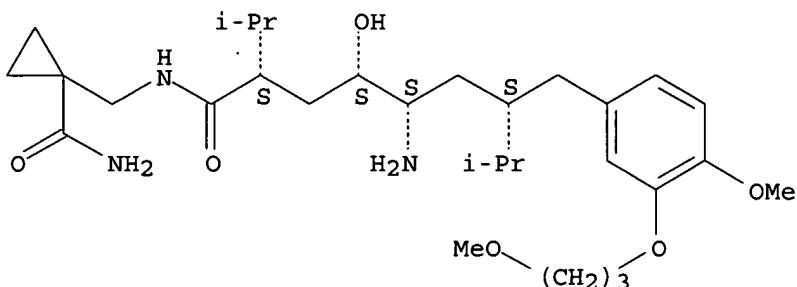


● HCl

RN 173335-68-7 HCPLUS

CN Benzeneoctanamide, δ -amino-N-[[1-(aminocarbonyl)cyclopropyl]methyl]- γ -hydroxy-4-methoxy-3-(3-methoxypropoxy)- α , ζ -bis(1-methylethyl)-, (α S, γ S, δ S, ζ S)- (9CI) (CA INDEX NAME)

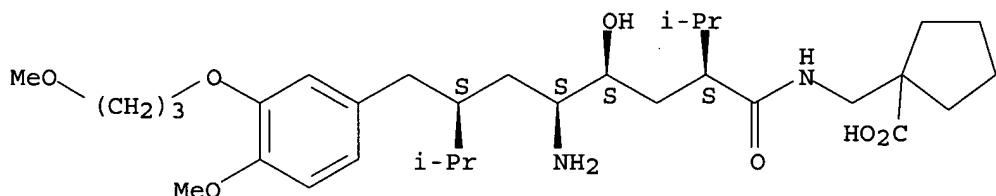
Absolute stereochemistry.



RN 173400-15-2 HCAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-amino-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



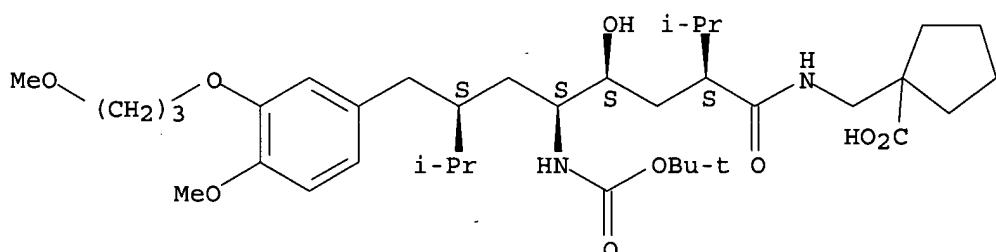
IT 173338-25-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating Alzheimer's disease using and method of preparing 8-amino-γ-hydroxy-ω-arylalkanoic acid amides)

RN 173338-25-5 HCAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[(2S,4S,5S,7S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-[[4-methoxy-3-(3-methoxypropoxy)phenyl]methyl]-8-methyl-2-(1-methylethyl)-1-oxononyl]amino]methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:43054 HCAPLUS

DN 138:107007

ED Entered STN: 17 Jan 2003

TI Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating Alzheimer's disease

IN Hom, Roy; Mamo, Shumeye; Tung, Jay;
Gailunas, Andrea; John, Varghese; Fang, Lawrence

PA USA

SO U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
CODEN: USXXCO

DT Patent

LA English

IC ICM C07D333-52

ICS C07C229-00; C07D215-12; C07D213-53; C07D209-14

NCL 544335000; 546176000; 546329000; 548503000; 558418000; 549049000;
560038000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003013881	A1	20030116	US 2001-960634	20010921 <--
	US 2002019403	A1	20020214	US 2001-816876	20010323 <--
	US 2002022623	A1	20020221	US 2001-815960	20010323 <--
	US 6737420	B2	20040518		
	US 2004214846	A1	20041028	US 2004-847819	20040518 <--
PRAI	US 2000-191528P	P	20000323		
	US 2001-815960	A2	20010323		
	US 2001-816876	A2	20010323		

CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2003013881	ICM	C07D333-52		
	ICS	C07C229-00; C07D215-12; C07D213-53; C07D209-14		
	NCL	544335000; 546176000; 546329000; 548503000; 558418000; 549049000; 560038000		
US 2003013881	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02	<--	
	US 2002019403	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02	<--
		US 2002022623	ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02
US 2004214846			ECLA	C07C237/22; C07C271/14; C07C271/18; C07C271/22; C07D261/20; C07D295/12B1D2; C07D295/18B1F; C07D307/32C; C07D307/52; C07K005/02C; C07K007/02

OS MARPAT 138:107007

AB The invention is directed toward substituted hydroxyethylene compds.
having the fragment -NHCHR1CH(OH)CH2CHR2CO- [R1 = alkyl, alkylthioalkyl,
alkenyl, (hetero)aryl, (hetero)arylalkyl, heterocyclalkyl, or
heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl] for use in
treating Alzheimer's disease and similar diseases. In an
example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn,syn)-(3,5-
dimethoxycyclohexylcarbamoyl)-2-hydroxyhexyl]-N,N-dipropylisophthalamide
was prepared by solution-based methodol.

ST peptide aminohydroxypentanoic acid prep treatment Alzheimer's;
hydroxypentanoic acid amino prep treatment Alzheimer's

IT Amyloidosis

(Dutch-Type; preparation of amino(hydroxy)pentanoic acid derivs. for
treating Alzheimer's disease)

IT Brain, disease

(amyloid angiopathy; preparation of amino(hydroxy)pentanoic acid derivs. for
treating Alzheimer's disease)

IT Hemorrhage

(cerebral, hereditary; preparation of amino(hydroxy)pentanoic acid derivs.
for treating Alzheimer's disease)

IT Mental disorder

(cognitive; preparation of amino(hydroxy)pentanoic acid derivs. for treating
Alzheimer's disease)

IT Brain, disease
 (cortical basal degeneration; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Mental disorder
 (dementia; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Cognition
 (disorder; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Brain, disease
 (hemorrhage, hereditary; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT **Alzheimer's disease**
Down's syndrome
 Human
 Parkinson's disease
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Peptides, preparation
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Paralysis
 (pseudobulbar; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT Amyloid
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (β -; preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT 158736-49-3, β Secretase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT 362479-96-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P 362480-15-7P
 362480-16-8P 362480-17-9P 362480-18-0P 362480-19-1P 362480-20-4P
 362480-21-5P 362480-22-6P 362480-23-7P 362480-24-8P 362480-25-9P
 362480-26-0P 362480-27-1P 362480-28-2P 362480-29-3P 362480-30-6P
 362480-31-7P 362480-32-8P 362480-33-9P 362480-34-0P 362480-35-1P
 362480-36-2P 362480-37-3P 362480-38-4P 362480-39-5P 485807-13-4P
 485807-14-5P 485807-15-6P 485807-16-7P 485807-17-8P 485807-18-9P
 485807-19-0P 485807-20-3P 485807-21-4P 485807-22-5P 485807-23-6P
 485807-24-7P 485807-25-8P 485807-26-9P 485807-27-0P 485807-28-1P
 485807-29-2P 485807-30-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino(hydroxy)pentanoic acid derivs. for treating **Alzheimer's disease**)

IT 60-32-2, 6 Aminohexanoic acid 78-84-2, Isobutyraldehyde 79-03-8, Propionyl chloride 79-30-1, Isobutyryl chloride 90-82-4, + Pseudoephedrine 116-11-0, 2 Methoxy 1 propene 638-29-9, Pentanoyl chloride 645-45-4, 3 Phenylpropionyl chloride 701-54-2 1002-57-9, 8 Aminoctanoic acid 1197-18-8 1826-67-1, Vinylmagnesium bromide 6341-54-4 18469-52-8 68683-72-7 74733-38-3 83646-27-9

126456-43-7 126926-35-0, n n Dipropylisophthalamic acid 205445-52-9

337531-15-4 362480-40-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating
Alzheimer's disease)

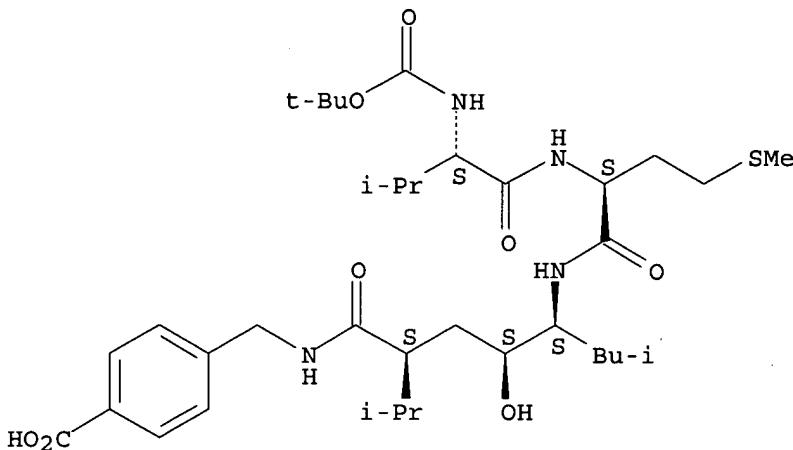
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362479-91-2P 362479-92-3P 362479-93-4P 362479-94-5P
362479-95-6P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P
362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P
362480-09-9P 362480-10-2P 485389-88-6P 485389-89-7P 485389-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating
Alzheimer's disease)

IT 362479-89-8P 362479-90-1P 362479-91-2P
362479-92-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of amino(hydroxy)pentanoic acid derivs. for treating
Alzheimer's disease)

RN 362479-89-8 HCPLUS

CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1S,2S,4S)-4-
[[[(4-carboxyphenyl)methyl]amino]carbonyl]-2-hydroxy-5-methyl-1-(2-
methylpropyl)hexyl]- (9CI) (CA INDEX NAME)

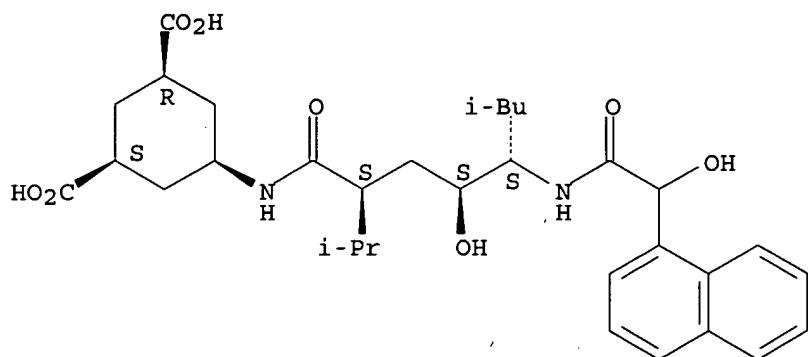
Absolute stereochemistry.



RN 362479-90-1 HCPLUS

CN 1,3-Cyclohexanedicarboxylic acid, 5-[[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-
naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-
(1α,3α,5α)- (9CI) (CA INDEX NAME)

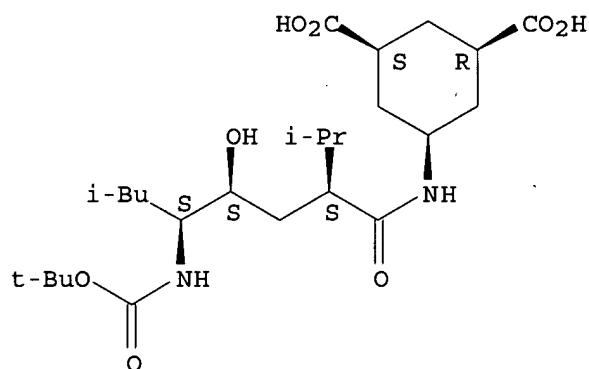
Absolute stereochemistry.



RN 362479-91-2 HCAPLUS

CN 1,3-Cyclohexanedicarboxylic acid, 5-[[[(2S,4S,5S)-5-[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1 α ,3 α ,5 α)- (9CI) (CA INDEX NAME)

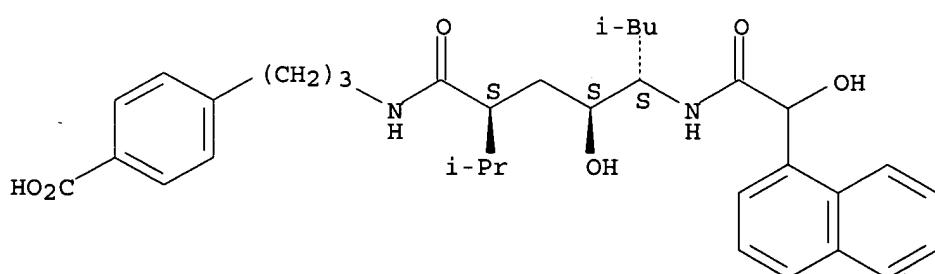
Absolute stereochemistry.



RN 362479-92-3 HCAPLUS

CN Benzoic acid, 4-[3-[[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:713293 HCAPLUS

DN 135:273220

ED Entered STN: 28 Sep 2001

TI Preparation of hydroxyethylenes with peptide subunits for pharmaceutical

use in the treatment of **Alzheimer's disease**
 IN Hom, Roy; Mamo, Shumeye; Tung, Jay;
 Gailunas, Andrea; John, Varghese; Fang, Larry
 PA Elan Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C235-00
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070672	A2	20010927	WO 2001-US9501	20010323 <--
	WO 2001070672	A3	20020321		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2401749	AA	20010927	CA 2001-2401749	20010323 <--
	EP 1265849	A2	20021218	EP 2001-926424	20010323 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003528071	T2	20030924	JP 2001-568884	20010323 <--
PRAI	US 2000-191528P	P	20000323	<--	
	WO 2001-US9501	W	20010323		

CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	WO 2001070672	ICM	C07C235-00
OS	MARPAT 135:273220		
AB	Hydroxyethylenes, such as RNHCHR1CH(OH)CH2CHR2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl, arylalkyl, etc.; R4 = H, alkyl, etc.], were prepared as agents for the treatment of Alzheimer's disease . Thus, BOC-L-Val-L-Met-NH-(S,S,S)-CH(CH2CHMe2)CH(OH)CH(CHMe2)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the hydroxyethylene moiety. The prepared hydroxyethylenes were tested for β -secretase inhibiting activity.		
ST	peptide hydroxyethylene prep Alzheimers disease treatment		
IT	Anti-Alzheimer's agents (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)		
IT	362480-10-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)		
IT	362479-88-7P 362479-89-8P 362479-90-1P 362479-91-2P 362479-92-3P 362479-93-4P 362479-94-5P 362479-95-6P 362479-96-7P 362479-97-8P 362479-98-9P 362479-99-0P 362480-00-0P 362480-11-3P 362480-12-4P 362480-13-5P 362480-14-6P 362480-15-7P 362480-16-8P 362480-17-9P 362480-18-0P 362480-19-1P 362480-20-4P 362480-21-5P 362480-22-6P 362480-23-7P 362480-24-8P		

362480-25-9P 362480-26-0P 362480-27-1P 362480-28-2P 362480-29-3P
 362480-30-6P 362480-31-7P 362480-32-8P 362480-33-9P 362480-34-0P
 362480-35-1P 362480-36-2P 362480-37-3P 362480-38-4P 362480-39-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

IT 158736-49-3, β -Secretase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical
use in the treatment of Alzheimer's disease)

IT 60-32-2 79-03-8, Propanoyl chloride 96-81-1 141-75-3, Butanoyl chloride 638-29-9, Pentanoyl chloride 645-45-4, Benzenepropanoyl chloride 1002-57-9 1197-18-8 2488-15-5 6341-54-4 13734-34-4 15761-38-3 18469-52-8 74733-38-3 126926-35-0 205445-52-9 337531-15-4 362480-40-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer's** disease)
 IT 362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P

IT 362480-01-1P 362480-02-2P 362480-03-3P 362480-04-4P 362480-05-5P
362480-06-6P 362480-07-7P 362480-08-8P 362480-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical
use in the treatment of **Alzheimer's disease**)
IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7
RL: PRP (Properties)
(unclaimed sequence; preparation of hydroxyethylenes with peptide subunits
for pharmaceutical use in the treatment of **Alzheimer's**
disease)

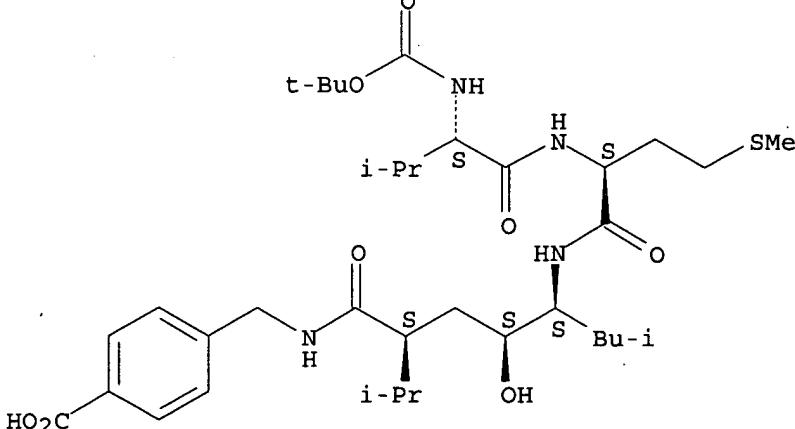
IT 362479-89-8P 362479-90-1P 362479-91-2P
362479-92-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of **Alzheimer's disease**)

RN 362479-89-8 HCAPLUS

CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(1S,2S,4S)-4-[[[(4-carboxyphenyl)methyl]amino]carbonyl]-2-hydroxy-5-methyl-1-(2-methylpropyl)hexyl]- (9CI) (CA INDEX NAME)

MSDN-1P-SP17, ROMY17 (1981) (CAT INDEX NAME)

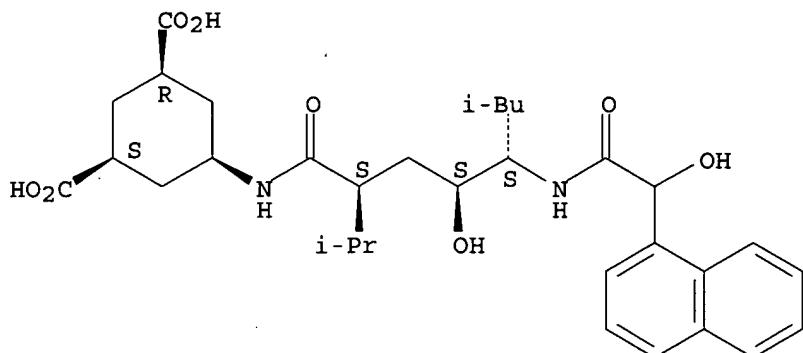
Absolute stereochemistry:



RN 362479-90-1 HCPLUS

CN 1,3-Cyclohexanedicarboxylic acid, 5-[[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1 α ,3 α ,5 α)- (9CI) (CA INDEX NAME)

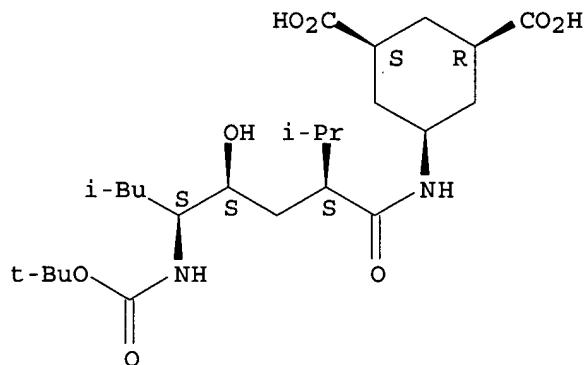
Absolute stereochemistry.



RN 362479-91-2 HCPLUS

CN 1,3-Cyclohexanedicarboxylic acid, 5-[[[(2S,4S,5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-hydroxy-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]-, (1 α ,3 α ,5 α)- (9CI) (CA INDEX NAME)

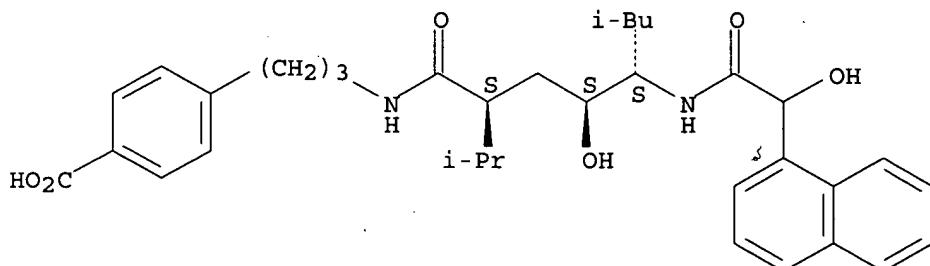
Absolute stereochemistry.



RN 362479-92-3 HCPLUS

CN Benzoic acid, 4-[3-[[[(2S,4S,5S)-4-hydroxy-5-[(hydroxy-1-naphthalenylacetyl)amino]-7-methyl-2-(1-methylethyl)-1-oxooctyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



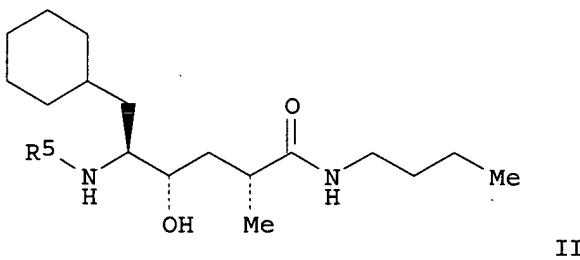
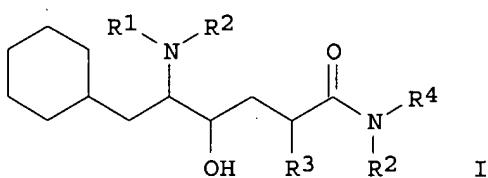
L62 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1998:15715 HCAPLUS
 DN 128:102390
 ED Entered STN: 12 Jan 1998
 TI 5-amino-6-cyclohexyl-4-hydroxy-hexanamide derivatives as inhibitors of
 β -amyloid protein production
 IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula,
 Prasad; Sloan, Charles P.
 PA Bristol-Myers Squibb Co., USA
 SO U.S., 18 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-17
 NCL 514613000
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5703129	A	19971230	US 1996-723488	19960930 <--
PRAI US 1996-723488		19960930	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5703129	ICM A61K031-17 NCL 514613000	
US 5703129	ECLA C07C237/14; C07C323/41; C07D307/32C	<--
OS MARPAT 128:102390		
GI		



AB A series of peptidic cyclohexylhexanamide derivs. I [R1 = C4-8 alkyl or
 alkenyl, C1-4 alkoxy or alkanediyl, (un)substituted C3-6 cycloalkyl or
 cycloalkyl-lower-alkanediyl, (un)substituted arylalkyl; R2 = H, Me; R3 =
 alkyl, C3-6 cycloalkyl, cycloalkyl-lower-alkanediyl, alkenyl,
 (un)substituted arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 =
 lower alkyl] or their pharmaceutically acceptable salts, were prepared as
 inhibitors of γ -secretase, thereby acting to prevent the
 accumulation of β -amyloid protein deposits in the brain. For
 example, cyclohexylhexanamide II (R5 = H) was reacted with

4-methylvaleraldehyde in the presence of NaBH(OAc)₃ and the free base salified with HCl, to give the HCl salt of II [R5 = Me2C(CH₂)₃], which inhibited γ -secretase at < = 10 μ M. Compds. I are expected to be effective in treating patients suffering from or susceptible to conditions or disorders linked to brain accumulation of β -amyloid protein; e.g., Alzheimer's Disease and Down's Syndrome.

ST aminocyclohexylhydroxyhexanamide prepn amyloid protein prodn inhibitor; cyclohexylhexanamide peptide analog prepn secretase inhibitor; Alzheimer disease treatment aminocyclohexylhydroxyhexanamide deriv prepn; Down syndrome treatment aminocyclohexylhydroxyhexanamide deriv prepn

IT **Amyloid**

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(β -; preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 192386-59-7P 192386-60-0P 192386-61-1P 192386-62-2P 192386-63-3P
 192386-64-4P 192386-65-5P 192386-66-6P 192386-67-7P
 192386-68-8P 192386-69-9P 192386-70-2P 192386-71-3P
 192386-72-4P 192386-73-5P 192386-74-6P 192386-75-7P 192386-76-8P
 192386-77-9P 192386-78-0P 192386-79-1P 192386-80-4P 192386-81-5P
 192386-82-6P 192386-83-7P 192386-84-8P 192386-85-9P 192386-86-0P
 192386-87-1P 192386-89-3P 192386-90-6P 192386-92-8P
 192386-93-9P 192386-94-0P 192386-95-1P 192386-96-2P
 192386-97-3P 192386-98-4P 192386-99-5P 192456-37-4P 192456-39-6P
201280-77-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 158736-49-3, γ -Secretase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 64-04-0, Benzeneethanamine 66-99-9, 2-Naphthalenecarboxaldehyde
 78-81-9, 2-Methylpropanamine 108-18-9, Diisopropylamine 110-12-3
 110-62-3, Pentanal 589-92-4, 4-Methylcyclohexanone 590-86-3,
 3-Methylbutanal 591-24-2, 3-Methylcyclohexanone 591-31-1,
 3-Methoxybenzaldehyde 620-23-5 1119-16-0, 4-Methylvaleraldehyde
 1757-42-2, 3-Methylcyclopentanone 1860-39-5, 5-Methylhexanal
 2270-59-9, 5-Bromo-2-methyl-2-pentene 3395-91-3, Methyl
 3-bromopropionate 3886-69-9 4104-45-4 5432-85-9 5618-02-0,
 Cyclopropanepropanal 5664-21-1, Cyclohexaneacetaldehyde 5781-53-3,
 Methyl oxaryl chloride 5813-64-9, 2,2-Dimethylpropanamine 6053-89-0,
 Cyclopentanepropanal 15877-57-3, 3-Methylpentanal 77342-92-8
 98105-42-1 192387-01-2 201280-72-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 5029-66-3P 105852-64-0P 112227-09-5P 119773-58-9P 124032-36-6P
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 132154-76-8P 141258-90-4P 192386-88-2P 192387-00-1P 201280-53-7P
 201280-54-8P 201280-55-9P 201280-56-0P 201280-57-1P 201280-58-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of β -amyloid protein production)

IT 192386-67-7P 192386-69-9P 192386-93-9P
201280-77-5P

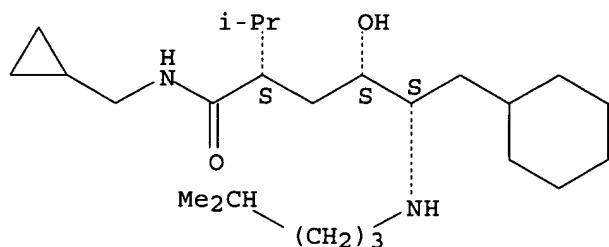
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminocyclohexylhydroxyhexanamide derivs. as inhibitors of
 β -amyloid protein production)

RN 192386-67-7 HCAPLUS

CN Cyclohexanehexanamide, N-(cyclopropylmethyl)- γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-, monohydrochloride, [α S-(α R*, γ R*, δ R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

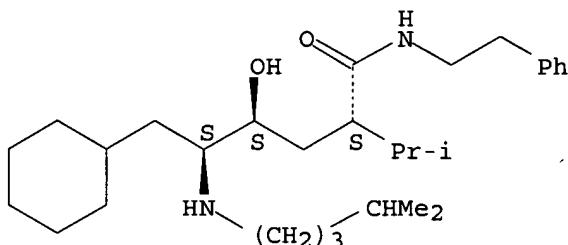


● HCl

RN 192386-69-9 HCAPLUS

CN Cyclohexanehexanamide, γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-N-(2-phenylethyl)-, monohydrochloride, [α S-(α R*, γ R*, δ R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

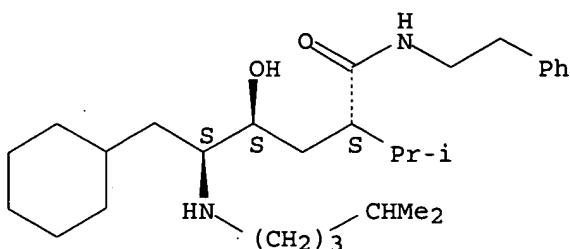


● HCl

RN 192386-93-9 HCAPLUS

CN Cyclohexanehexanamide, γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-N-(2-phenylethyl)-, [α S-(α R*, γ R*, δ R*)]- (9CI) (CA INDEX NAME)

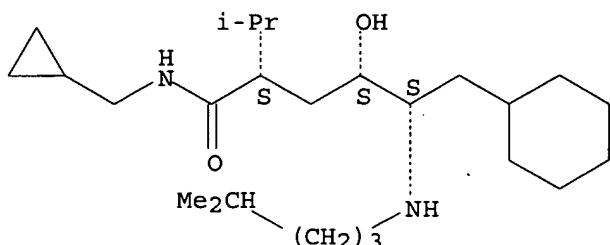
Absolute stereochemistry.



RN 201280-77-5 HCPLUS

CN Cyclohexanehexanamide, N-(cyclopropylmethyl)- γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-, [α S-(α R*, γ R*, δ R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 5 OF 5 HCPLUS COPYRIGHT 2005 ACS on STN

AN 1997:470004 HCPLUS

DN 127:109192

ED Entered STN: 26 Jul 1997

TI Preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivatives as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease

IN Felsenstein, Kevin; Smith, David W.; Poss, Michael A.; Chaturvedula, Prasad; Sloan, Charles P.

PA Bristol-Myers Squibb Company, USA

SO Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW

DT Patent

LA English

IC ICM C07C237-14

ICS A61K031-195

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

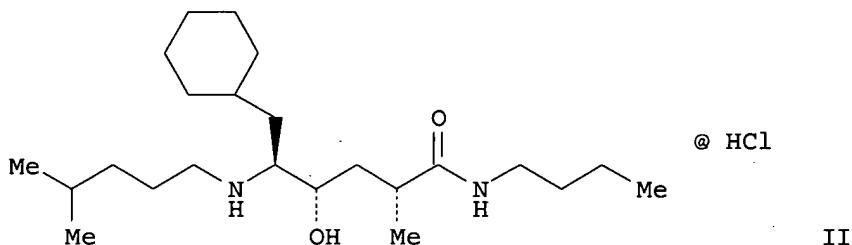
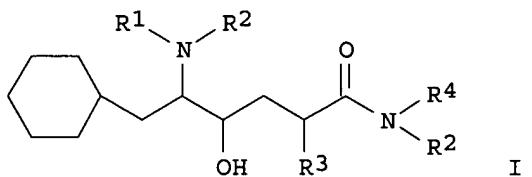
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 778266	A1	19970611	EP 1996-308768	19961204 <--
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2191924	AA	19970606	CA 1996-2191924	19961203 <--
	AU 9674121	A1	19970612	AU 1996-74121	19961204 <--
	AU 704145	B2	19990415		
	JP 09169713	A2	19970630	JP 1996-324904	19961205 <--
PRAI	US 1995-7972P	P	19951205 <--		

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

EP 778266 ICM C07C237-14
 ICS A61K031-195
 OS MARPAT 127:109192
 GI



AB The peptidic title cyclohexanehexanamides I [R1 = C4-8 alkyl, alkenyl, (un)substituted arylalkyl, alkoxyalkyl, (un)substituted cycloalkyl; R2 = H, Me; R3 = alkyl, cycloalkyl, (cycloalkyl)alkyl, alkenyl, arylalkyl; R4 = R3, alkylthioalkyl, CH(R6)CONHR6; R6 = lower alkyl], useful for inhibiting γ -secretase, which, in turn, inhibits the brain's formation of β -amyloid protein, the reputed cause of **Alzheimer's** cerebral pathol., were prepared Thus, $[\alpha S-(\alpha R^*, \gamma R^*, \delta R^*)]-\delta$ -amino-N-butyl- γ -hydroxy- α -methylcyclohexanehexanamide was reacted with 4-methylvaleraldehyde in the presence of NaBH(OAc)3 and the free base salified with HCl, producing the cyclohexanehexanamide II, which inhibited γ -secretase at 10 μ M.

ST aminocyclohexanehexanamide prepn amyloid protein prodn inhibition; **Alzheimer** disease treatment prepn aminocyclohexanehexanamide; secretase inhibition aminocyclohexanehexanamide; cyclohexanehexanamide peptide analog prepn **Alzheimer** treatment

IT **Alzheimer's disease**
 (preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β -amyloid protein production for the treatment of **Alzheimer**'s disease)

IT **Amyloid**
 RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process); USES (Uses)

(β -; preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β -amyloid protein production for the treatment of **Alzheimer's disease**)

IT 5029-66-3P, Methyl 3-iodopropionate 105852-64-0P 112227-09-5P
 119773-58-9P 124032-36-6P 125015-95-4P 127772-94-5P 129921-94-4P
 132094-22-5P 132094-23-6P 132154-76-8P 141258-90-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate in preparation of aminocyclohexylhydroxyhexanamides as inhibitors of β -amyloid protein production for the treatment of **Alzheimer's disease**)

IT 192387-00-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 5-amino-6-cyclohexyl-4-hydroxyhexanamide derivs. as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease)

IT 192386-59-7P 192386-60-0P 192386-61-1P 192386-62-2P 192386-63-3P
 192386-64-4P 192386-65-5P 192386-66-6P 192386-67-7P

192386-68-8P 192386-69-9P 192386-70-2P 192386-71-3P
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 192386-82-6P 192386-83-7P 192386-84-8P 192386-85-9P 192386-86-0P
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 192386-91-7P 192386-92-8P 192386-93-9P 192386-94-0P
 192386-95-1P 192386-96-2P 192386-97-3P 192386-98-4P 192386-99-5P
 192456-37-4P 192456-39-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminocyclohexylhydroxyhexanamides as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease)

IT 158736-49-3, γ -Secretase

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease)

IT 64-04-0, Benzeneethanamine 66-99-9, 2-Formylnaphthalene 67-64-1, 2-Propanone, reactions 78-81-9, 2-Methylpropanamine 109-73-9, Butylamine, reactions 110-12-3, 5-Methyl-2-hexanone 110-62-3, Pentanal 589-92-4, 4-Methylcyclohexanone 590-86-3, 3-Methylbutanal 591-24-2, 3-Methylcyclohexanone 591-31-1, 3-Methoxybenzaldehyde 620-23-5, 3-Methylbenzaldehyde 1119-16-0, 4-Methylvaleraldehyde 1757-42-2, 3-Methylcyclopentanone 1860-39-5, 5-Methylhexanal 2270-59-9, 5-Bromo-2-methyl-2-pentene 2516-47-4, (Cyclopropylmethyl)amine 3395-91-3, Methyl 3-bromopropionate 3886-69-9 4104-45-4, 3-(Methylthio)-1-propanamine 5432-85-9, 4-Isopropylcyclohexanone 5618-02-0, Cyclopropanepropanal 5664-21-1, Cyclohexaneacetaldehyde 5781-53-3, Methyl oxalyl chloride 5813-64-9, 2,2-Dimethylpropyl amine 6053-89-0, Cyclopentanepropanal 15877-57-3, 3-Methylpentanal 77342-92-8 98105-42-1 192387-01-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant in preparation of aminocyclohexylhydroxyhexanamides as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease)

IT 192386-67-7P 192386-69-9P 192386-91-7P

192386-93-9P

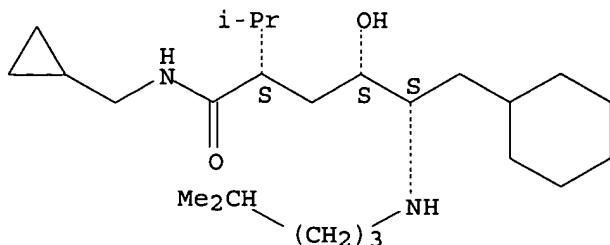
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocyclohexylhydroxyhexanamides as inhibitors of beta-amyloid protein production for the treatment of Alzheimer's disease)

RN 192386-67-7 HCPLUS

CN Cyclohexanehexanamide, N-(cyclopropylmethyl)- γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-, monohydrochloride, [α S-(α R*, γ R*, δ R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

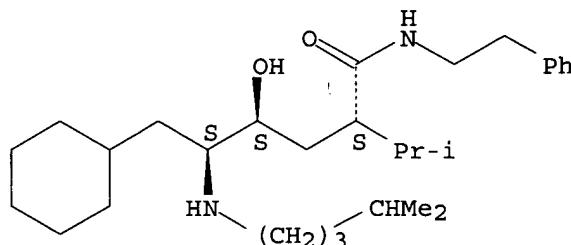


● HCl

RN 192386-69-9 HCAPLUS

CN Cyclohexanehexanamide, γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-N-(2-phenylethyl)-, monohydrochloride, $[\alpha S-(\alpha R^*, \gamma R^*, \delta R^*)]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

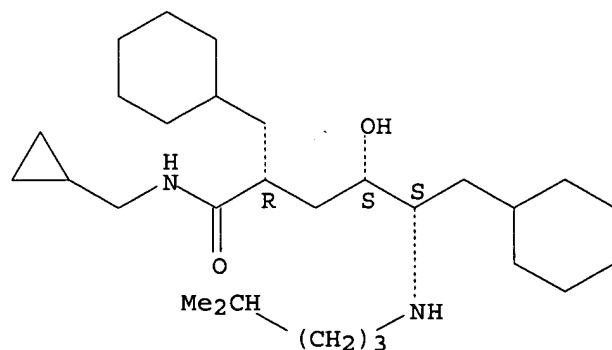


● HCl

RN 192386-91-7 HCAPLUS

CN Cyclohexanehexanamide, α -(cyclohexylmethyl)-N-(cyclopropylmethyl)- γ -hydroxy- δ -[(4-methylpentyl)amino]-, $[\alpha R-(\alpha R^*, \gamma S^*, \delta S^*)]$ - (9CI) (CA INDEX NAME)

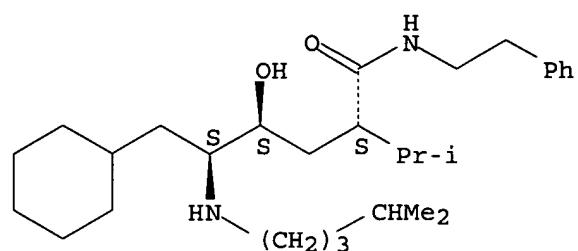
Absolute stereochemistry.



RN 192386-93-9 HCAPLUS

CN Cyclohexanehexanamide, γ -hydroxy- α -(1-methylethyl)- δ -[(4-methylpentyl)amino]-N-(2-phenylethyl)-, $[\alpha S-(\alpha R^*, \gamma R^*, \delta R^*)]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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